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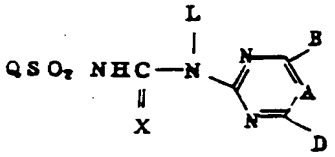
(54) IMINOSULFONYLUREA DERIVATIVE AND HERBICIDE.

(57) An iminosulfonylurea derivative represented by general formula (1) or salts thereof, a herbicide containing said derivative, and a method for killing weeds or inhibiting the growth thereof by applying said derivative, wherein Q represents Q_1 or the like, wherein E represents sulfur, oxygen or a monosubstituted nitrogen atom having an arbitrary substituent, the ring nitrogen atom may be substituted by an arbitrary substituent, and the ring carbon atom(s) may be substituted by arbitrary substituent(s); X represents oxygen or sulfur; L represents hydrogen, C_1 to C_6 alkyl, C_2 to C_6 alkenyl or C_2 to C_6 alkynyl; A represents CH or nitrogen; and B and D represent each independently C_1 to C_4 alkyl, alkyl, mono-, di- or polyhalogenated C_1 to C_4, C_1 to C_4 alkoxy, mono-, di- or polyhalogenated C_1 to C_4 alkoxy, halogen, C_1 to C_4 alkylamino or di(C_1 to C_4 alkyl)amino.



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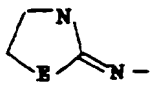


Q 1

TECHNICAL FIELD

The present invention relates to novel iminosulfonylurea derivatives, and herbicides containing them as active ingredients.

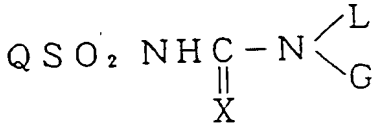
BACKGROUND TECHNIQUE

It is indispensable to use herbicides to protect important crop plants such as rice, wheat, corn, soybean, cotton and sugar beet from weeds and thereby to increase the harvest. Especially in recent years, a selective herbicide is desired which is capable of selectively killing weeds without showing any phytotoxicity against crop plants when applied to the foliages of crop plants and weeds simultaneously in a field where such useful crop plants and weeds are coexistent. Further, with a view to avoiding environmental pollution and reducing the costs for transportation and application, researches and developments have been conducted for many years for compounds having high herbicidal effects at low doses. Some of the compounds having such properties are presently used as selective herbicides. However, there still exists a need for better new compounds having such properties.

As the prior art showing a chemical structure similar to that of the compounds of the present invention, Japanese Unexamined Patent Publications No. 15962/1983, No. 103371/1983, No. 126859/1983, No. 48973/1985, No. 214785/1985, No. 134377/1986, No. 151577/1989, No. 45473/1990, No. 91060/1990, No. 7284/1991 and No. 68562/1991, and U.S Patents 4,559,081, 4,592,776, 4,602,939, 4,622,065, 4,666,508, 4,696,695 and 4,741,762, disclose compounds having sulfonylurea bonded to a nitrogen atom. However, compounds having sulfonylurea bonded to a nitrogen atom of an imino structure like the compounds of the present invention have not been known at all, and they are novel compounds.

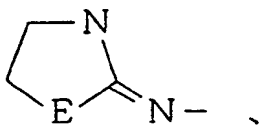
DISCLOSURE OF THE INVENTION

The present inventors have conducted extensive researches over years to develop selective herbicides for important crop plants and have studied herbicidal properties of many compounds with an aim to find out compounds having higher herbicidal activities as well as selectivity. As a result, it has been found that an iminosulfonylurea derivative of the formula (1) or an agriculturally suitable salt thereof:

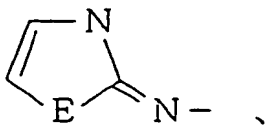


(1)

wherein Q is



Q 1



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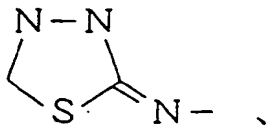

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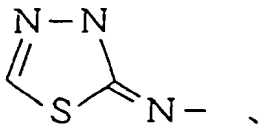

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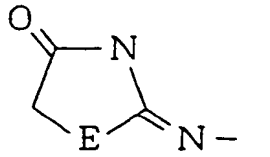

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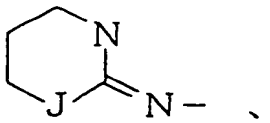

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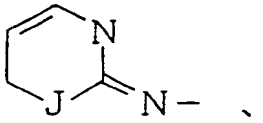

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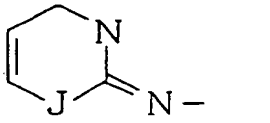
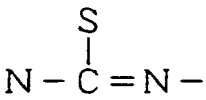


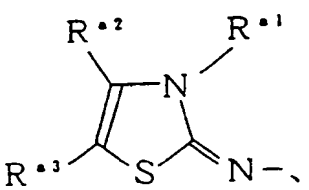
Q 8

or



Q 9

wherein in Q1, Q2 and Q5, E is a sulfur atom, an oxygen atom or a nitrogen atom mono-substituted by an optional substituent other than a hydrogen atom; in Q6, Q7 and Q8, J is a sulfur atom or an oxygen atom; in Q1 to Q8, a nitrogen atom in the ring of Q is substituted by an optional substituent other than a hydrogen atom, and a carbon atom in the ring of Q may be substituted by an optional substituent; and in Q9, the sulfur atom and the nitrogen atom on the carbon atom to which the imino group of Q is bonded, are substituted by optional substituents other than hydrogen atoms, wherein Q is preferably



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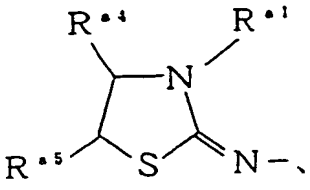

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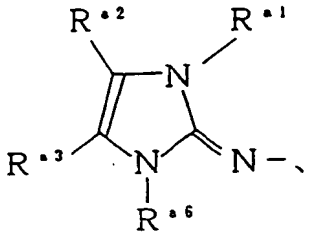

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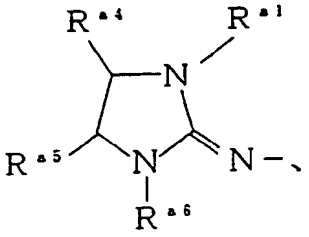

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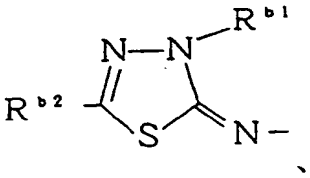

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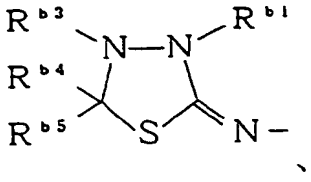

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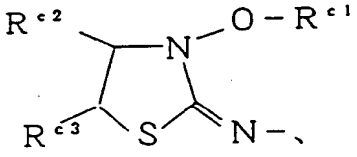

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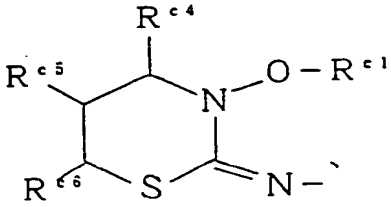

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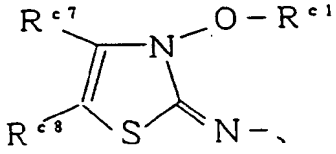

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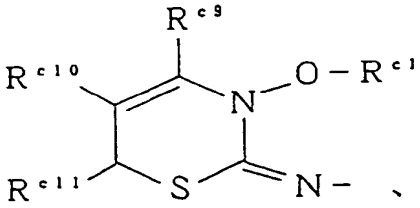

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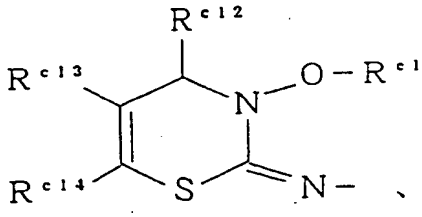

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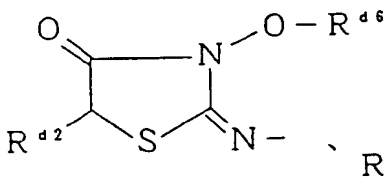

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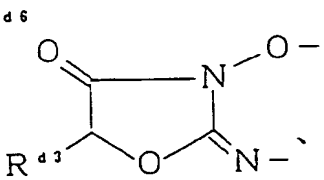

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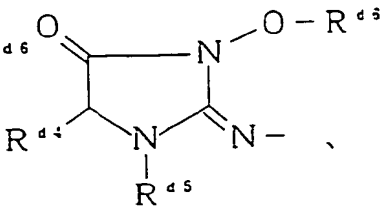

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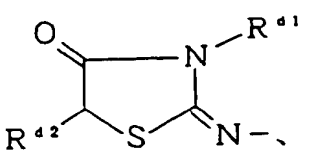

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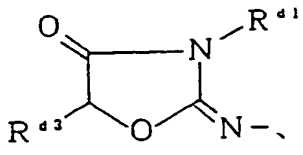

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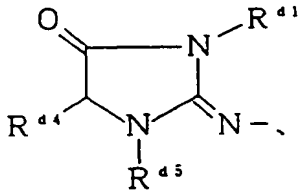

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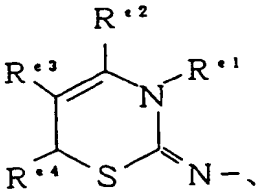

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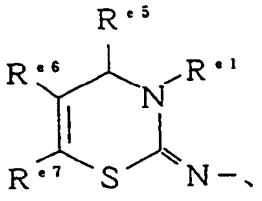

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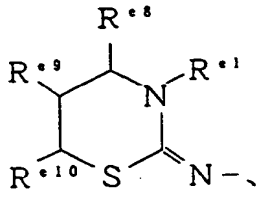

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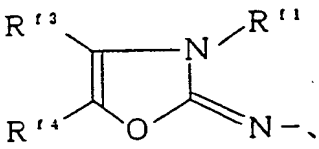

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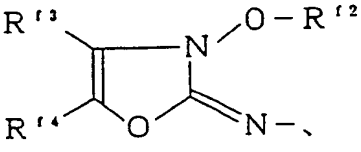

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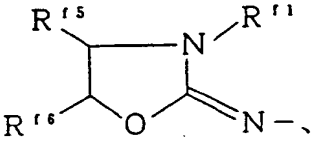

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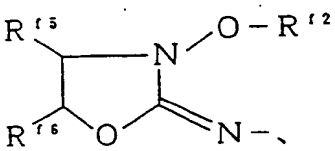

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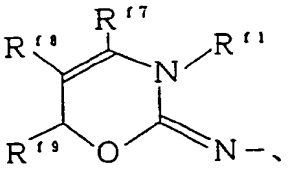

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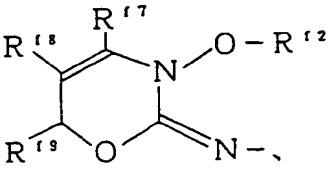

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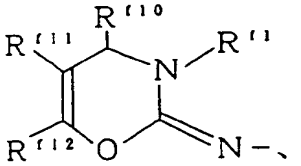

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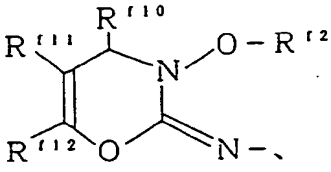

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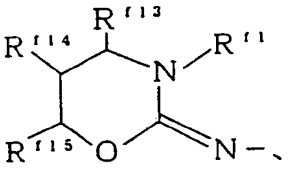

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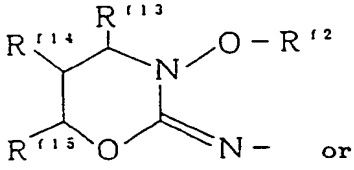

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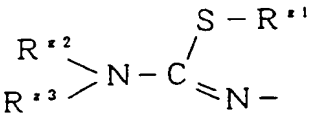


Qg1

R^{a1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a

mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)-sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl

group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

each of R^{a2} and R^{a3} which are independent of each other, is a hydrogen atom, a C_{1-6} alkyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a mono-, di or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a halogen atom, a nitro group, a cyano group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

each of R^{a4} and R^{a5} which are independent of each other, is a hydrogen atom, a C_{1-8} alkyl group, a C_{2-8}alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{a6} is a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{b1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)-sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl

group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substitut d by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7}alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

R^{b2} is a hydrogen atom, a C_{1-6} alkyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a halogen atom, a nitro group, a cyano group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl

group),

R^{b3} is a C_{1-6} alkyl group, a C_{2-6} alkenyl group or a C_{2-6} alkynyl group,

R^{b4} is a hydrogen atom, or a C_{1-6} alkyl group,

R^{b5} is a hydrogen atom, or a C_{1-6} alkyl group,

R^{c1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6}alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)-sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7}

alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substitut d by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

each of R^{c2}, R^{c3}, R^{c4}, R^{c5}, R^{c6}, R^{c11} and R^{c12} which are independent of one another, is a hydrogen atom, a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

each of R^{c7}, R^{c8}, R^{c9}, R^{c10}, R^{c13} and R^{c14} which are independent of one another, is a hydrogen atom, a C_{1-6} alkyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a mono, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a halogen atom, a nitro group, a cyano group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group),

R^{d1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl

group, a C_{2-6} alk nyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)-sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

each of R^{d2}, R^{d3} and R^{d4} which are independent of one another, is a hydrogen atom, a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{d5} is a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{d6} is a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a cyano group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), or a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{e1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)-sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen

atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

each of R^{e2}, R^{e3}, R^{e6} and R^{e7} which are independent of one another, is a hydrogen atom, a C_{1-6} alkyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a halogen atom, a nitro group, a cyano group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

each of R^{e4}, R^{e5}, R^{e8}, R^{e9} and R^{e10} which are independent of one another, is a hydrogen atom, a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group),

R^{f1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group

substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted bv a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)-sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a

C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

R^{f2} is a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a cyano group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), or a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

each of R^{f3}, R^{f4}, R^{f7}, R^{f8}, R^{f11} and R^{f12} which are independent of one another, is a hydrogen atom, a C_{1-6} alkyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a halogen atom, a nitro group, a cyano group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group),

each of R^{f5}, R^{f6}, R^{f9}, R^{f10}, R^{f13}, R^{f14} and R^{f15} which are independent of one another, is a hydrogen atom, a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group),

R^{g1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6}alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio

group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)-sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7}alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), or a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

each of R^{92} and R^{93} which are independent of each other, is a C_{1-6} alkyl group, a C_{2-6} alkenyl group, a C_{2-6} alkynyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkylsulfamoyl group, a di(C_{1-3} alkyl)sulfamoyl group, a C_{2-7} alkoxycarbonyl

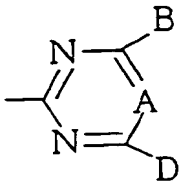
group, a C_{2-7} alkylcarbonyl group, a C_{2-7} alkylcarbamoyl group, a di(C_{1-3} alkyl)carbamoyl group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), or a benzyl group (provided that the phenyl group of such a benzyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group),

or R^{g2} and R^{g3} form a saturated 3- to 7-membered heterocyclic ring together with the nitrogen atom to which they are bonded,

X is an oxygen atom or a sulfur atom,

L is a hydrogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl group or a C_{2-6} alkynyl group,

G is



A is a CH group, or a nitrogen atom, and

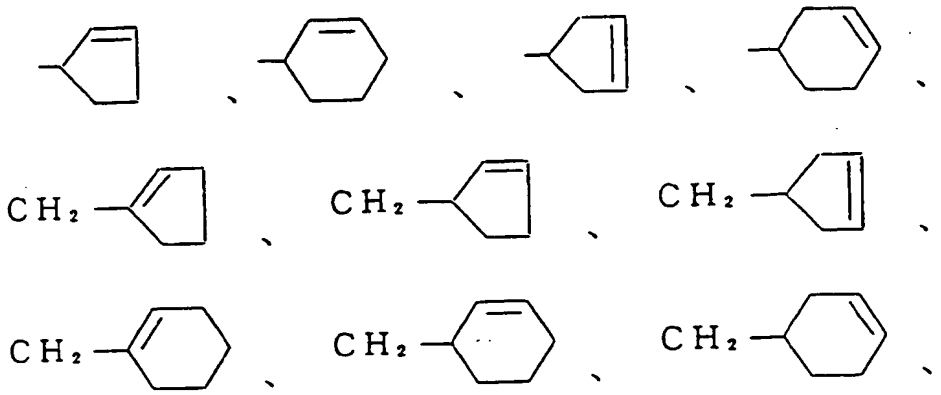
each of B and D which are independent of each other, is a C_{1-4} alkyl group, a C_{1-4} alkoxy group, a mono-, di-or poly-halogeno C_{1-4} alkyl group, a mono-, di- or poly-halogeno C_{1-4} alkoxy group, a halogen atom, a C_{1-4} alkylamino group, or a di(C_{1-4} alkyl)amino group, (hereinafter referred to as the compound of the present invention) exhibits remarkably strong herbicidal activities against many weeds in soil treatment, in soil admixing treatment or in foliage treatment and at the same time has a high level of safety for important crop plants such as wheat, corn, cotton, soybean, sugar beet and rice, etc. The present invention has been accomplished on the basis of this discovery.

Examples for the substituents R^{a1}, R^{a2}, R^{a3}, R^{a4}, R^{a5}, R^{a6}, R^{b1}, R^{b2}, R^{b3}, R^{b4}, R^{b5}, R^{c1}, R^{c2}, R^{c3}, R^{c4}, R^{c5}, R^{c6}, R^{c7}, R^{c8}, R^{c9}, R^{c10}, R^{c11}, R^{c12}, R^{c13}, R^{c14}, R^{d1}, R^{d2}, R^{d3}, R^{d4}, R^{d5}, R^{d6}, R^{e1}, R^{e2}, R^{e3}, R^{e4}, R^{e5}, R^{e6}, R^{e7}, R^{e8}, R^{e9}, R^{e10}, R^{f1}, R^{f2}, R^{f3}, R^{f4}, R^{f5}, R^{f6}, R^{f7}, R^{f8}, R^{f9}, R^{f10}, R^{f11}, R^{f12}, R^{f13}, R^{f14}, R^{f15}, R^{g1}, R^{g2}, R^{g3} L, B and D of the compound of the present invention are as follows. However, symbols have the following meanings.

Me: methyl group, Et: ethyl group, Pr-n: n-propyl group, Pr-iso: isopropyl group, Bu-n: n-butyl group, Bu-iso: isobutyl group, Bu-sec: sec-butyl group, Bu-tert: tert-butyl group, Pen-n: n-pentyl grup, Hex-n: n-hexyl group, Hep-n: n-heptyl group, Pr-cyc: cyclopropyl group, Bu-cyc: cyclobutyl group, Pen-cyc: cyclopentyl group, Hex-cyc: cyclohexyl group, and Ph: phenyl group.

Specific examples for the substituents R^{a1}, R^{b1}, R^{d1}, R^{e1} and R^{f1} of the compound of the present invention

Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、Hep-n、Pr-cyc、Bu-cyc、Pen-cyc、Hex-cyc、CH_2 Pr-cyc、CH_2 CH_2 Pr-cyc、CHMe-Pr-cyc、CH_2 CHMe-Pr-cyc、$CHMeCH_2$ Pr-cyc、CH_2 Bu-cyc、CH_2 CH_2 Bu-cyc、CH_2 Pen-cyc、CH_2 Hex-cyc、



CH_2 $CH=CH_2$、CH_2 $CH=CHMe$、CH_2 $CH=CHEt$、CH_2 CH_2 $CH=CH_2$、CH_2 CH_2 $CH=CHMe$、CH_2 $CH=CMe_2$、$CHMeCH=CH_2$、CH_2 $CMe=CH_2$、CH_2 $CMe=CHMe$、$CHMeCH=CHMe$、CH_2 $CMe=CHEt$、CH_2 CH_2 $CH=CMe_2$、CH_2 $CMe=CMe_2$、CH_2 $C\equiv CH$、CH_2 $C\equiv CMe$、CH_2 $C\equiv CEt$、CH_2 CH_2 $C\equiv CH$、CH_2 $C\equiv CMe$、$CHMeC\equiv CH$、$CHMeC\equiv CMe$、CH_2 OMe、CH_2 OEt、CH_2 $OPr\text{-}n$、CH_2 $OPr\text{-}iso$、CH_2 CH_2 OMe、CH_2 CH_2 OEt、CH_2 CH_2 $OPr\text{-}n$、$CHMeOMe$、$CHMeOEt$、CH_2 $CHMeOMe$、CH_2 $CHMeOEt$、CH_2 CH_2 CH_2 OMe、CH_2 CH_2 CH_2 OEt、CH_2 CH_2 OCH_2 $CH=CH_2$、CH_2 OCH_2 $CH=CHMe$、CH_2 CH_2 OCH_2 $CH=CH_2$、CH_2 CH_2 OCH_2 $CH=CHMe$、CH_2 OCH_2 $C\equiv CH$、CH_2 OCH_2 $C\equiv CMe$、CH_2 $OCHMeC\equiv CH$、CH_2 $OCMe_2$ $C\equiv CH$、CH_2 CH_2 OCH_2 $C\equiv CH$、CH_2 CH_2 OCH_2 $C\equiv CMe$、CH_2 CH_2 $OCHMeC\equiv CH$、CH_2 CH_2 $OCMe_2$ $C\equiv CH$、CH_2 $OCHF_2$、CH_2 OCF_3、CH_2 OCF_2 CF_3、CH_2 CH_2 $OCHF_2$、CH_2 CH_2 OCF_3、CH_2 CH_2 OCF_2 CF_3、CH_2 OCH_2 CF_3、CH_2 CH_2 OCH_2 CF_3、CH_2 OCH_2 CHF_2、CH_2 CH_2 OCH_2 CHF_2、CH_2 OCH_2 CH_2 F、CH_2 OCH_2 CH_2 Cl、CH_2 OCH_2 CH_2 Br、CH_2 CH_2 OCH_2 CH_2 F、CH_2 CH_2 OCH_2 CH_2 Cl、CH_2 CH_2 OCH_2 CH_2 Br、CH_2 OCH_2 $CH=CHCl$、CH_2 CH_2 OCH_2 $CH=CHCl$、CH_2 OCH_2 $CH=CHBr$、CH_2 CH_2 OCH_2 $CH=CHBr$、CH_2 OCH_2 $CF=CF_2$、CH_2 CH_2 OCH_2 $CF=CF_2$、CH_2 $OCH=CHCl$、CH_2 CH_2 $OCH=CHCl$、CH_2 $OCF=CF_2$、CH_2 CH_2 $OCF=CF_2$、CH_2 OCF_2 $CF=CF_2$、CH_2 CH_2 OCF_2 $CF=CF_2$、CH_2 OCH_2 $CH=CF_2$、CH_2 CH_2 OCH_2 $CH=CF_2$、CH_2 OCH_2 $CH=CHCF_3$、CH_2 CH_2 OCH_2 $CH=CHCF_3$、CH_2 OCH_2 $C\equiv Cl$、CH_2 OCH_2 CH_2 $C\equiv Cl$、CH_2 CH_2 OCH_2 $C\equiv Cl$、CH_2 OCH_2 $C\equiv CCF_3$、CH_2 CH_2 OCH_2 $C\equiv CCF_3$、CH_2 $OCMe_2$ $C\equiv Cl$、CH_2 CH_2 $OCMe_2$ $C\equiv Cl$、CH_2 $OCMe_2$ $C\equiv CCF_3$、CH_2 CH_2 $OCMe_2$ $C\equiv CCF_3$、CH_2 SMe、CH_2 SEt、CH_2 $SPr\text{-}n$、CH_2 CH_2 SMe、CH_2 CH_2 SEt、CH_2 CH_2 $SPr\text{-}n$、$CHMeSMe$、$CHMeSEt$、CH_2 $CHMeSMe$、CH_2 $CHMeSEt$、CH_2 $SOMe$、CH_2 $SOEt$、CH_2 $SOPr\text{-}n$、CH_2 CH_2 $SOMe$、CH_2 CH_2 $SOEt$、CH_2 CH_2 $SOPr\text{-}n$、$CHMeSOMe$、$CHMeSOEt$、CH_2 $CHMeSOMe$、CH_2 $CHMeSOEt$、CH_2 SO_2 Me、CH_2 SO_2 Et、CH_2 SO_2 $Pr\text{-}n$、CH_2 CH_2 SO_2 Me、CH_2 CH_2 SO_2 Et、CH_2 CH_2 SO_2 $Pr\text{-}n$、$CHMeSO_2$ Me、$CHMeSO_2$ Et、CH_2 $CHMeSO_2$ Me、CH_2 $CHMeSO_2$ Et、CH_2 CH_2 F、CH_2 CHF_2、CH_2 CF_3、CH_2 CH_2 Cl、CH_2 CH_2 Br、CH_2 CCl_3、CH_2 CH_2 CF_3、CH_2 CH_2 CCl_3、CH_2 CH_2 CH_2 F、CH_2 CH_2 CH_2 Cl、CF_2 CF_3、CH_2 CF_2 CF_3、CH_2 $CH=CHCl$、CH_2 $CH=CHBr$、CH_2 $CH=CF_2$、CH_2 $CF=CF_2$、CH_2 $CH=CHCF_3$、CH_2 $CH=CBrMe$、CH_2 $CH=CClMe$、CH_2 $CH=C(CF_3)Me$、CF_2 $CF=CF_2$、CH_2 $C\equiv Cl$、CH_2 CH_2 $C\equiv Cl$、CH_2 $C\equiv CCF_3$、CH_2 CH_2 $C\equiv CCF_3$、CH_2 CN、CH_2 CH_2 CN、$CHMeCN$、CH_2 $CHMeCN$、CH_2 CMe_2 CN、CH_2 $CH=CHCN$、CH_2 $CH(CN)CH=CH_2$、CH_2 $C(CN)=CH_2$、CH_2 $C(CN)=CHMe$、CH_2 $CH(CN)C\equiv CH$、CH_2 $CH(CN)C\equiv C\text{-}Me$、$CH(CN)C\equiv CH$、$CH_2$ NO_2、CH_2 CH_2 NO_2、CH_2 $CHMeNO_2$、CH_2 CMe_2 NO_2、CH_2 CH_2 CH_2 NO_2、CH_2 $CH=CHNO_2$、CH_2 $CH(NO_2)\text{-}CH=CH_2$、CH_2 $C(NO_2)=CH_2$、CH_2 $C(NO_2)=CHMe$、CH_2 $CH(NO_2)C\equiv CH$、CH_2 $CH(NO_2)C\equiv CMe$、CH_2 CO_2 Me、CH_2 CO_2 Et、CH_2 CO_2 $Pr\text{-}n$、CH_2 CO_2 $Pr\text{-}iso$、CH_2 CO_2 $Bu\text{-}n$、$CHMeCO_2Me$、$CHMeCO_2$ Et、CH_2 CH_2 CO_2 Me、CH_2 CH_2 CO_2 Et、CH_2 $CHMeCO_2$ Me、CH_2 CH_2 CH_2 CO_2 Me、CH_2 $CH=CHCO_2$ Me、CH_2 $CH=CHCO_2$ Et、CH_2 $CH=CHCO_2$ $Pr\text{-}n$、CH_2 $CH=CMeCO_2$ Me、CH_2 $CMe=CHCO_2$ Me、$CHMeCH=CHCO_2$ Me、$CHMeCH=CHCO_2$ Et、CH_2 CH_2 $CH=CHCO_2$ Me、CH_2 $CH=CHCH_2$ CO_2 Me、CH_2 $C\equiv CCO_2$ Me、CH_2 $C\equiv CCO_2$ Et、CH_2 $C\equiv CCO_2$ $Pr\text{-}n$、CH_2 CH_2 $C=CCO_2$ Me、CH_2 $CHMeC\equiv CCO_2$ Me、CH_2 CMe_2 $C\equiv CCO_2$ Me、CH_2 $C\equiv CCH_2$ CO_2 Me、CH_2 $COMe$、CH_2 $COEt$、CH_2 $COPr\text{-}n$、CH_2 CH_2 $COMe$、CH_2 CH_2 $COEt$、CH_2 $CHMeCOMe$、CH_2 CMe_2 $COMe$、CH_2 $COCF_3$、CH_2 $COCCl_3$、CH_2 CH_2 $COCF_3$、CH_2 $COCH_2$ CF_3、CH_2 $COCH_2$ CHF_2、CH_2 $COCH_2$ $CHCl_2$、CH_2 $COCH_2$ F、CH_2 $COCH_2$ Cl、CH_2 $COCH_2$ Br、CH_2 $COCH=CH_2$、CH_2 $COCH=CHMe$、CH_2 $COCH_2$ $CH=CH_2$、CH_2 CH_2 $COCH=CH_2$、CH_2 CH_2 $COCH=CHMe$、CH_2 $COC\equiv CH$、CH_2 $COC\equiv CMe$、CH_2 $COCH_2$ $C\equiv CH$、CH_2 CH_2 $COC\equiv CH$、CH_2 CH_2 $COC\equiv CMe$、CH_2 $COCH_2$ OMe、CH_2 $COCH_2$ OEt、CH_2 $COCH_2$ CH_2 OMe、CH_2 $COCH_2$ CH_2 OEt、CH_2 CH_2 $COCH_2$ OMe、CH_2 CH_2 $COCH_2$ OEt、CH_2 $COCH_2$ SMe、CH_2 $COCH_2$ SEt、CH_2 $COCH_2$ CH_2 SMe、CH_2 $COCH_2$ CH_2 SEt、CH_2 CH_2 $COCH_2$ SMe、CH_2 CH_2 $COCH_2$ SEt、CH_2 $COCH_2$ $SOMe$、CH_2 $COCH_2$ $SOEt$、

CH_2 $COCH_2$ CH_2 SOMe、CH_2 $COCH_2$ CH_2 SOEt、CH_2 CH_2 $COCH_2$ SOMe、CH_2 CH_2 $COCH_2$ SOEt、CH_2 $COCH_2$ SO_2 Me、CH_2 $COCH_2$ SO_2 Et、CH_2 $COCH_2$ CH_2 SO_2 Me、CH_2 $COCH_2$ CH_2 SO_2 Et、CH_2 CH_2 $COCH_2$ SO_2 Me、CH_2 CH_2 $COCH_2$ SO_2 Et、CH_2 CH = CHCOMe、CH_2 CH = CHCOEt、CHMeCH = CHCOMe、CHMeCH = CHCOEt、CH_2 C ≡ CCOMe、CH_2 C ≡ CCOEt、CHMeC ≡ CCOMe、CHMeC ≡ CCOEt、CH_2 SO_2 NHMe、CH_2 SO_2 NHEt、CH_2 SO_2 NHPr-n、CH_2 CH_2 SO_2 NHMe、CH_2 CH_2 SO_2 NHEt、CH_2 CH_2 SO_2 NHPr-n、CH_2 SO_2 NHOMe、CH_2 SO_2 NHOEt、CH_2 SO_2 NHOPr-n、CH_2 CH_2 SO_2 NHOMe、CH_2 CH_2 SO_2 NHOEt、CH_2 CH_2 SO_2 NHOPr-n、CH_2 SO_2 NMe_2、CH_2 SO_2 NEt_2、CH_2 CH_2 SO_2 NMe_2、CH_2 CH_2 SO_2 NMeEt、CH_2 CH_2 SO_2 NEt_2、CH_2 SO_2 N(OMe)Me、CH_2 SO_2 N(OMe)Et、CH_2 SO_2 N(OEt)Me、CH_2 CH_2 SO_2 N(OMe)Me、CH_2 CH_2 SO_2 N(OMe)Et、CH_2 CH_2 SO_2 N(OEt)Me、CH_2 SO_2 N(OEt)Et、CH_2 CH_2 SO_2 N(OEt)Et、CH_2 CONHMe、CH_2 CONHEt、CH_2 CONHPr-n、CH_2 CH_2 CONHMe、CH_2 CH_2 CONHEt、CH_2 CH_2 CONHPr-n、CH_2 $CONMe_2$、CH_2 CONMeEt、CH_2 $CONEt_2$、CH_2 CH_2 $CONMe_2$、CH_2 CH_2 CONMeEt、CH_2 CH_2 $CONEt_2$、CH_2 CONHOMe、CH_2 CONHOEt、CH_2 CONHOPr-n、CH_2 CH_2 CONHOMe、CH_2 CH_2 CONHOEt、CH_2 CH_2 CONHOPr-n、CH_2 CON(OMe)Me、CH_2 CON(OMe)Et、CH_2 CON(OEt)Me、CH_2 CH_2 CON(OMe)Me、CH_2 CH_2 CON(OMe)Et、CH_2 CH_2 CON(OEt)Me, CH_2 CON(OEt)Et、CH_2 CH_2 CON(OEt)Et、CH_2 NHMe、CH_2 NHEt、CH_2 NHPr-n、CH_2 CH_2 NHMe、CH_2 CH_2 NHEt、CH_2 CH_2 NHPr-n、CH_2 CHMeNHMe、CH_2 CHMeNHEt、CH_2 CHMeNHPr-n、CH_2 CH_2 CH_2 NHMe、CH_2 NHOMe、CH_2 NHOEt、CH_2 NHOPr-n、CH_2 CH_2 NHOMe、CH_2 CH_2 NHOEt、CH_2 CH_2 NHOPr-n、CH_2 CHMeNHOMe、CH_2 CHMeNHOEt、CH_2 CHMeNHOPr-n、CH_2 NMe_2、CH_2 NMeEt、CH_2 NMePr-n、CH_2 CH_2 NMe_2、CH_2 CH_2 NMeEt、CH_2 CH_2 NMePr-n、CH_2 NEt_2、CH_2 CH_2 NEt_2、CH_2 N(OMe)Me、CH_2 N(OMe)Et、CH_2 N(OEt)Me、CH_2 N(OEt)Et、CH_2 CH_2 N(OMe)Me、CH_2 CH_2 N(OMe)Et、CH_2 CH_2 N(OEt)Me、CH_2 CH_2 N(OEt)Et、CH_2 NMeCOMe、CH_2 NEtCOMe、CH_2 NMeCOEt、CH_2 CH_2 NMeCOMe、CH_2 CH_2 NEtCOMe、CH_2 CH_2 NMeCOEt、CH_2 N(OMe)COMe、CH_2 N(OEt)COMe、CH_2 N(OMe)COEt、CH_2 CH_2 N(OMe)COMe、CH_2 CH_2 N(OEt)COMe、CH_2 CH_2 N(OMe)COEt、CH_2 $NMeSO_2$ Me、CH_2 $NEtSO_2$ Me、CH_2 $NMeSO_2$Et、CH_2 CH_2 $NMeSO_2$ Me、CH_2 CH_2 $NEtSO_2$ Me、CH_2 CH_2 $NMeSO_2$ Et、CH_2 N(OMe)SO_2 Me、CH_2 N(OEt)SO_2 Me、CH_2 N(OMe)SO_2 Et、CH_2 CH_2 N(OMe)SO_2 Me、CH_2 CH_2 N(OEt)SO_2 Me、CH_2 CH_2 N(OMe)SO_2 Et、CH_2 Ph、CH_2 CH_2 Ph、CH_2 CH_2 CH_2 Ph、CHMePh、CH_2 CHMePh、CH_2 CMe_2 Ph、CH_2 CH = CHPh、CH_2 CH = CMePh、CHMeCH = CHPh、CH_2 CMe = CMePh、CHMeCMe = CMePh、CH_2 C ≡ CPh、CHMeC ≡ CPh、CH_2 CMe_2 C ≡ CPh、CH_2 CH_2 OPh、CH_2 CHMeOPh、CH_2 CMe_2 OPh、CH_2 OPh、CH_2 CH_2 SPh、CH_2 CHMeSPh、CH_2 CMe_2 SPh、CH_2 SPh、CH_2 CH_2 SOPh、CH_2 CHMeSOPh、CH_2 CMe_2 SOPh、CH_2 CH_2 SO_2 Ph、CH_2 CHMeSO_2 Ph、CH_2 CMe_2 SO_2 Ph、CH_2 OCH_2 Ph、CH_2 CH_2 OCH_2 Ph、CH_2 CHMeOCH_2 Ph、CH_2 SCH_2 Ph、CH_2 CH_2 SCH_2 Ph、CH_2 CHMeSCH_2 Ph、CH_2 $SOCH_2$ Ph、CH_2 CH_2 $SOCH_2$ Ph、CH_2 CHMe$SOCH_2$ Ph、CH_2 SO_2 CH_2 Ph、CH_2 CH_2 SO_2 CH_2 Ph、CH_2 CHMeSO_2 CH_2 Ph、CH_2 COPh、CH_2 CH_2 COPh、CHMeCOPh、CH_2 $COCH_2$ Ph、CH_2 CH_2 $COCH_2$ Ph、CHMe$COCH_2$ Ph、CH_2 C(Cl) = CH_2、Ph、CH_2 SOPh、CH_2 SO_2 Ph、CH_2 Ph-4-OMe、CH_2 Ph-4-Cl、CH_2 C(Br) = CH_2、CH_2 C(Cl) = CHCl、CH_2 CH = C(I)Me、CH_2 CH = CHI、CH_2 C(F) = CHCl、CH_2 $CH = CBr_2$、CH_2 CH = CHF、CH_2 C(Cl) = CHMe、CH_2 C(F) = CHBr、CH_2 C(Br) = CHCl、CH_2 C(Br) = CCl_2、CH_2 CH = $CHCH_2$ F、CH_2 C(I) = CH_2、CH_2 C(Br) = C(Cl)Me、CH_2 C(I) = CHMe、CH_2 C(Cl) = CCl_2、CH_2 CH = $CHCCl_3$、CH_2 C(Br) = CHMe、CH_2 C(Cl) = CHF、CH_2 C(Br) = CHF、CH_2 CH = C(Cl)Br、CH_2 C(F) = C(Cl)CF_3、CH_2 C(Cl) = C(Cl)Me、CH_2 C(Br) = CHBr、CH_2 CH = C(F)CF_2 Cl、CH_2 C(Br) = C(Br)Me、CH_2 CH = C(F)CF_3、CH_2 CH = CCl_2、CH_2 C(F) = CH_2、CH_2 CH = $CHCCl_3$、CH_2 CH = C(F)Cl、CH_2 C(Cl) = C(F)Cl、CH_2 C(F) = CCl_2、CH_2 C(Cl) = CF_2、CH_2 C(CF_3) = CH_2、CMe_2 CH = CH_2、CMe_2 C = CH、CH_2 CH_2 I、CH_2 C ≡ C-CN、CH_2 NHBu-n、CH_2 $NHSO_2$ Me、CH_2 $NHSO_2$ Et、CH_2 CH_2 $NHSO_2$ Me、CH_2 CH_2 $NHSO_2$ Et、CH_2 NHCOMe、CH_2 NHCOEt、CH_2 CH_2NHCOME、CH_2 CH_2 NHCOEt

Specific examples for the substituents R^{a2} and R^{a3} of the compound of the present invention

H、Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、CH_2 F、CHF_2、CF_3、CH_2 Cl、CH_2 Br、CH_2 CF_3、CH_2 CH_2 F、CH_2 CH_2 Cl、CH_2 CH_2 Br、CF_2 CF_3、OMe、OEt、OPr-n、OPr-iso、OCF_3、OCH_2 CF_3、SMe、SEt、SPr-n、SPr-iso、SOMe、SOEt、SOPr-n、SOPr-iso、SO_2 Me、SO_2 Et、SO_2 Pr-n、SO_2 Pr-iso、CO_2 Me、CO_2 Et、CO_2 Pr-n、CO_2 Pr-iso、CO_2 Bu-n、COMe、COEt、COPr-n、COPr-iso、COBu-n、F、Cl、Br、I、NO_2、CN、Ph

Specific examples for the substituents R^{a4} and R^{a5} of the compound of the present invention

H、Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、Hep-n、CH = CH_2、CH = CHMe、CH = CHEt、CMe = CH_2、CH = CMe_2、CMe = CHMe、CMe = CMe_2、CH_2 CH = CH_2、CH_2 CH = CHMe、CHMeCH = CH_2、CHMeCH = CHMe、CMe_2 CH = CH_2、CMe_2 CH = CHMe、C ≡ CH、C ≡ CMe、C ≡ CEt、CH_2 C ≡ CH、CH_2 C ≡ CMe、CHMeC ≡ CH、CHMeC ≡ CMe、CMe_2 C ≡ CH、CMe_2 C ≡ CMe、Ph

Specific examples for the substituent R^{a6} of the compound of the present invention

Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、Hep-n、CH_2 $CH=CH_2$、CH_2 $CH=CHMe$、CH_2 $CH=CHEt$、CH_2 CH_2 $CH=CH_2$、CH_2 CH_2 $CH=CHMe$、CH_2 $CH=CMe_2$、$CHMeCH=CH_2$、CH_2 $CMe=CH_2$、CH_2 $CMe=CHMe$、$CHMeCH=CHMe$、CH_2 $CMe=CHEt$、CH_2 CH_2 $CH=CMe_2$、CH_2 $CMe=CMe_2$、CMe_2 $CH=CH_2$、CMe_2 $CH=CHMe$、CH_2 $C\equiv CH$、CH_2 $C\equiv CMe$、CH_2 $C\equiv CEt$、CH_2 CH_2 $C\equiv CH$、CH_2 CH_2 $C\equiv CMe$、$CHMeC\equiv CH$、$CHMeC\equiv CMe$、CMe_2 $C\equiv CH$、CMe_2 $C\equiv CMe$、Ph

Specific examples for the substituent R^{b2} of the compound of the present invention

H、Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、CH_2 F、CHF_2、CF_3、CH_2 Cl、CH_2 Br、CH_2 CF_3、CH_2 CH_2 F、CH_2 CH_2 Cl、CH_2 CH_2 Br、CF_2 CF_3、OMe、OEt、OPr-n、OPr-iso、OCF_3、OCH_2 CF_3、SMe、SEt、SPr-n、SPr-iso、SOMe、SOEt、SOPr-n、SOPr-iso、SO_2 Me、SO_2 Et、SO_2 Pr-n、SO_2 Pr-iso、CO_2 Me、CO_2 Et、CO_2 Pr-n、CO_2 Pr-iso、CO_2 Bu-n、COMe、COEt、COPr-n、COPr-iso、COBu-n、F、Cl、Br、I、NO_2、CN、Ph

Specific examples for the substituent R^{b3} of the compound of the present invention

Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、CH_2 $CH=CH_2$、CH_2 $CH=CHMe$、CH_2 $CH=CHEt$、CH_2 CH_2 $CH=CH_2$、CH_2 CH_2 $CH=CHMe$、CH_2 $CH=CMe_2$、$CHMeCH=CH_2$、CH_2 $CMe=CH_2$、CH_2 $CMe=CHMe$、$CHMeCH=CHMe$、CH_2 $CMe=CHEt$、CH_2 CH_2 $CH=CMe_2$、CH_2 $CMe=CMe_2$、CH_2 $C\equiv CH$、CH_2 $C\equiv CMe$、CH_2 $C\equiv CEt$、CH_2 CH_2 $C\equiv CH$、CH_2 CH_2 $C\equiv CMe$、$CHMeC\equiv CH$、$CHMeC\equiv CMe$

Specific examples for the substituent R^{b4} of the compound of the present invention

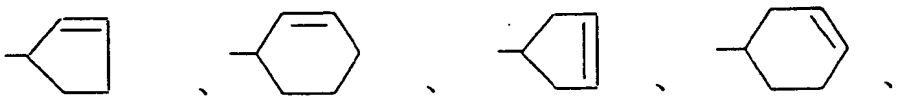
H、Me、Et、Pr-n、Pr-iso、Bu-n、Pen-n、Hex-n

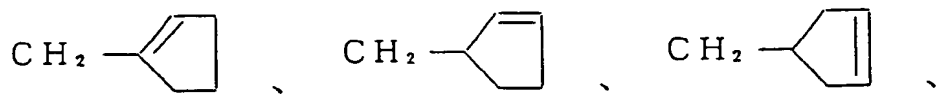
Specific examples for the substituent R^{b5} of the compound of the present invention

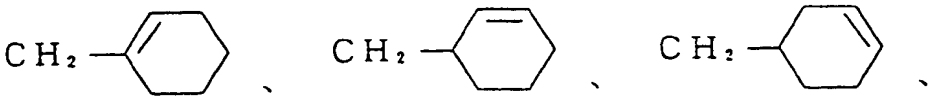
H、Me、Et、Pr-n、Pr-iso、Bu-n、Pen-n、Hex-n

Specific examples for the substituent R^{c1} of the compound of the present invention

Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、Hep-n、Pr-cyc、Bu-cyc、Pen-cyc、Hex-cyc、CH_2 Pr-cyc、CH_2 CH_2 Pr-cyc、CHMe-Pr-cyc、CH_2 CHMe-Pr-cyc、$CHMeCH_2$ Pr-cyc、CH_2 Bu-cyc、CH_2 CH_2 Bu-cyc、CH_2 Pen-cyc、CH_2 Hex-cyc、







CH_2 $CH=CH_2$、CH_2 $CH=CHMe$、CH_2 $CH=CHEt$、CH_2 CH_2 $CH=CH_2$、CH_2 CH_2 $CH=CHMe$、CH_2 $CH=CMe_2$、$CHMeCH=CH_2$、CH_2 $CMe=CH_2$、CH_2 $CMe=CHMe$、$CHMeCH=CHMe$、CH_2 $CMe=CHEt$、CH_2 CH_2 $CH=CMe_2$、CH_2 $CMe=CMe_2$、CH_2 $C\equiv CH$、CH_2 $C\equiv CMe$、CH_2 $C\equiv CEt$、CH_2 CH_2 $C\equiv CH$、CH_2

CH_2 C≡CM 、CHMeC≡CH、CHMeC≡CMe、CH_2 OMe、CH_2 OEt、CH_2 OPr-n、CH_2 OPr-iso、CH_2 CH_2 OMe、CH_2 CH_2 OEt、CH_2 CH_2 OPr-n、CHMeOMe、CHMeOEt、CH_2 CHMeOMe、CH_2 CHMeOEt、CH_2 CH_2 CH_2 OMe、CH_2 CH_2 CH_2 OEt、CH_2 OCH_2 CH=CH_2、CH_2 OCH_2 CH=CHMe、CH_2 CH_2 OCH_2 CH=CH_2、CH_2 CH_2 OCH_2 CH=CHMe、CH_2 OCH_2 C≡CH、CH_2 OCH_2 C≡CMe、CH_2 OCHMeC≡CH、CH_2 $OCMe_2$ C≡CH、CH_2 CH_2 OCH_2 C≡CH、CH_2 CH_2 OCH_2 C≡CMe、CH_2 CH_2 OCHMeC≡CH、CH_2 CH_2 $OCMe_2$ C≡CH、CH_2 $OCHF_2$、CH_2 OCF_3、CH_2 OCF_2 CF_3、CH_2 CH_2 $OCHF_2$、CH_2 CH_2 OCF_3、CH_2 CH_2 OCF_2 CF_3、CH_2 OCH_2 CF_3、CH_2 CH_2 OCH_2 CF_3、CH_2 OCH_2 CHF_2、CH_2 CH_2 OCH_2 CHF_2、CH_2 OCH_2 CH_2 F、CH_2 OCH_2 CH_2 Cl、CH_2 OCH_2 CH_2 Br、CH_2 CH_2 OCH_2 CH_2 F、CH_2 CH_2 OCH_2 CH_2 Cl、CH_2 CH_2 OCH_2 CH_2 Br、CH_2 OCH_2 CH=CHCl、CH_2 CH_2 OCH_2 CH=CHCl、CH_2 OCH_2 CH=CHBr、CH_2 CH_2 OCH_2 CH=CHBr、CH_2 OCH_2 CF=CF_2、CH_2 CH_2 OCH_2 CF=CF_2、CH_2 CH_2 OCH=CHCl、CH_2 CH_2 OCH=CHCl、CH_2 OCF=CF_2、CH_2 CH_2 OCF=CF_2、CH_2 OCF_2 CF=CF_2、CH_2 CH_2 OCF_2 CF=CF_2、CH_2 OCH_2 CH=CF_2、CH_2 CH_2 OCH_2 CH=CF_2、CH_2 OCH_2 CH=CHCF3、CH_2 CH_2 OCH_2 CH=CHCF3、CH_2 OCH_2 C≡Cl、CH_2 OCH_2 CH_2 C≡Cl、CH_2 CH_2 OCH_2 C≡Cl、CH_2 OCH_2 C≡CCF3、CH_2 CH_2 OCH_2 C≡CCF3、CH_2 $OCMe_2$ C≡Cl、CH_2 CH_2 $OCMe_2$ C≡Cl、CH_2 $OCMe_2$ C≡CCF3、CH_2 CH_2 $OCMe_2$ C≡CCF3、CH_2 SMe、CH_2 SEt、CH_2 SPr-n、CH_2 CH_2 SMe、CH_2 CH_2 SEt、CH_2 CH_2 SPr-n、CHMeSMe、CHMeSEt、CH_2 OHMeSMe、CH_2 OHMeSEt、CH_2 SOMe、CH_2 SOEt、CH_2 SOPr-n、CH_2 CH_2 SOMe、CH_2 CH_2 SOEt、CH_2 CH_2 SOPr-n、CHMeSOMe、CHMeSOEt、CH_2 CHMeSOMe、CH_2 CHMeSOEt、CH_2 SO_2 Me、CH_2 SO_2 Et、CH_2 SO_2 Pr-n、CH_2 CH_2 SO_2 Me、CH_2 CH_2 SO_2 Et、CH_2 CH_2 SO_2 Pr-n、CHMeSO_2 Me、CHMeSO_2 Et、CH_2 CHMeSO_2 Me、CH_2 CHMeSO_2 Et、CH_2 CH_2 F、CH_2 CHF_2、CH_2 CF_3、CH_2 CH_2 Cl、CH_2 CH_2 Br、CH_2 CCl_3、CH_2 CH_2 CF_3、CH_2 CH_2 CCl_3、CH_2 CH_2 CH_2 F、CH_2 CH_2 CH_2 Cl、CF_2 CF_3、CH_2 CF_2 CF_3、CH_2 CH=CHCl、CH_2 CH=CHBr、CH_2 CH=CF_2、CH_2 CF=CF_2、CH_2 CH=CHCF3、CH_2 CH=CBrMe、CH_2 CH=CClMe、CH_2 CH=C(CF_3)Me、CF_2 CF=CF_2、CH_2 C≡Cl、CH_2 CH_2 C≡Cl、CH_2 C≡CCF3、CH_2 CH_2 C≡CCF3、CH_2 CN、CH_2 CH_2 CN、CHMeCN、CH_2 CHMeCN、CH_2 CMe_2 CN、CH_2 CH=CHCN、CH_2 CH(CN)CH=CH_2、CH_2 C-(CN)=CH_2、CH_2 C(CN)=CHMe、CH_2 CH(CN)C≡CH、CH_2 CH(CN)C≡C-Me、CH(CN)C≡CH、CH_2 NO_2、CH_2 CH_2 NO_2、CH_2 CHMeNO_2、CH_2 CMe_2 NO_2、CH_2 CH_2 CH_2 NO_2、CH_2 CH=CHNO_2、CH_2 CH(NO_2)-CH=CH_2、CH_2 C(NO_2)=CH_2、CH_2 C(NO_2)=CHMe、CH_2 CH(NO_2)C≡CH、CH_2 CH(NO_2)C≡CMe、CH_2 CO_2 Me、CH_2 CO_2 Et、CH_2 CO_2 Pr-n、CH_2 CO_2 Pr-iso、CH_2 CO_2 Bu-n、CHMeCO_2 Me、CHMeCO_2 Et、CH_2 CH_2 CO_2 Me、CH_2 CH_2 CO_2 Et、CH_2 CHMeCO_2 Me、CH_2 CH_2 CH_2 CO_2 Me、CH_2 CH=$CHCO_2$ Me、CH_2 CH=$CHCO_2$ Et、CH_2 CH=$CHCO_2$ Pr-n、CH_2 CH=C$MeCO_2$ Me、CH_2 CMe=$CHCO_2$ Me、CHMeCH=$CHCO_2$ Me、CHMeCH=$CHCO_2$ Et、CH_2 CH_2 CH=$CHCO_2$ Me、CH_2 CH=$CHCH_2$ CO_2 Me、CH_2 C≡CCO_2 Me、CH_2 C≡CCO_2 Et、CH_2 C≡CCO_2 Pr-n、CH_2 CH_2 C≡CCO_2 Me、CH_2 CHMeC≡CCO_2 Me、CH_2 CMe_2 C≡CCO_2 Me、CH_2 C≡CCH_2 CO_2 Me、CH_2 COMe、CH_2 COEt、CH_2 COPr-n、CH_2 CH_2 COMe、CH_2 CH_2 COEt、CH_2 CHMeCOMe、CH_2 CMe_2 COMe、CH_2 $COCF_3$、CH_2 $COCCl_3$、CH_2 CH_2 $COCF_3$、CH_2 $COCH_2$ CF_3、CH_2 $COCH_2$ CHF_2、CH_2 $COCH_2$ $CHCl_2$、CH_2 $COCH_2$ F、CH_2 $COCH_2$ Cl、CH_2 $COCH_2$ Br、CH_2 COCH=CH_2、CH_2 COCH=CHMe、CH_2 $COCH_2$ CH=CH_2、CH_2 CH_2 COCH=CH_2、CH_2 CH_2 COCH=CHMe、CH_2 COC≡CH、CH_2 COC≡CMe、CH_2 $COCH_2$ C≡CH、CH_2 CH_2 COC≡CH、CH_2 CH_2 COC≡CMe、CH_2 $COCH_2$ OMe、CH_2 $COCH_2$ OEt、CH_2 $COCH_2$ CH_2 OMe、CH_2 $COCH_2$ CH_2 OEt、CH_2 CH_2 $COCH_2$ OMe、CH_2 CH_2 $COCH_2$ OEt、CH_2 $COCH_2$ SMe、CH_2 $COCH_2$ SEt、CH_2 $COCH_2$ CH_2 SMe、CH_2 $COCH_2$ CH_2 SEt、CH_2 CH_2 $COCH_2$ SMe、CH_2 CH_2 $COCH_2$ SEt、CH_2 $COCH_2$ SOMe、CH_2 $COCH_2$ SOEt、CH_2 $COCH_2$ CH_2 SOMe、CH_2 $COCH_2$ CH_2 SOEt、CH_2 CH_2 $COCH_2$ SOMe、CH_2 CH_2 $COCH_2$ SOEt、CH_2 $COCH_2$ SO_2 Me、CH_2 $COCH_2$ SO_2 Et、CH_2 $COCH_2$ CH_2 SO_2 Me、CH_2 $COCH_2$ CH_2 SO_2 Et、CH_2 CH_2 $COCH_2$ SO_2 Me、CH_2 CH_2 $COCH_2$ SO_2 Et、CH_2 CH=CHCOMe、CH_2 CH=CHCOEt、CHMeCH=CHCOMe、CHMeCH=CHCOEt、CH_2 C≡CCOMe、CH_2 C≡CCOEt、CHMeC≡CCOMe、CHMeC≡CCOEt、CH_2 SO_2 NHMe、CH_2 SO_2 NHEt、CH_2 SO_2 NHPr-n、CH_2 CH_2 SO_2 NHMe、CH_2 CH_2 SO_2 NHEt、CH_2 CH_2 SO_2 NHPr-n、CH_2 SO_2 NHOMe、CH_2 SO_2 NHOEt、CH_2 SO_2 NHOPr-n、CH_2 CH_2 SO_2 NHOMe、CH_2 CH_2 SO_2 NHOEt、CH_2 CH_2 SO_2 NHOPr-n、CH_2 SO_2 NMe_2、CH_2 SO_2 NMeEt、CH_2 SO_2 NEt_2、CH_2 CH_2 SO_2 NMe_2、CH_2 CH_2 SO_2 NMeEt、CH_2 CH_2 SO_2 NEt_2、CH_2 SO_2 N(OMe)Me、CH_2 SO_2 N(OMe)Et、CH_2 SO_2 N(OEt)-Me、CH_2 CH_2 SO_2 N(OMe)Me、CH_2 CH_2 SO_2 N(OMe)Et、CH_2 CH_2 SO_2 N(OEt)Me、CH_2 SO_2 N(OEt)Et、CH_2 CH_2 SO_2 N(OEt)Et、CH_2 CONHMe、CH_2 CONHEt、CH_2 CONHPr-n、CH_2 CH_2 CONHMe、CH_2 CH_2 CONHEt、CH_2 CH_2 CONHPr-n、CH_2 $CONMe_2$、CH_2 CONMeEt、CH_2 $CONEt_2$、CH_2 CH_2 $CONMe_2$、CH_2 CH_2 CONMeEt、CH_2 CH_2 $CONEt_2$、CH_2 CONHOMe、CH_2 CONHOEt、CH_2 CONHOPr-n、CH_2 CH_2 CONHOMe、CH_2 CH_2 CONHOEt、CH_2 CH_2 CONHOPr-n、CH_2 CON(OMe)Me、CH_2 CON(OMe)Et、CH_2 CON(OEt)Me、CH_2 CH_2 CON(OMe)Me、CH_2 CH_2 CON(OMe)Et、CH_2 CH_2 CON(OEt)Me、CH_2 CON(OEt)Et、CH_2 CH_2 CON(OEt)Et、CH_2 NHMe、CH_2 NHEt、CH_2 NHPr-n、CH_2 CH_2 NHMe、CH_2 CH_2 NHEt、CH_2 CH_2 NHPr-n、CH_2 CHMeNHMe、CH_2 CHMeNHEt、CH_2 CHMeNHPr-n、CH_2 CH_2 CH_2 NHMe、CH_2 NHOMe、CH_2 NHOEt、CH_2 NHOPr-n、CH_2 CH_2 NHOMe、CH_2 CH_2 NHOEt、CH_2 CH_2 NHOPr-n、CH_2 CHMeNHOMe、CH_2 CHMeNHOEt、CH_2 CHMeNHOPr-n、CH_2 NMe_2、CH_2 NMeEt、CH_2 NMePr-n、CH_2 CH_2 NMe_2、CH_2 CH_2 NMeEt、CH_2 CH_2 NMePr-n、CH_2 NEt_2、CH_2 CH_2 NEt_2、CH_2 N(OMe)Me、CH_2 N(OMe)Et、CH_2 N(OEt)Me、

CH_2 N(OEt)Et、CH_2 CH_2 N(OMe)Me、CH_2 CH_2 N(OMe)Et、CH_2 CH_2 N(OEt)Me、CH_2 CH_2 N(OEt)Et、CH_2 NMeCOMe、CH_2 NEtCOMe、CH_2 NMeCOEt、CH_2 CH_2 NMeCOM 、CH_2 CH_2 NEtCOMe、CH_2 CH_2 NMeCOEt、CH_2 N(OMe)COMe、CH_2 N(OEt)COMe、CH_2 N(OMe)COEt、CH_2 CH_2 N(OM)COMe、CH_2 CH_2 N(OEt)COMe、CH_2 CH_2 N(OMe)COEt、CH_2 NM SO_2 Me、CH_2 NEtSO_2 Me、CH_2 NMeSO_2 Et、CH_2 CH_2 NMeSO_2 Me、CH_2 CH_2 NEtSO_2 Me、CH_2 CH_2 NMeSO_2 Et、CH_2 N(OMe)SO_2 Me、CH_2 N(OEt)SO_2 Me、CH_2 N(OMe)SO_2 Et、CH_2 CH_2 N(OMe)SO_2 Me、CH_2 CH_2 N(OEt)SO_2 Me、CH_2 CH_2 N(OMe)SO_2 Et、CH_2 Ph、CH_2 CH_2 Ph、CH_2 CH_2 CH_2 Ph、CHMePh、CH_2 CHMePh、CH_2 CMe_2 Ph、CH_2 CH = CHPh、CH_2 CH = CMePh、CHMeCH = CHPh、CH_2 CMe = CMePh、CHMeCMe = CMePh、CH_2 C≡CPh、CHMeC≡CPh、CH_2 CMe_2 C≡CPh、CH_2 CH_2 OPh、CH_2 CHMeOPh、CH_2 CMe_2 OPh、CH_2 OPh、CH_2 CH_2 SPh、CH_2 CHMeSPh、CH_2 CMe_2 SPh、CH_2 SPh、CH_2 CH_2 SOPh、CH_2 CHMeSOPh、CH_2 CMe_2 SOPh、CH_2 CH_2 SO_2 Ph、CH_2 CHMeSO_2 Ph、CH_2 CMe_2 SO_2 Ph、CH_2 OCH_2 Ph、CH_2 CH_2 OCH_2 Ph、CH_2 CHMeOCH_2 Ph、CH_2 SCH_2 Ph、CH_2 CH_2 SCH_2 Ph、CH_2 CHMeSCH_2 Ph、CH_2 $SOCH_2$ Ph、CH_2 CH_2 $SOCH_2$ Ph、CH_2 CHMe$SOCH_2$ Ph、CH_2 SO_2 CH_2 Ph、CH_2 CH_2 SO_2 CH_2 Ph、CH_2 CHMeSO_2 CH_2 Ph、CH_2 COPh、CH_2 CH_2 COPh、CHMeCOPh、CH_2 $COCH_2$ Ph、CH_2 CH_2 $COCH_2$ Ph、CHMe$COCH_2$ Ph、CH_2 C(Cl) = CH_2、Ph、CH_2 SOPh、CH_2 SO_2 Ph、CH_2 C(Cl) = CHCl、CH_2 CH = C(I)Me、CH_2 CH = CHI、CH_2 C(F) = CHCl、CH_2 CH = CBr_2、CH_2 CH = CHF、CH_2 C(Cl) = CHMe、CH_2 C(F) = CHBr、CH_2 C(Br) = CHCl、CH_2 C(Br) = CCl_2、CH_2 CH = $CHCH_2$ F、CH_2 C(Br) = CH_2、CH_2 C(I) = CH_2、CH_2 C(Br) = C(Cl)Me、CH_2 C(I) = CHMe、CH_2 C(Cl) = CCl_2、CH_2 CH = $CHCCl_3$、CH_2 C(Br) = CHMe、CH_2 C(Cl) = CHF、CH_2 C(Br) = CHF、CH_2 CH = C(Cl)Br、CH_2 C(F) = C(Cl)CF_3、CH_2 C(Cl) = C(Cl)Me、CH_2 C(Br) = CHBr、CH_2 CH = C(F)CF_2 Cl、CH_2 C(Br) = C(Br)Me、CH_2 CH = C(F)CF_3、CH_2 CH = CCl_2、CH_2 C(F) = CH_2、CH_2 CH = $CHCCl_3$、CH_2 CH = C(F)Cl、CH_2 C(Cl) = C(F)Cl、CH_2 C(F) = CCl_2、CH_2 C(Cl) = CF_2、CH_2 C(CF_3) = CH_2、CMe_2 CH = CH_2、CMe_2 C≡CH、CH_2 CH_2 I、CH_2 C≡C-CN、CH_2 NHBu-n、CH_2 $NHSO_2$ Me、CH_2 $NHSO_2$ Et、CH_2 CH_2 $NHSO_2$ Me、CH_2 CH_2 $NHSO_2$ Et、CH_2 NHCOMe、CH_2 NHCOEt、CH_2 CH_2 NHCOMe、CH_2 CH_2 NHCOEt

Specific examples for the substituents R^{c2}, R^{c3}, R^{c4}, R^{c5}, R^{c6}, R^{c11}, and R^{c12} of the compound of the present invention

H、Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、Hep-n、CH = CH_2、CH = CHMe、CMe = CH_2、CH = CMe_2、CH = CHEt、CMe = CHMe、CMe = CMe_2、CH_2 CH = CH_2、CH_2 CH = CHMe、CHMeCH = CH_2、CMe_2 CH = CH_2、C≡CH、C≡CMe、C≡CEt、CH_2 C≡CH、CHMeC≡CH、CMe_2 C≡CH、Ph

Specific examples for the substituents R^{c7}, R^{c8}, R^{c9}, R^{c10}, R^{c13}, and R^{c14} of the compound of the present invention

H、Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、CH_2 F、CHF_2、CF_3、CH_2 Cl、CH_2 Br、CH_2 CF_3、CH_2 CH_2 F、CH_2 CH_2 Cl、CH_2 CH_2 Br、CF_2 CF_3、OMe、OEt、OPr-n、OPr-iso、OCF_3、OCH_2 CF_3、SMe、SEt、SPr-n、SPr-iso、SOMe、SOEt、SOPr-n、SOPr-iso、SO_2 Me、SO_2 Et、SO_2 Pr-n、SO_2 Pr-iso、CO_2 Me、CO_2 Et、CO_2 Pr-n、CO_2 Pr-iso、CO_2 Bu-n、COMe、COEt、COPr-n、COPr-iso、COBu-n、F、Cl、Br、I、NO_2、CN、Ph

Specific examples for the substituents R^{d2}, R^{d3} and R^{d4} of the compound of the present invention

H、Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、Hep-n、CH = CH_2、CH = CHMe、CH = CHEt、CMe = CH_2、CH = CMe_2、CMe = CHMe、CMe = CMe_2、CH_2 CH = CH_2、CH_2 CH = CHMe、CHMeCH = CH_2、CHMeCH = CHMe、CMe_2 CH = CH_2、CMe_2 CH = CHMe、C≡CH、C≡CMe、C≡CEt、CH_2 C≡CH、CH_2 C≡CMe、CHMeC≡CH、CHMeC≡CMe、CMe_2 C≡CH、CMe_2 C≡CMe、Ph

Specific examples for the substituent R^{d5} of the compound of the present invention

Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、Hep-n、CH_2 CH = CH_2、CH_2 CH = CHMe、CH_2 CH = CHEt、CH_2 CH_2 CH = CH_2、CH_2 CH_2 CH = CHMe、CH_2 CH = CMe_2、CHMeCH = CH_2、CH_2 CMe = CH_2、CH_2 CMe = CHMe、CHMeCH = CHMe、CH_2 CMe = CHEt、CH_2 CH_2 CH = CMe_2、CH_2 CMe = CMe_2、CMe_2 CH = CH_2、CMe_2 CH = CHMe、CH_2 C≡CH、CH_2 C≡CMe、CH_2 C≡CEt、CH_2 CH_2 C≡CH、CH_2 CH_2 C≡CMe、CHMeC≡CH、CHMeC≡CMe、CMe_2 C≡CH、CMe_2 C≡CMe、Ph

Specific examples for the substituents R^{d6} and R^{f2} of the compound of the present invention

Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、Hep-n、CH_2 CH=CH_2、CH_2 CH=CHMe、CH_2 CH=CHEt、CH_2 CH_2 CH=CH_2、CH_2 CH_2 CH=CHMe、CH_2 CH=CMe_2、CHMeCH=CH_2、CH_2 CMe=CH_2、CH_2 CMe=CHMe、CHMeCH=CHMe、CH_2 CMe=CHEt、CH_2 CH_2 CH=CMe_2、CH_2 CMe=CMe_2、CMe_2 CH=CH_2、CMe_2 CH=CHMe、CH_2 C≡CH、CH_2 C≡CMe、CH_2 C≡CEt、CH_2 CH_2 C≡CH、CH_2 CH_2 C≡CMe、CHMeC≡CH、CHMeC≡CMe、CMe_2 C≡CH、CMe_2 C≡CMe、CH_2 SMe、CH_2 SEt、CH_2 SPr-n、CH_2 SBu-n、CH_2 CH_2 SMe、CH_2 CH_2 SEt、CH_2 CH_2 SPr-n、CH_2 SOMe、CH_2 SOEt、CH_2 SOPr-n、CH_2 CH_2 SOMe、CH_2 CH_2 SOEt、CH_2 CH_2 SOPr-n、CH_2 SO_2 Me、CH_2 SO_2 Et、CH_2 SO_2 Pr-n、CH_2 SO_2 Bu-n、CH_2 CH_2 SO_2 Me、CH_2 CH_2 SO_2 Et、CH_2 CH_2 SO_2 Pr-n、CH_2 OMe、CH_2 OEt、CH_2 OPr-n、CH_2 OBu-n、CH_2 CH_2 OMe、CH_2 CH_2 OEt、CH_2 CH_2 OPr-n、CH_2 CO_2 Me、CH_2 CO_2 Et、CH_2 CO_2 Pr-n、CH_2 CO_2 Bu-n、CHMeCO_2 Me、CHMeCO_2 Et、CHMeCO_2 Pr-n、CMe_2 CO_2 Me、CMe_2 CO_2 Et、CH_2 COMe、CH_2 COEt、CH_2 COPr-n、CH_2 COBu-n、CH_2 CH_2 COMe、CH_2 CH_2 COEt、CH_2 CH_2 COPr-n、CH_2 CN、CH_2 CH_2 CN、CH_2 CH_2 CH_2 CN、Ph、CH_2 Ph、CH_2 CH_2 Ph、CHMePh

Specific examples for the substituents R^{e2}, R^{e3}, R^{e6} and R^{e7} of the compound of the present invention

H、Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、CH_2 F、CHF_2 CF_3、CH_2 Cl、CH_2 Br、CH_2 CF_3、CH_2 CH_2 F、CH_2 CH_2 Cl、CH_2 CH_2 Br、CF_2 CF_3、OMe、OEt、OPr-n、OPr-iso、OCF_3、OCH_2 CF_3、SMe、SEt、SPr-n、SPr-iso、SOMe、SOEt、SOPr-n、SOPr-iso、SO_2 Me、SO_2 Et、SO_2 Pr-n、SO_2 Pr-iso、CO_2 Me、CO_2 Et、CO_2 Pr-n、CO_2 Pr-iso、CO_2 Bu-n、COMe、COEt、COPr-n、COPr-iso、COBu-n、F、Cl、Br、I、NO_2、CN、Ph

Specific examples for the substituents R^{e4}, R^{e5}, R^{e8}, R^{e9} and R^{e10} of the compound of the present invention

H、Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、CH=CH_2、CH=CHMe、CH=CHEt、CMe=CH_2、CH=CMe_2、CMe=CHMe、CMe=CMe_2、CH_2 CH=CH_2、CH_2 CH=CHMe、CHMeCH=CH_2、CHMeCH=CHMe、CMe_2 CH=CH_2、CMe_2 CH=CHMe、C≡CH、C≡CMe、C≡CEt、CH_2 C≡CH、CH_2 C≡CMe、CHMeC≡CH、CHMeC≡CMe、CMe_2 C≡CH、CMe_2 C≡CMe、Ph

Specific examples for the substituents R^{f3}, R^{f4}, R^{f7}, R^{f8}, R^{f11} and R^{f12} of the compound of the present invention

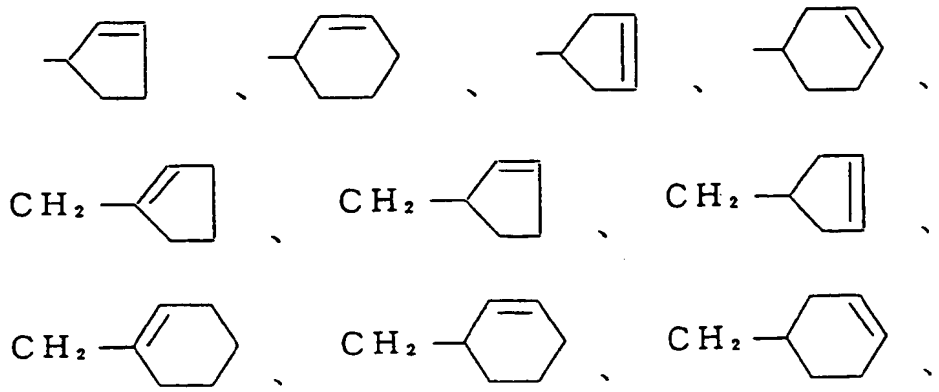
H、Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、CH_2 F、CHF_2、CF_3、CH_2 Cl、CH_2 Br、CH_2 CF_3、CH_2 CH_2 F、CH_2 CH_2 Cl、CH_2 CH_2 Br、CF_2 CF_3、OMe、OEt、OPr-n、OPr-iso、OCF_3、OCH_2 CF_3、SMe、SEt、SPr-n、SPr-iso、SOMe、SOEt、SOPr-n、SOPr-iso、SO_2 Me、SO_2 Et、SO_2 Pr-n、SO_2 Pr-iso、CO_2 Me、CO_2 Et、CO_2 Pr-n、CO_2 Pr-iso、CO_2 Bu-n、COMe、COEt、COPr-n、COPr-iso、COBu-n、F、Cl、Br、I、NO_2、CN、Ph

Specific examples for the substituents R^{f5}, R^{f6}, R^{f9}, R^{f10}, R^{f13}, R^{f14} and R^{f15} of the compound of the present invention

H、Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、Hep-n、CH=CH_2、CH=CHMe、CH=CHEt、CMe=CH_2、CH=CMe_2、CMe=CHMe、CMe=CMe_2、CH_2 CH=CH_2、CH_2 CH=CHMe、CHMeCH=CH_2、CHMeCH=CHMe、CMe_2 CH=CH_2、CMe_2 CH=CHMe、C≡CH、C≡CMe、C≡CEt、CH_2 C≡CH、CH_2 C≡CMe、CHMeC≡CH、CHMeC≡CMe、CMe_2 C≡CH、CMe_2 C≡CMe、Ph

Specific examples for the substituent R^{g1} of the compound of the present invention

Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、Hep-n、Pr-cyc、Bu-cyc、Pen-cyc、Hex-cyc、CH_2 Pr-cyc、CH_2 CH_2 Pr-cyc、CHMe-Pr-cyc、CH_2 CHMe-Pr-cyc、CHMeCH_2 Pr-cyc、CH_2 Bu-cyc、CH_2 CH_2 Bu-cyc、CH_2 Pen-cyc、CH_2 Hex-cyc、



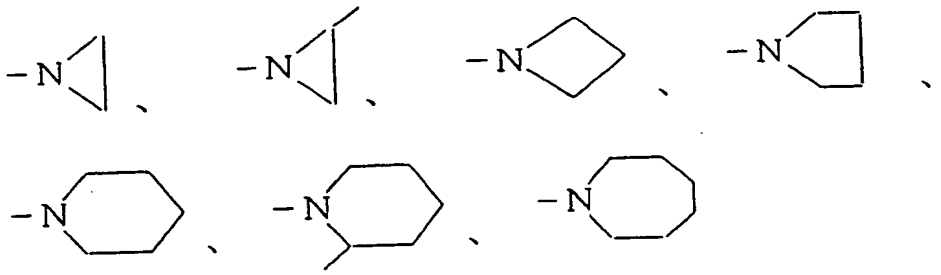
CH_2 $CH=CH_2$、CH_2 $CH=CHMe$、CH_2 $CH=CHEt$、CH_2 CH_2 $CH=CH_2$、CH_2 CH_2 $CH=CHMe$、CH_2 $CH=CMe_2$、$CHMeCH=CH_2$、CH_2 $CMe=CH_2$、CH_2 $CMe=CHMe$、$CHMeCH=CHMe$、CH_2 $CMe=CHEt$、CH_2 CH_2 $CH=CMe_2$、CH_2 $CMe=CMe_2$、CH_2 $C\equiv CH$、CH_2 $C\equiv CMe$、CH_2 $C\equiv CEt$、CH_2 CH_2 $C\equiv CH$、CH_2 CH_2 $C\equiv CMe$、$CHMeC\equiv CH$、$CHMeC\equiv CMe$、CH_2 OMe、CH_2 OEt、CH_2 $OPr\text{-}n$、CH_2 $OPr\text{-}iso$、CH_2 CH_2 OMe、CH_2 CH_2 OEt、CH_2 CH_2 $OPr\text{-}n$、$CHMeOMe$、$CHMeOEt$、CH_2 $CHMeOMe$、CH_2 $CHMeOEt$、CH_2 CH_2 CH_2 OMe、CH_2 CH_2 CH_2 OEt、CH_2 OCH_2 $CH=CH_2$、CH_2 OCH_2 $CH=CHMe$、CH_2 CH_2 OCH_2 $CH=CH_2$、CH_2 CH_2 OCH_2 $CH=CHMe$、CH_2 OCH_2 $C\equiv CH$、CH_2 OCH_2 $C\equiv CMe$、CH_2 $OCHMeC\equiv CH$、CH_2 $OCMe_2$ $C\equiv CH$、CH_2 CH_2 OCH_2 $C\equiv CH$、CH_2 CH_2 OCH_2 $C\equiv CMe$、CH_2 CH_2 $OCHMeC\equiv CH$、CH_2 CH_2 $OCMe_2$ $C\equiv CH$、CH_2 $OCHF_2$、CH_2 OCF_3、CH_2 OCF_2 CF_3、CH_2 CH_2 $OCHF_2$、CH_2 CH_2 OCF_3、CH_2 CH_2 OCF_2 CF_3、CH_2 OCH_2 CF_3、CH_2 CH_2 OCH_2 CF_3、CH_2 OCH_2 CHF_2、CH_2 CH_2 OCH_2 CHF_2、CH_2 OCH_2 CH_2 F、CH_2 OCH_2 CH_2 Cl、CH_2 OCH_2 CH_2 Br、CH_2 CH_2 OCH_2 CH_2 F、CH_2 CH_2 OCH_2 CH_2 Cl、CH_2 CH_2 OCH_2 CH_2 Br、CH_2 OCH_2 $CH=CHCl$、CH_2 CH_2 OCH_2 $CH=CHCl$、CH_2 OCH_2 $CH=CHBr$、CH_2 CH_2 OCH_2 $CH=CHBr$、CH_2 OCH_2 $CF=CF_2$、CH_2 CH_2 OCH_2 $CF=CF_2$、CH_2 $OCH=CHCl$、CH_2 CH_2 $OCH=CHCl$、CH_2 $OCF=CF_2$、CH_2 CH_2 $OCF=CF_2$、CH_2 OCF_2 $CF=CF_2$、CH_2 CH_2 OCF_2 $CF=CF_2$、CH_2 OCH_2 $CH=CF_2$、CH_2 CH_2 OCH_2 $CH=CF_2$、CH_2 OCH_2 $CH=CHCF_3$、CH_2 CH_2 OCH_2 $CH=CHCF_3$、CH_2 OCH_2 $C\equiv Cl$、CH_2 OCH_2 CH_2 $C\equiv Cl$、CH_2 CH_2 OCH_2 $C\equiv Cl$、CH_2 OCH_2 $C\equiv CCF_3$、CH_2 CH_2 OCH_2 $C\equiv CCF_3$、CH_2 $OCMe_2$ $C\equiv Cl$、CH_2 CH_2 $OCMe_2$ $C\equiv Cl$、CH_2 $OCMe_2$ $C\equiv CCF_3$、CH_2 CH_2 $OCMe_2$ $C\equiv CCF_3$、CH_2 SMe、CH_2 SEt、CH_2 $SPr\text{-}n$、CH_2 CH_2 SMe、CH_2 CH_2 SEt、CH_2 CH_2 $SPr\text{-}n$、$CHMeSMe$、$CHMeSEt$、CH_2 $CHMeSMe$、CH_2 $CHMeSEt$、CH_2 $SOMe$、CH_2 $SOEt$、CH_2 $SOPr\text{-}n$、CH_2 CH_2 $SOMe$、CH_2 CH_2 $SOEt$、CH_2 CH_2 $SOPr\text{-}n$、$CHMeSOMe$、$CHMeSOEt$、CH_2 $CHMeSOMe$、CH_2 $CHMeSOEt$、CH_2 SO_2 Me、CH_2 SO_2 Et、CH_2 SO_2 $Pr\text{-}n$、CH_2 CH_2 SO_2 Me、CH_2 CH_2 SO_2 Et、CH_2 CH_2 SO_2 $Pr\text{-}n$、$CHMeSO_2$ Me、$CHMeSO_2$ Et、CH_2 $CHMeSO_2$ Me、CH_2 $CHMeSO_2$ Et、CH_2 CH_2 F、CH_2 CHF_2、CH_2 CF_3、CH_2 CH_2 Cl、CH_2 CH_2 Br、CH_2 CCl_3、CH_2 CH_2 CF_3、CH_2 CH_2 CCl_3、CH_2 CH_2 CH_2 F、CH_2 CH_2 CH_2 Cl、CF_2 CF_3、CH_2 CF_2 CF_3、CH_2 $CH=CHCl$、CH_2 $CH=CHBr$、CH_2 $CH=CF_2$、CH_2 $CF=CF_2$、CH_2 $CH=CHCF_3$、CH_2 $CH=CBrMe$、CH_2 $CH=CClMe$、CH_2 $CH=C(CF_3)Me$、CF_2 $CF=CF_2$、CH_2 $C=Cl$、CH_2 CH_2 $C\equiv I$、CH_2 $C\equiv CCF_3$、CH_2 CH_2 $C\equiv CCF_3$、CH_2 CN、CH_2 CH_2 CN、$CHMeCN$、CH_2 $CHMeCN$、CH_2 CMe_2 CN、CH_2 $CH=CHCN$、CH_2 $CH(CN)CH=CH_2$、CH_2 $C(CN)=CH_2$、CH_2 $C(CN)=CHMe$、CH_2 $CH(CN)C=CH$、CH_2 $CH(CN)C\equiv C\text{-}Me$、$CH(CN)C\equiv CH$、$CH_2$ NO_2、CH_2 CH_2 NO_2、CH_2 $CHMeNO_2$、CH_2 CMe_2 NO_2、CH_2 CH_2 CH_2 NO_2、CH_2 $CH=CHNO_2$、CH_2 $CH(NO_2)\text{-}CH=CH_2$、CH_2 $C(NO_2)=CH_2$、CH_2 $C(NO_2)=CHMe$、CH_2 $CH(NO_2)C\equiv CH$、CH_2 $CH(NO_2)C\equiv CMe$、CH_2 CO_2 Me、CH_2 CO_2 Et、CH_2 CO_2 $Pr\text{-}n$、CH_2 CO_2 $Pr\text{-}iso$、CH_2 CO_2 $Bu\text{-}n$、$CHMeCO_2$ Me、$CHMeCO_2$ Et、CH_2 CH_2 CO_2 Me、CH_2 CH_2 CO_2 Et、CH_2 $CHMeCO_2$ Me、CH_2 CH_2 CH_2 CO_2 Me、CH_2 $CH=CHCO_2$ Me、CH_2 $CH=CHCO_2$ Et、CH_2 $CH=CHCO_2$ $Pr\text{-}n$、CH_2 $CH=CMeCO_2$ Me、CH_2 $CMe=CHCO_2$ Me、$CHMeCH=CHCO_2$ Me、$CHMeCH=CHCO_2$ Et、CH_2 CH_2 $CH=CHCO_2$ Me、CH_2 $CH=CHCH_2$ CO_2 Me、CH_2 $C\equiv CCO_2$ Me、CH_2 $C\equiv CCO_2$ Et、CH_2 $C\equiv CCO_2$ $Pr\text{-}n$、CH_2 CH_2 $C\equiv CCO_2$ Me、CH_2 $CHMeC\equiv CCO_2$ Me、CH_2 CMe_2 $C\equiv CCO_2$ Me、CH_2 $C\equiv CCH_2$ CO_2 Me、CH_2 $COMe$、CH_2 $COEt$、CH_2 $COPr\text{-}n$、CH_2 CH_2 $COMe$、CH_2 CH_2 $COEt$、CH_2 $CHMeCOMe$、CH_2 CMe_2 $COMe$、CH_2 $COCF_3$、CH_2、$COCCl_3$、CH_2 CH_2 $COCF_3$、CH_2 $COCH_2$ CF_3、CH_2 $COCH_2$ CHF_2、CH_2 $COCH_2$ $CHCl_2$、CH_2 $COCH_2$ F、CH_2 $COCH_2$ Cl、CH_2 $COCH_2$ Br、CH_2 $COCH=CH_2$、CH_2 $COCH=CHMe$、CH_2 $COCH_2$ $CH=CH_2$、CH_2 CH_2 $COCH=CH_2$、CH_2 CH_2 $COCH=CHMe$、CH_2 $COC\equiv CH$、CH_2 $COC\equiv CMe$、CH_2 $COCH_2$ $C\equiv CH$、CH_2 CH_2 $COC\equiv CH$、CH_2 CH_2 $COC\equiv CMe$、CH_2 $COCH_2$ OMe、CH_2 $COCH_2$ OEt、CH_2 $COCH_2$ CH_2 OMe、CH_2 $COCH_2$ CH_2 OEt、CH_2 CH_2 $COCH_2$ OMe、CH_2 CH_2 $COCH_2$ OEt、CH_2 $COCH_2$ SMe、CH_2 $COCH_2$ SEt、CH_2 $COCH_2$ CH_2 SMe、CH_2 $COCH_2$ CH_2 SEt、CH_2 CH_2 $COCH_2$ SMe、CH_2 CH_2 $COCH_2$ SEt、CH_2 $COCH_2$ $SOMe$、CH_2 $COCH_2$ $SOEt$、

CH_2 $COCH_2$ CH_2 SOMe、CH_2 $COCH_2$ CH_2 SOEt、CH_2 CH_2 $COCH_2$ SOMe、CH_2 CH_2 $COCH_2$ SOEt、CH_2 $COCH_2$ SO_2 Me、CH_2 $COCH_2$ SO_2 Et、CH_2 $COCH_2$ CH_2 SO_2 Me、CH_2 $COCH_2$ CH_2 SO_2 Et、CH_2 CH_2 $COCH_2$ SO_2 Me、CH_2 CH_2 $COCH_2$ SO_2 Et、CH_2 CH = CHCOMe、CH_2 CH = CHCOEt、CHMeCH = CHCOMe、CHMeCH = CHCOEt、CH_2 C≡CCOMe、CH_2 C≡CCOEt、CHMeC≡CCOMe、CHMeC≡CCOEt、CH_2 SO_2 NHMe、CH_2 SO_2 NHEt、CH_2 SO_2 NHPr-n、CH_2 CH_2 SO_2 NHMe、CH_2 CH_2 SO_2 NHEt、CH_2 CH_2 SO_2 NHPr-n、CH_2 SO_2 NHOMe、CH_2 SO_2 NHOEt、CH_2 SO_2 NHOPr-n、CH_2 CH_2 SO_2 NHOMe、CH_2 CH_2 SO_2 NHOEt、CH_2 CH_2 SO_2 NHOPr-n、CH_2 SO_2 NMe_2、CH_2 SO_2 NMeEt、CH_2 SO_2 NEt_2、CH_2 CH_2 SO_2 NMe_2、CH_2 CH_2 SO_2 NMeEt、CH_2 CH_2 SO_2 NEt_2、CH_2 SO_2 N(OMe)Me、CH_2 SO_2 N(OMe)Et、CH_2 SO_2 N(OEt)Me、CH_2 CH_2 SO_2 N(OMe)Me、CH_2 CH_2 SO_2 N(OMe)Et、CH_2 CH_2 SO_2 N(OEt)Me、CH_2 CH_2 SO_2 N(OEt)Et、CH_2 CONHMe、CH_2 CONHEt、CH_2 CONHPr-n、CH_2 $CONMe_2$、CH_2 CONMeEt、CH_2 $CONEt_2$、CH_2 CH_2 $CONMe_2$、CH_2 CH_2 CONMeEt、CH_2 CH_2 $CONEt_2$、CH_2 CONHOMe、CH_2 CONHOEt、CH_2 CONHOPr-n、CH_2 CH_2 CONHOMe、CH_2 CH_2 CONHOEt、CH_2 CH_2 CONHOPr-n、CH_2 CON(OMe)Me、CH_2 CON(OMe)Et、CH_2 CON(OEt)Me、CH_2 CH_2 CON(OMe)Me、CH_2 CH_2 CON(OMe)Et、CH_2 CH_2 CON(OEt)Me、CH_2 CON(OEt)Et、CH_2 CH_2 CON(OEt)Et、CH_2 NHMe、CH_2 NHEt、CH_2 NHPr-n、CH_2 CH_2 NHMe、CH_2 CH_2 NHEt、CH_2 CH_2 NHPr-n、CH_2 CHMeNHMe、CH_2 CHMeNHEt、CH_2 CHMeNHPr-n、CH_2 CH_2 CH_2 NHMe、CH_2 NHOMe、CH_2 NHOEt、CH_2 NHOPr-n、CH_2 CH_2 NHOMe、CH_2 CH_2 NHOEt、CH_2 CH_2 NHOPr-n、CH_2 CHMeNHOMe、CH_2 CHMeNHOEt、CH_2 CHMeNHOPr-n、CH_2 NMe_2、CH_2 NMeEt、CH_2 NMePr-n、CH_2 CH_2 NMe_2、CH_2 CH_2 NMeEt、CH_2 CH_2 NMePr-n、CH_2 NEt_2、CH_2 CH_2 NEt_2、CH_2 N(OMe)Me、CH_2 N(OMe)Et、CH_2 N(OEt)Me、CH_2 N(OEt)Et、CH_2 CH_2 N(OMe)Me、CH_2 CH_2 N(OMe)Et、CH_2 CH_2 N(OEt)Me、CH_2 CH_2 N(OEt)Et、CH_2 NMeCOMe、CH_2 NEtCOMe、CH_2 NMeCOEt、CH_2 CH_2 NMeCOMe、CH_2 CH_2 NEtCOMe、CH_2 CH_2 NMeCOEt、CH_2 N(OMe)COMe、CH_2 N(OEt)COMe、CH_2 N(OMe)COEt、CH_2 CH_2 N(OMe)COMe、CH_2 CH_2 N(OEt)COMe、CH_2 CH_2 N(OMe)COEt、CH_2 $NMeSO_2$ Me、CH_2 $NEtSO_2$ Me、CH_2 $NMeSO_2$ Et、CH_2 CH_2 $NMeSO_2$ Me、CH_2 CH_2 $NEtSO_2$ Me、CH_2 CH_2 $NMeSO_2$ Et、CH_2 N(OMe)SO_2 Me、CH_2 N(OEt)SO_2 Me、CH_2 N(OMe)SO_2 Et、CH_2 CH_2 N(OMe)SO_2 Me、CH_2 CH_2 N(OEt)SO_2 Me、CH_2 N(OMe)SO_2 Et、CH_2 Ph、CH_2 CH_2 Ph、CH_2 CH_2 CH_2 Ph、CHMePh、CH_2 CHMePh、CH_2 CMe_2 Ph、CH_2 CH = CHPh、CH_2 CH = CMePh、CHMeCH = CHPh、CH_2 CMe = CMePh、CHMeCMe = CMePh、CH_2 C≡CPh、CHMeC≡CPh、CH_2 CMe_2 C≡CPh、CH_2 CH_2 OPh、CH_2 CHMeOPh、CH_2 CMe_2 OPh、CH_2 OPh、CH_2 CH_2 SPh、CH_2 CHMeSPh、CH_2 CMe_2 SPh、CH_2 SPh、CH_2 CH_2 SOPh、CH_2 CHMeSOPh、CH_2 CMe_2 SOPh、CH_2 CH_2 SO_2 Ph、CH_2 CHMeSO_2 Ph、CH_2 CMe_2 SO_2 Ph、CH_2 OCH_2 Ph、CH_2 CH_2 OCH_2 Ph、CH_2 CHMeOCH_2 Ph、CH_2 SCH_2 Ph、CH_2 CH_2 SCH_2 Ph、CH_2 CHMeSCH_2 Ph、CH_2 $SOCH_2$ Ph、CH_2 CH_2 $SOCH_2$ Ph、CH_2 CHMe$SOCH_2$ Ph、CH_2 SO_2 CH_2 Ph、CH_2 CH_2 SO_2 CH_2 Ph、CH_2 CHMeSO_2 CH_2 Ph、CH_2 COPh、CH_2 CH_2 COPh、CHMeCOPh、CH_2 $COCH_2$ Ph、CH_2 CH_2 $COCH_2$ Ph、CHMe$COCH_2$ Ph

Specific examples for the substituents R^{g2} and R^{g3} of the compound of the present invention

Me、Et、Pr-n、Pr-iso、Bu-n、Bu-iso、Bu-sec、Bu-tert、Pen-n、Hex-n、CH_2 CH = CH_2、CH_2 CH = CHMe、CH_2 CH = CHEt、CH_2 CH_2 CH = CH_2、CH_2 CH_2 CH = CHMe、CH_2 CH = CMe_2、CHMeCH = CH_2、CH_2 CMe = CH_2、CH_2 CMe = CHMe、CHMeCH = CHMe、CH_2 CMe = CHEt、CH_2 CH_2 CH = CMe_2、CH_2 CMe = CMe_2、CH_2 C≡CH、CH_2 C≡CMe、CH_2 C≡CEt、CH_2 CH_2 C≡CH、CH_2 CH_2 C≡CMe、CHMeC≡CH、CHMeC≡CMe、CH_2 F、CHF_2、CF_3、CH_2 Cl、CH_2 Br、CH_2 CF_3、CH_2 CH_2 F、CH_2 CH_2 Cl、CH_2 CH_2 Br、CF_2 CF_3、OMe、OEt、OPr-n、OPr-iso、SO_2 Me、SO_2 Et、SO_2 Pr-n、SO_2 Pr-iso、SO_2 NHMe、SO_2 NHEt、SO_2 NHPr-n、SO_2 NHPr-iso、SO_2 NMe_2、SO_2 NEt_2、SO_2 $N(Pr\text{-}n)_2$、SO_2 NMeEt、SO_2 NMePr-n、CO_2 Me、CO_2 Et、CO_2 Pr-n、CO_2 Pr-iso、CO_2 Bu-n、COMe、COEt、COPr-n、COPr-iso、COBu-n、CONHMe、CONHEt、CONHPr-n、CONHPr-iso、$CONMe_2$、$CONEt_2$、$CON(Pr\text{-}n)_2$、CONMeEt、CONMePr-n、Ph、$PhCH_2$

Specific examples of -$NR^{92}R^{93}$ wher in the substituents R^{92} and R^{93} of the compound of the present invention form a saturat d 3- to 7-membered heterocyclic ring together with the nitrogen atom to which they are bonded



Specific examples for the substituent L of the compound of the present invention

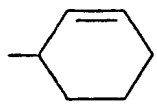
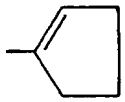
H, Me, Et, Pr-n, $CH_2CH=CH_2$, $CH_2C{\equiv}CH$

Specific examples for the substituents B and D of the compound of the present invention

Me、Et、Pr-n、OMe、OEt、CH_2F、CHF_2、CF_3、$OCHF_2$、OCF_3、F、Cl、Br、NHMe、NHEt、NHPr-n、OCH_2CF_3、NMe_2、$OCBrF_2$、CH_2Cl、CH_2F

Now, examples of the compound covered by the present invention will be presented in the following Tables 1A, 1B, 1C, 2A, 2B, 2C, 3, 4A, 4B, 4C, 5, 6, 7, 8, 9, 10, 11 and 12. However, the compound of the present invention is not limited to such examples. The symbols in these Tables have the following meanings.

Me: methyl group, Et: ethyl group, Pr-n: n-propyl group, Pr-iso: isopropyl group, Bu-n: n-butyl group, Bu-iso: isobutyl group, Bu-sec: sec-butyl group, Bu-tert: tert-butyl group, Pen-n: n-pentyl grup, Hex-n: n-hexyl group, Hep-n: n-heptyl group, Pr-cyc: cyclopropyl group, Bu-cyc: cyclobutyl group, Pen-cyc: cyclopentyl group, Hex-cyc: cyclohexyl group, and Ph: phenyl group,

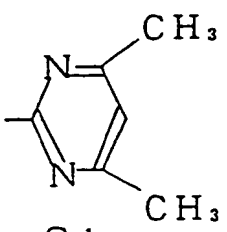
Hexen-cyc:  , Penten-cyc: 

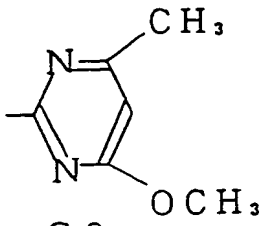
Gn is the same as above G and represents the following Ga, Gb and Gc.

Ga = G1 to G90 (i.e. represents any one of G1 to G90)

Gb = G1 to G13 (i.e. represents any one of G1 to G13)

Gc = G1 to G6 (i.e. represents any one of G1 to G6)


G 1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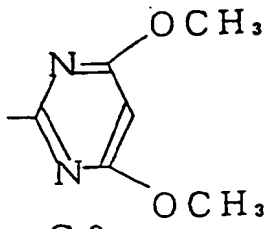
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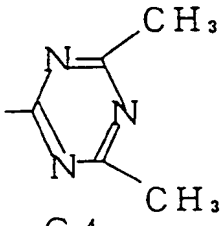
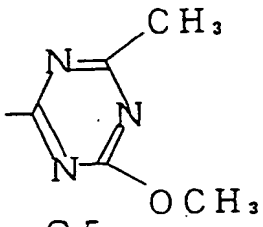
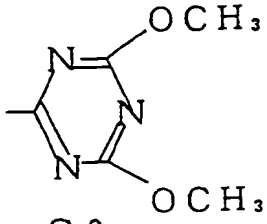
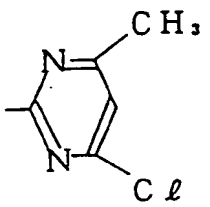

G 4


G 5


G 6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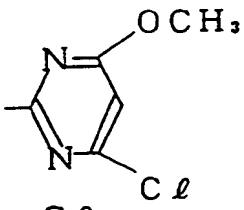
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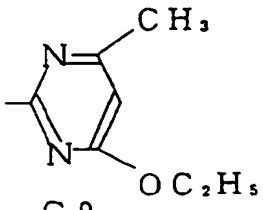
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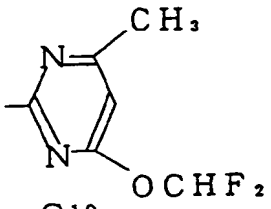
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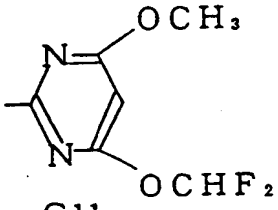
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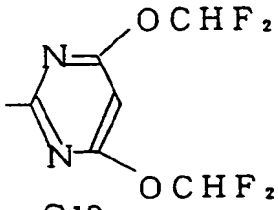
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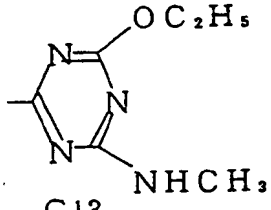
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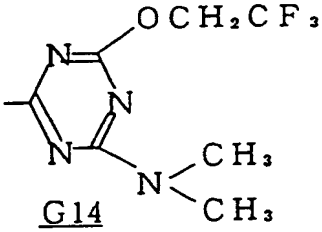
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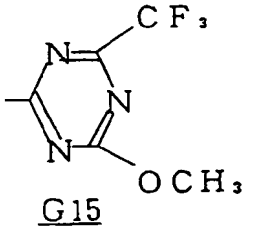
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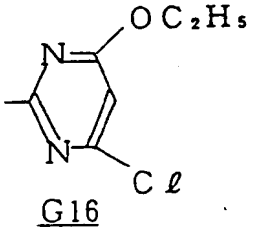
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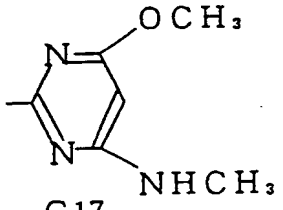
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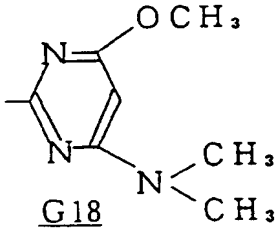
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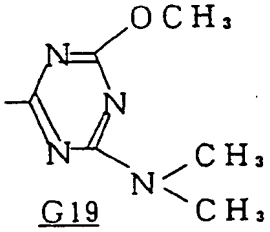
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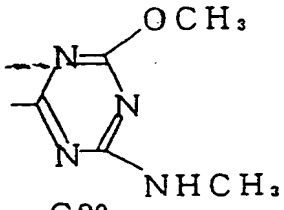
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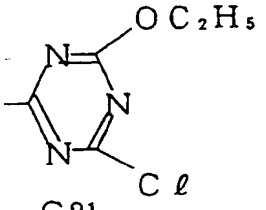
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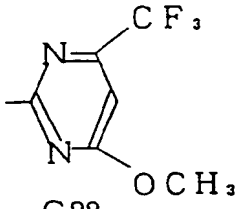
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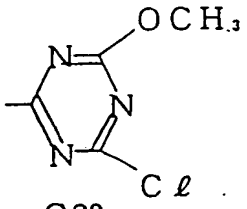
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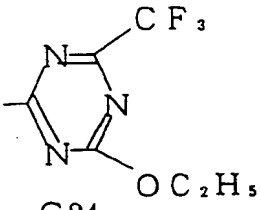
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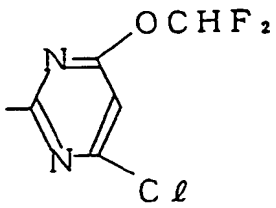

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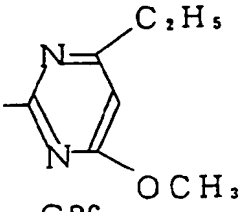

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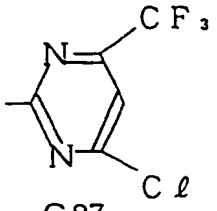

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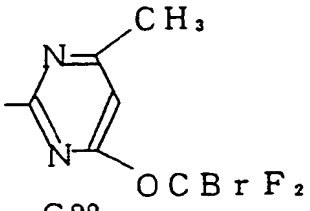

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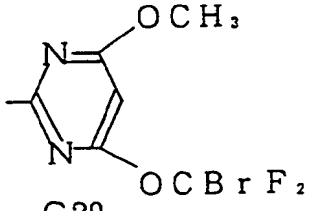

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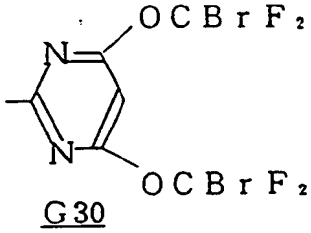

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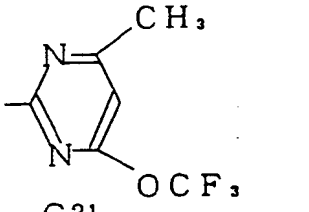

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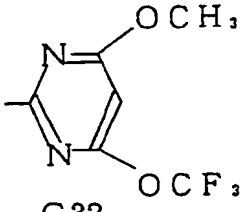

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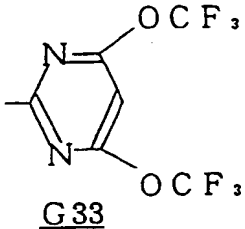

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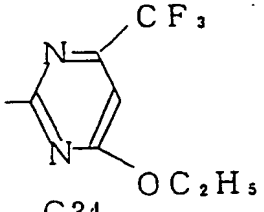

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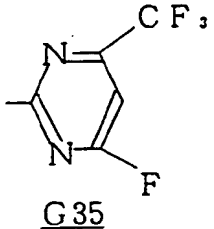

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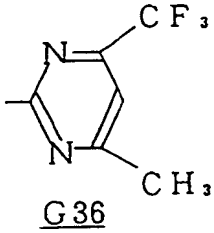

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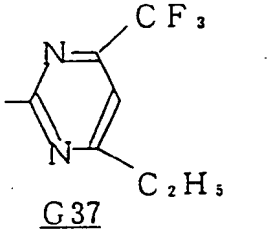

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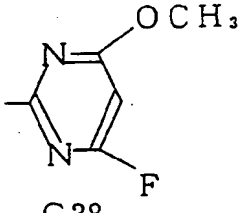

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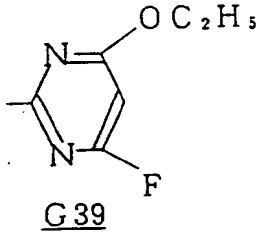

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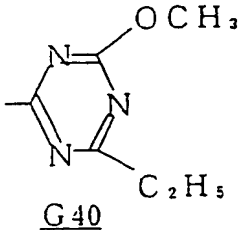

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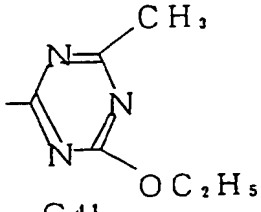

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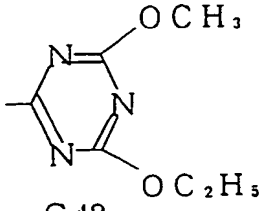

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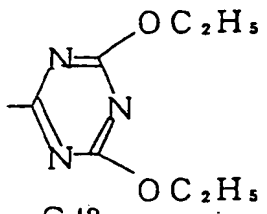
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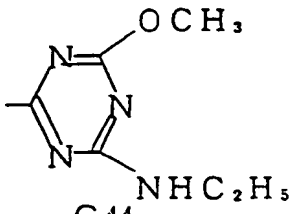
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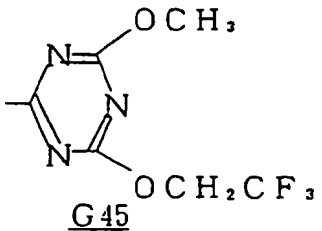
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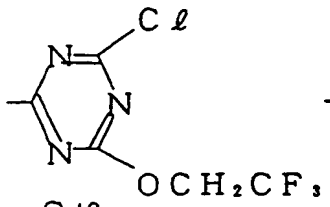
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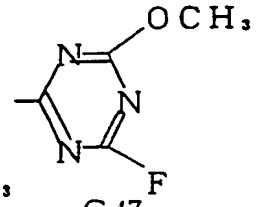
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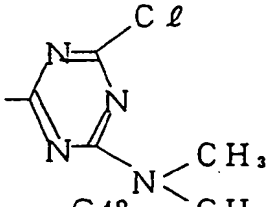
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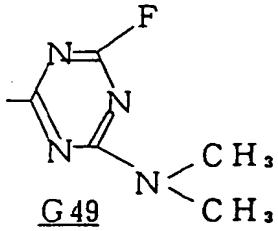
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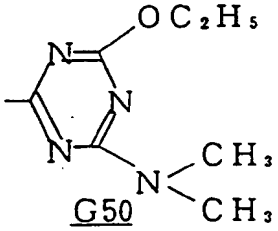
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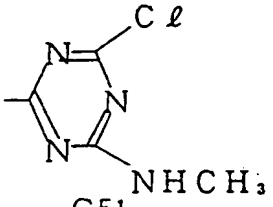
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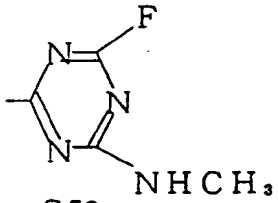
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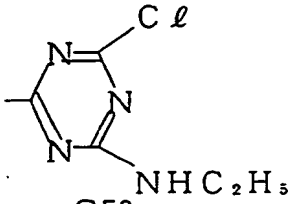
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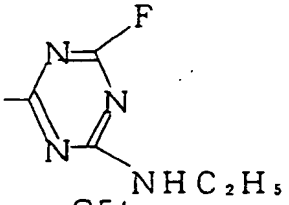
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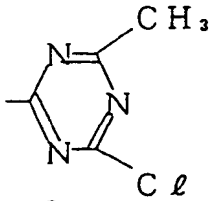
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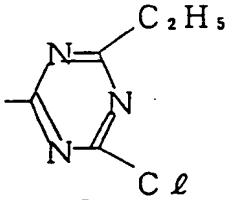
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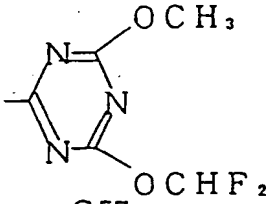
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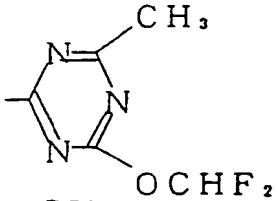
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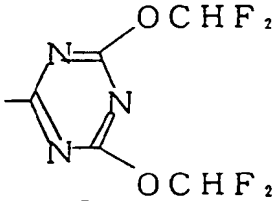
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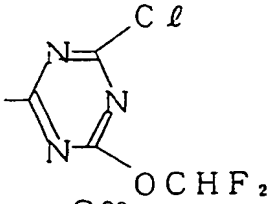
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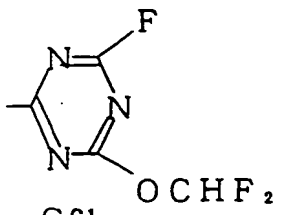
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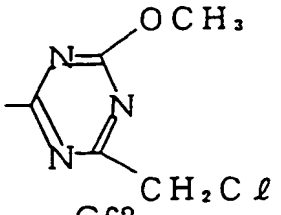
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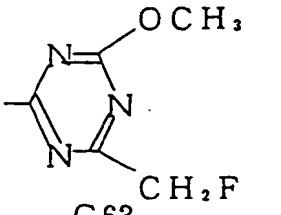
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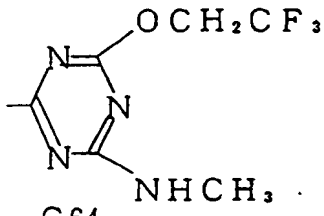
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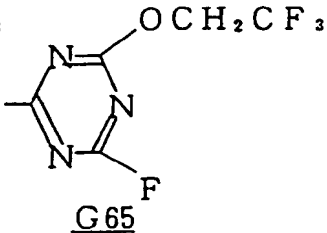
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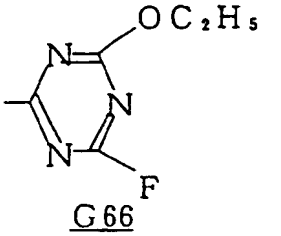
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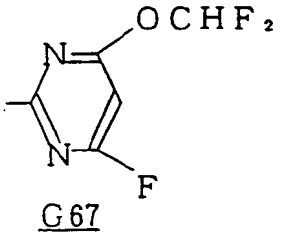
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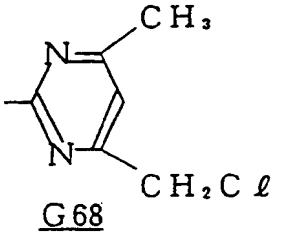
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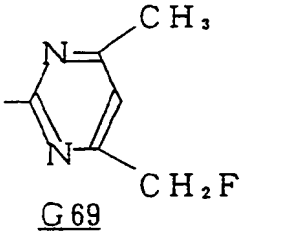
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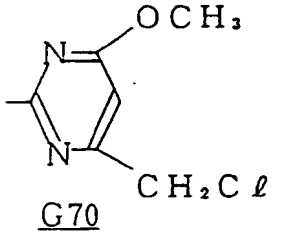
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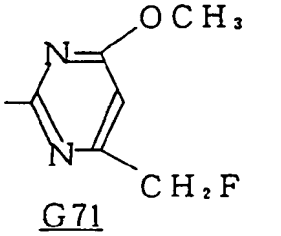
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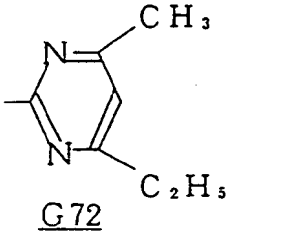
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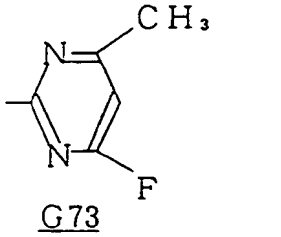
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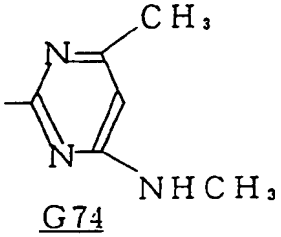
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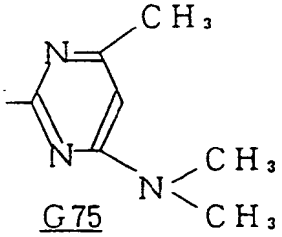
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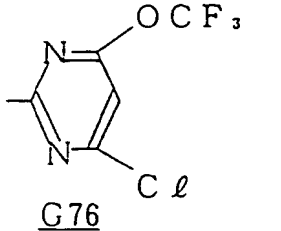
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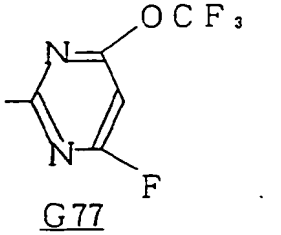
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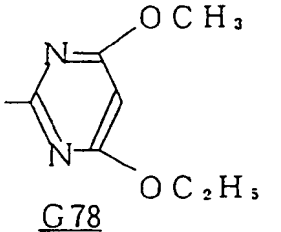
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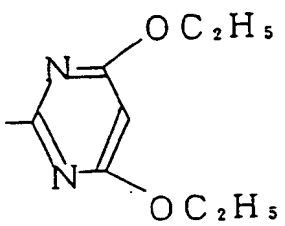
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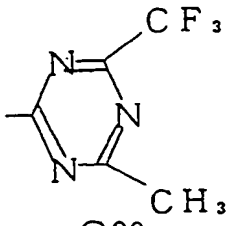
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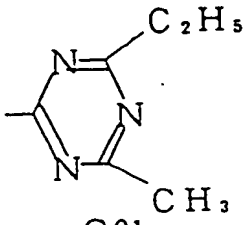
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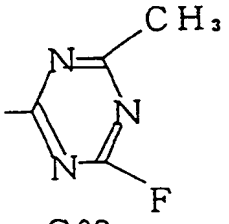
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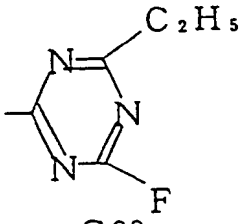
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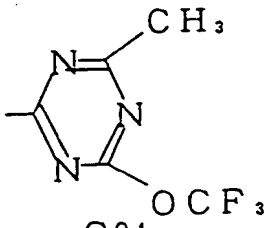
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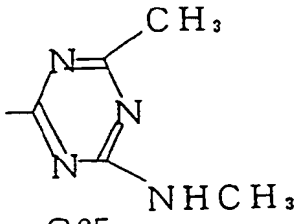
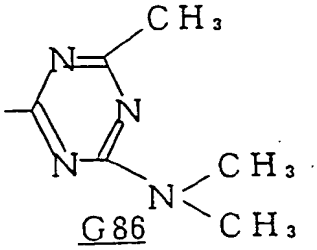

G85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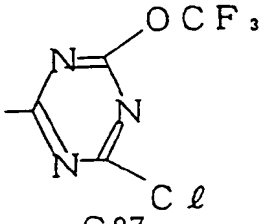
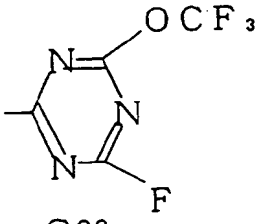

G87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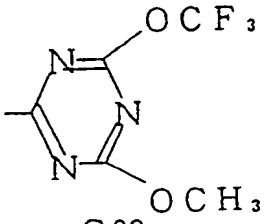
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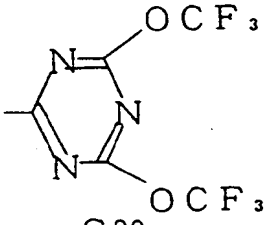
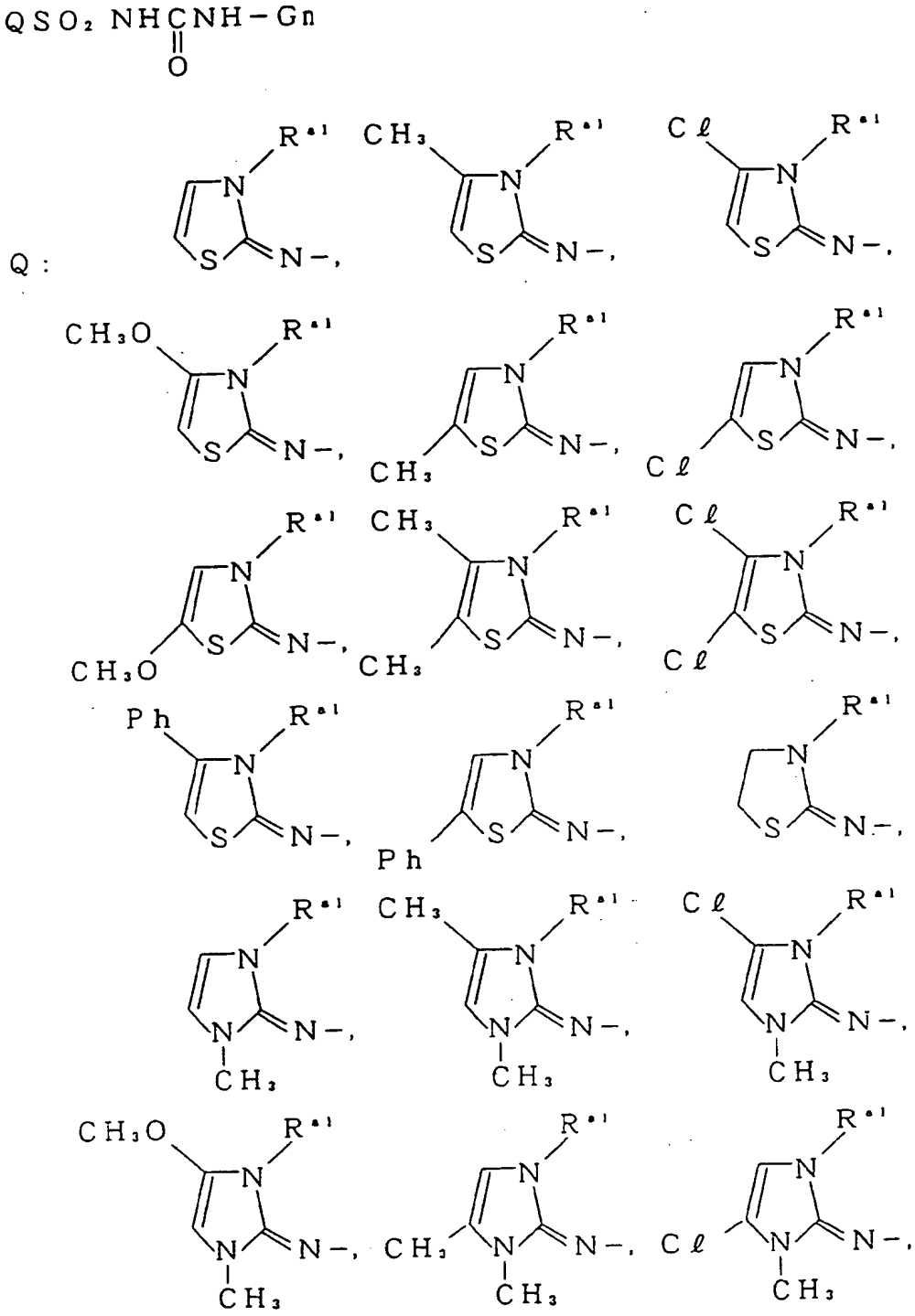
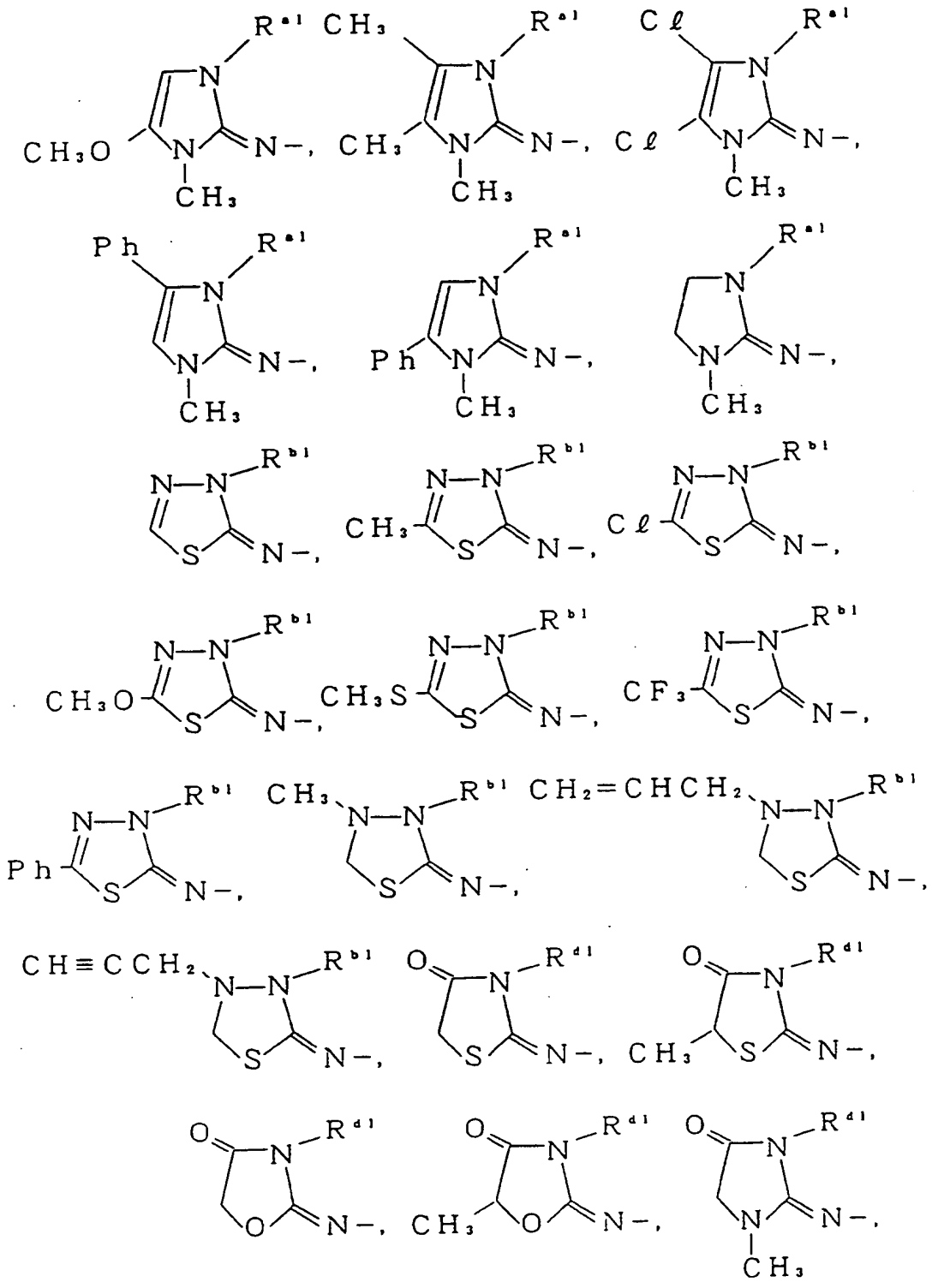
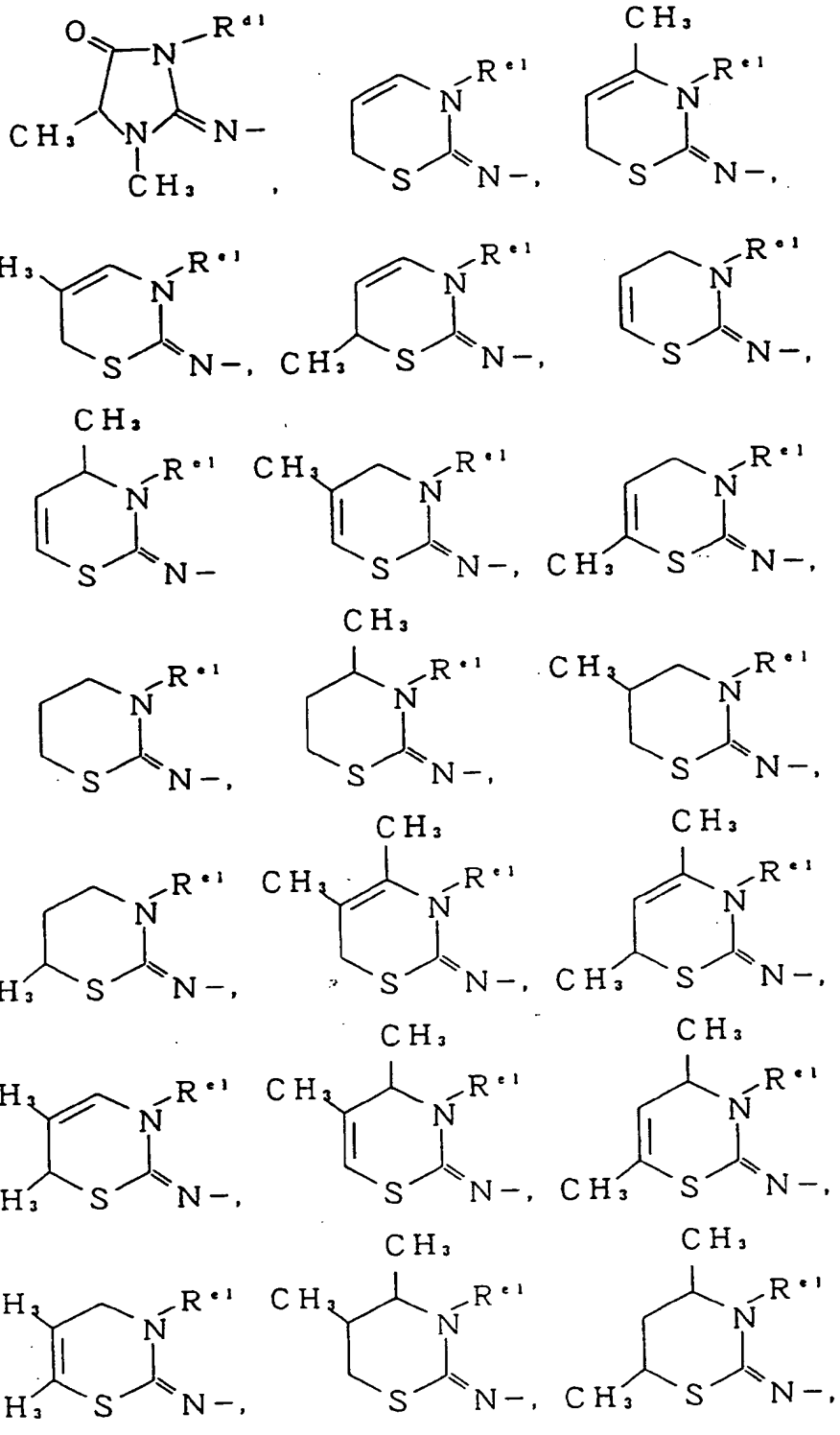
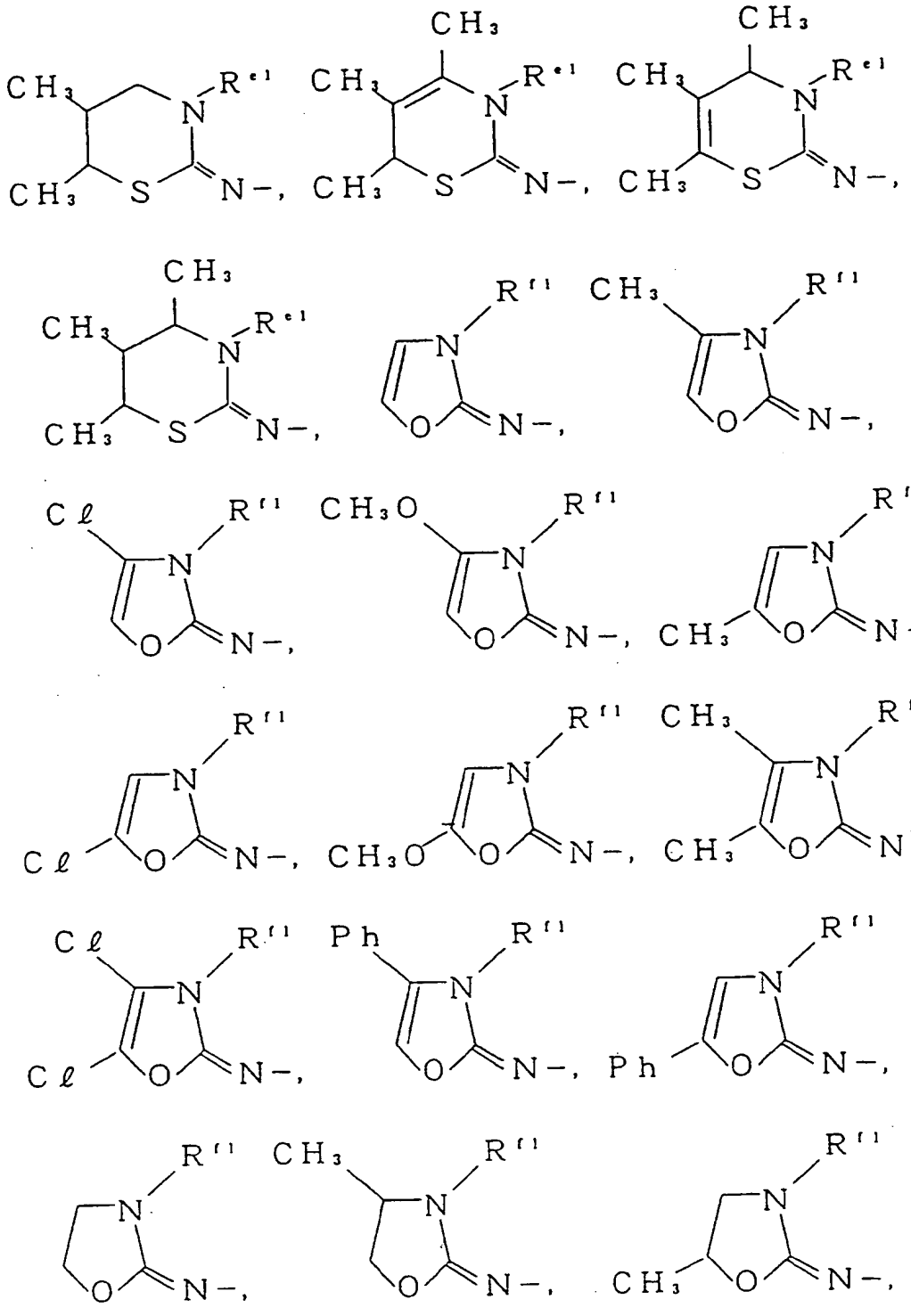

G90

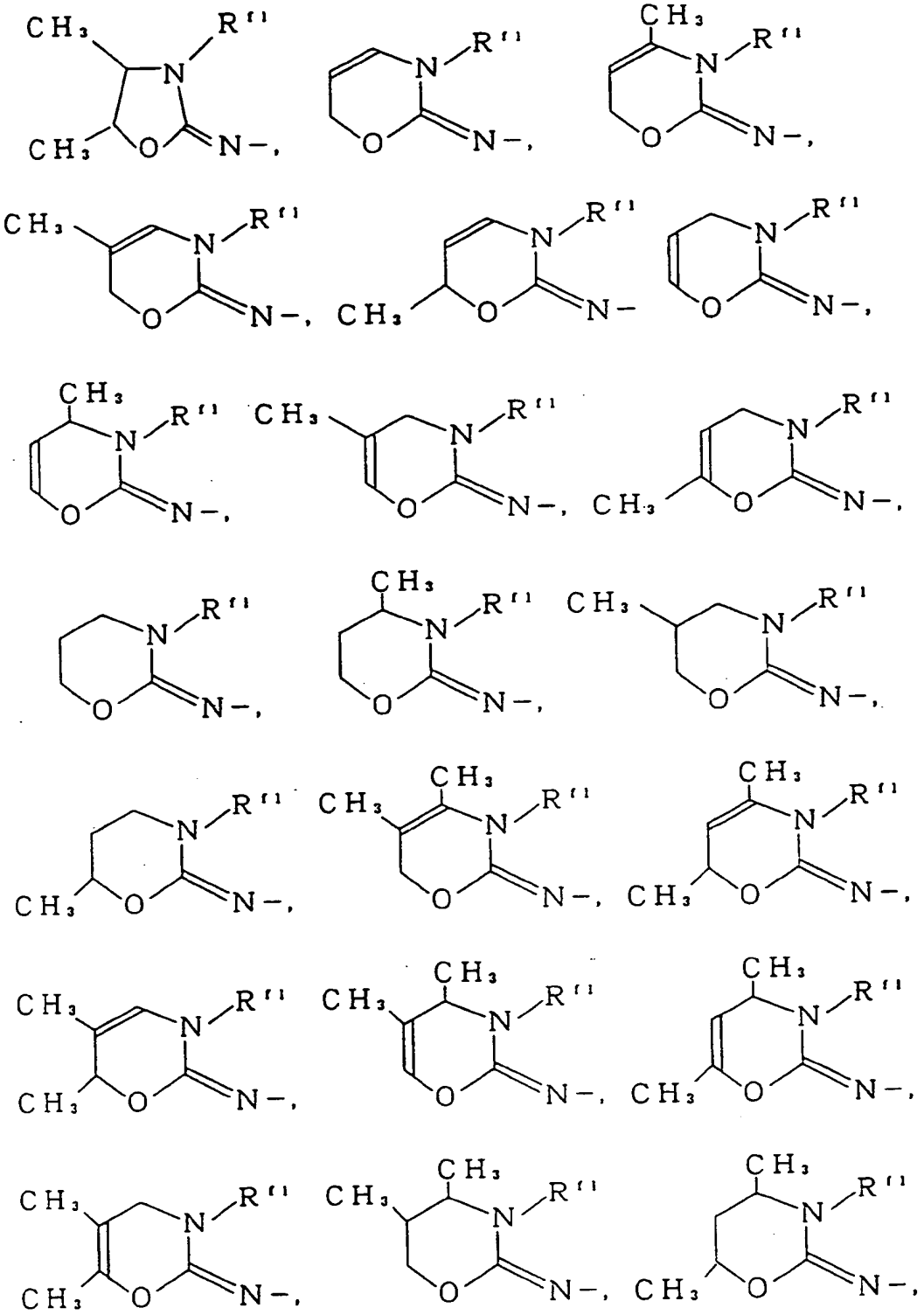
Table 1A

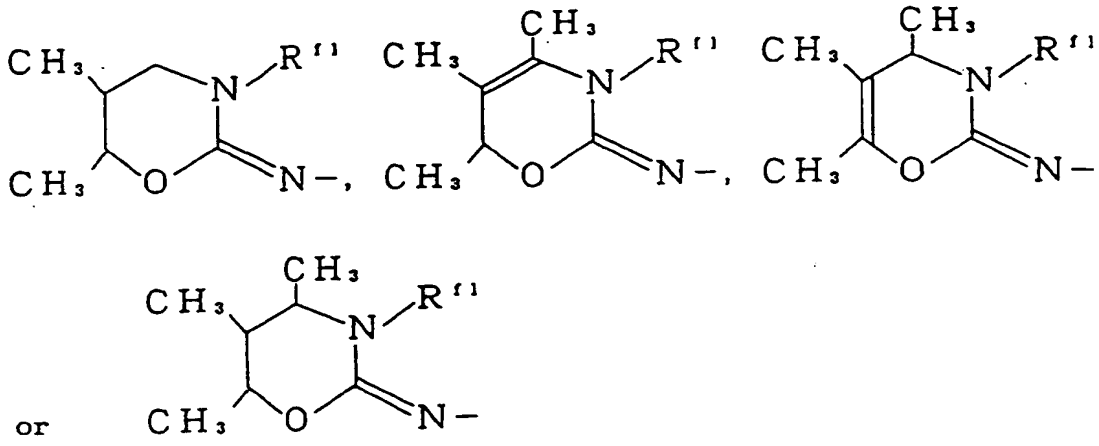












R^m represents R^{al}, R^{bl}, R^{dl}, R^{el} or R^{fl}.

R^m	Gn
Me	Ga
Et	Ga
Pr-n	Ga
Pr-iso	Ga
Bu-n	Ga
Bu-iso	Ga
Bu-sec	Gb
Bu-tert	Gb
Pen-n	Ga
Hex-n	Gb
Hep-n	Gb
Pr-cyc	Ga
Hex-cyc	Ga
CH_2 Pr-cyc	Ga
CH_2 CH_2 Pr-cyc	Ga
CH_2 Bu-cyc	Gb
CH_2 Pen-cyc	Gc
Hexen-cyc	Gb
CH_2 Penten-cyc	Gb
CH_2 CH=CH_2	Ga
CH_2 CH=CHMe	Ga
CH_2 CH=CHEt	Ga

Table 1A continued

R^m	Gn
$CH_2CH=CMe_2$	Gb
$CH_2CMe=CH_2$	Ga
$CH_2CHMeCH=CHMe$	Gc
$CH_2CH_2CH=CH_2$	Ga
$CH_2CH_2CH=CHMe$	Gb
$CH_2C\equiv CH$	Ga
$CH_2C\equiv CMe$	Ga
$CH_2C\equiv CEt$	Ga
$CH_2CH_2C\equiv CH$	Ga
$CH_2CH_2C\equiv CMe$	Gb
$CHMeC\equiv CH$	Gb
$CHMeC\equiv CMe$	Gc
CH_2OMe	Ga
CH_2OEt	Ga
CH_2OPr-n	Gb
CH_2CH_2OMe	Ga
CH_2CH_2OEt	Ga
CH_2CH_2OPr-n	Gb
$CH_2CHMeOMe$	Gb
$CH_2CH_2CH_2OMe$	Ga
$CH_2CH_2CH_2OEt$	Ga
$CH_2OCH_2CH=CH_2$	Ga
$CH_2OCH_2CH=CHMe$	Ga

Table 1A continued

R^m	Gn
$CH_2CH_2OCH_2CH=CH_2$	Ga
$CH_2CH_2OCH_2CH=CHMe$	Ga
$CH_2OCH_2C\equiv CH$	Ga
$CH_2OCH_2C\equiv CMe$	Ga
$CH_2CH_2OCH_2C\equiv CH$	Ga
$CH_2CH_2OCH_2C\equiv CMe$	Ga
CH_2OCHF_2	Ga
CH_2OCF_3	Ga
$CH_2CH_2OCHF_2$	Ga
$CH_2CH_2OCF_3$	Ga
$CH_2OCH_2CF_3$	Ga
$CH_2CH_2OCH_2CF_3$	Ga
$CH_2OCH_2CH_2F$	Ga
$CH_2OCH_2CH_2Cl$	Ga
$CH_2CH_2OCH_2CH_2F$	Ga
$CH_2CH_2OCH_2CH_2Cl$	Ga
$CH_2OCH_2CH=CHCl$	Gb
$CH_2CH_2OCH_2CH=CHCl$	Gb
$CH_2OCH_2CH=CHBr$	Gb
$CH_2CH_2OCH_2CH=CHBr$	Gb
$CH_2OCH_2CH=CF_2$	Gb
$CH_2CH_2OCH_2CH=CF_2$	Gb
$CH_2OCH_2CH=CHCF_3$	Gb

Table 1A continu d

R^m	Gn
$CH_2CH_2OCH_2CH=CHCF_3$	Gb
$CH_2OCH_2C\equiv CI$	Gb
$CH_2CH_2OCH_2C\equiv CI$	Gb
$CH_2OCH_2C\equiv CCF_3$	Gb
$CH_2CH_2OCH_2C\equiv CCF_3$	Gb
CH_2SMe	Ga
CH_2SEt	Ga
CH_2SPr-n	Gb
CH_2CH_2SMe	Ga
CH_2CH_2SEt	Ga
CH_2CH_2SPr-n	Gb
CH_2SOMe	Gb
CH_2SOEt	Gb
CH_2CH_2SOMe	Gb
CH_2CH_2SOEt	Gb
CH_2SO_2Me	Ga
CH_2SO_2Et	Ga
CH_2SO_2Pr-n	Gb
$CH_2CH_2SO_2Me$	Ga
$CH_2CH_2SO_2Et$	Ga
$CH_2CH_2SO_2Pr-n$	Gb
CH_2CH_2F	Ga
CH_2CHF_2	Ga

Table 1A continued

R^m	Gn
CH_2CF_3	Ga
CH_2CH_2Cl	Ga
CH_2CH_2Br	Ga
$CH_2CH_2CF_3$	Ga
$CH_2CF_2CF_3$	Ga
$CH_2CH=CHCl$	Ga
$CH_2CH=CHBr$	Ga
$CH_2CH=CF_2$	Ga
$CH_2CH=CHCF_3$	Ga
$CH_2C\equiv CI$	Gb
$CH_2C\equiv CCF_3$	Gb
CH_2CN	Ga
CH_2CH_2CN	Ga
$CHMeCN$	Ga
$CH_2CH=CHCN$	Ga
$CH(CN)C\equiv CH$	Gb
CH_2NO_2	Ga
$CH_2CH_2NO_2$	Ga
$CH_2CH=CHNO_2$	Gb
$CH_2CH(NO_2)CH=CH_2$	Gc
$CH_2CH(NO_2)C\equiv CH$	Gc
CH_2CO_2Me	Gb
CH_2CO_2Et	Gb

Table 1A continued

R^m	Gn
CH_2CO_2Pr-n	Gb
$CHMeCO_2Me$	Gb
$CHMeCO_2Et$	Gb
$CH_2CH_2CO_2Me$	Ga
$CH_2CH_2CO_2Et$	Ga
$CH_2CH_2CH_2CO_2Me$	Gb
$CH_2CH=CHCO_2Me$	Ga
$CH_2CH=CHCO_2Et$	Ga
$CHMeCH=CHCO_2Me$	Ga
$CHMeCH=CHCO_2Et$	Ga
$CH_2C\equiv CCO_2Me$	Ga
$CH_2C\equiv CCO_2Et$	Ga
CH_2COMe	Ga
CH_2COEt	Ga
$CH_2COPr-n$	Gb
CH_2CH_2COMe	Ga
CH_2CH_2COEt	Ga
CH_2COCF_3	Ga
$CH_2CH_2COCF_3$	Ga
$CH_2COCH_2CF_3$	Gb
CH_2COCH_2F	Gb
$CH_2COCH=CH_2$	Ga
$CH_2COCH=CHMe$	Ga

Table 1A continued

R^m	Gn
$CH_2COCH_2CH=CH_2$	Gb
$CH_2CH_2COCH=CH_2$	Gb
$CH_2CH_2COCH=CHMe$	Gb
$CH_2COC\equiv CH$	Ga
$CH_2COC\equiv CMe$	Ga
CH_2COCH_2OMe	Ga
CH_2COCH_2OEt	Ga
$CH_2COCH_2CH_2OMe$	Ga
$CH_2COCH_2CH_2OEt$	Ga
CH_2COCH_2SMe	Ga
CH_2COCH_2SEt	Ga
$CH_2COCH_2CH_2SMe$	Ga
$CH_2COCH_2CH_2SEt$	Ga
CH_2COCH_2SOMe	Gb
$CH_2COCH_2CH_2SOMe$	Gb
$CH_2COCH_2SO_2Me$	Ga
$CH_2COCH_2SO_2Et$	Ga
$CH_2COCH_2CH_2SO_2Me$	Ga
$CH_2COCH_2CH_2SO_2Et$	Ga
$CH_2CH=CHCOMe$	Ga
$CH_2CH=CHCOEt$	Ga
$CHMeCH=CHCOMe$	Ga
$CHMeCH=CHCOEt$	Ga

Table 1A continued

R^m	Gn
$CH_2C\equiv CCOMe$	Ga
$CH_2C\equiv CCOEt$	Ga
CH_2SO_2NHMe	Ga
CH_2SO_2NHEt	Ga
$CH_2CH_2SO_2NHMe$	Ga
$CH_2CH_2SO_2NHEt$	Ga
CH_2SO_2NHOMe	Ga
CH_2SO_2NHOEt	Ga
$CH_2CH_2SO_2NHOMe$	Ga
$CH_2CH_2SO_2NHOEt$	Ga
$CH_2SO_2NMe_2$	Ga
CH_2SO_2NMeEt	Ga
$CH_2SO_2NEt_2$	Ga
$CH_2CH_2SO_2NMe_2$	Ga
$CH_2CH_2SO_2NMeEt$	Ga
$CH_2CH_2SO_2NEt_2$	Ga
$CH_2SO_2N(OMe)Me$	Ga
$CH_2SO_2N(OMe)Et$	Ga
$CH_2SO_2N(OEt)Me$	Ga
$CH_2CH_2SO_2N(OMe)Me$	Ga
$CH_2CH_2SO_2N(OMe)Et$	Ga
$CH_2CH_2SO_2N(OEt)Me$	Ga
$CH_2CONHMe$	Ga

Table 1A continued

R^m	Gn
$CH_2CONHEt$	Ga
$CH_2CONHPr-n$	Gb
$CH_2CH_2CONHMe$	Ga
$CH_2CH_2CONHEt$	Ga
$CH_2CH_2CONHPr-n$	Gb
CH_2CONMe_2	Ga
$CH_2CONMeEt$	Ga
CH_2CONEt_2	Ga
$CH_2CONHOMe$	Ga
$CH_2CONHOEt$	Ga
$CH_2CONHOPr-n$	Gb
$CH_2CON(OMe)Me$	Ga
$CH_2CON(OMe)Et$	Ga
$CH_2CON(OEt)Me$	Ga
$CH_2CON(OEt)Et$	Ga
CH_2NHMe	Ga
CH_2NHEt	Ga
$CH_2NHPr-n$	Gb
CH_2CH_2NHMe	Ga
CH_2CH_2NHEt	Ga
$CH_2CH_2NHPr-n$	Gb
CH_2NHOMe	Ga
CH_2NHOEt	Ga

Table 1A continued

R^{m}	Gn
CH_2CH_2NHOMe	Gaa
CH_2CH_2NHOEt	Gaa
CH_2NMe_2	Gaa
CH_2NMeEt	Gaa
$CH_2CH_2NMe_2$	Gaa
CH_2CH_2NMeEt	Gaa
$CH_2N(OMe)Me$	Gaa
$CH_2N(OMe)Et$	Gaa
$CH_2N(OEt)Me$	Gaa
$CH_2CH_2N(OMe)Me$	Gaa
$CH_2CH_2N(OMe)Et$	Gaa
$CH_2CH_2N(OEt)Me$	Gaa
$CH_2NMeCOMe$	Gaa
$CH_2NEtCOMe$	Gaa
$CH_2NMeCOEt$	Gaa
$CH_2CH_2NMeCOMe$	Gaa
$CH_2CH_2NEtCOMe$	Gaa
$CH_2CH_2NMeCOEt$	Gaa
$CH_2N(OMe)COMe$	Gaa
$CH_2N(OEt)COMe$	Gaa
$CH_2N(OMe)COEt$	Gaa
$CH_2CH_2N(OMe)COMe$	Gaa
$CH_2CH_2N(OEt)COMe$	Ga

Table 1A continued

R^{m}	Gn
$CH_2CH_2N(OMe)COEt$	Ga
CH_2NMeSO_2Me	Gaa
CH_2NEtSO_2Me	Gaa
CH_2NMeSO_2Et	Gaa
$CH_2CH_2NMeSO_2Me$	Gaa
$CH_2CH_2NEtSO_2Me$	Gaa
$CH_2CH_2NMeSO_2Et$	Gaa
$CH_2N(OMe)SO_2Me$	Gaa
$CH_2N(OEt)SO_2Me$	Gaa
$CH_2N(OMe)SO_2Et$	Gaa
$CH_2CH_2N(OMe)SO_2Me$	Gaa
$CH_2CH_2N(OEt)SO_2Me$	Gaa
$CH_2CH_2N(OMe)SO_2Et$	Gaa
CH_2Ph	Gbb
CH_2CH_2Ph	Gbb
$CH_2CH_2CH_2Ph$	Gbb
$CHMePh$	Gbb
$CH_2CH=CHPh$	Gaa
$CHMeCH=CHPh$	Gaa
$CH_2C\equiv CPh$	Gaa
$CHMeC\equiv CPh$	Gaa
CH_2CH_2OPh	Ga
CH_2OPh	Ga

Table 1A continued

R⁸	Gn
CH_2CH_2SPh	Ga
CH_2SPh	Ga
CH_2CH_2SOPh	Gb
$CH_2CH_2SO_2Ph$	Ga
CH_2OCH_2Ph	Ga
$CH_2CH_2OCH_2Ph$	Ga
CH_2SCH_2Ph	Ga
$CH_2CH_2SCH_2Ph$	Ga
CH_2SOCH_2Ph	Gb
$CH_2CH_2SOCH_2Ph$	Gb
$CH_2SO_2CH_2Ph$	Ga
$CH_2CH_2SO_2CH_2Ph$	Ga
CH_2COPh	Ga
CH_2CH_2COPh	Ga
$CHMeCOPh$	Ga
CH_2COCH_2Ph	Gb
$CHMeCOCH_2Ph$	Gb
$CH_2CH_2CH_2F$	Ga
$CH_2CH_2CH_2Cl$	Ga
$CH_2C(Cl)=CH_2$	Ga
$CH_2C(Br)=CH_2$	Ga
Ph	Ga
CH_2SOPh	Ga

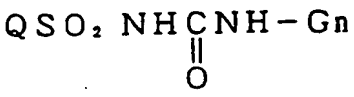
Table 1A continued

R⁸	Gn
CH_2SO_2Ph	Ga
$CH_2Ph-4-OMe$	Gb
$CH_2Ph-4-Cl$	Gb
$CH_2C(Cl)=CHCl$	Ga
$CH_2C(F)=CHCl$	Ga
$CH_2CH=CHF$	Ga
$CH_2C(Cl)=CHMe$	Ga
$CH_2CH=C(Cl)Me$	Ga
$CH_2CF=CF_2$	Ga
$CH_2CH=CHCH_2F$	Ga
$CH_2C(Br)=CHMe$	Ga
$CH_2C(Cl)=CHF$	Ga
$CH_2C(Br)=CHF$	Ga
$CH_2C(Cl)=C(Cl)Me$	Ga
$CH_2C(Br)=CHBr$	Ga
$CH_2C(Br)=C(Br)Me$	Ga
$CH_2CH=C(F)CF_3$	Ga
$CH_2CH=CCl_2$	Ga
$CH_2C(F)=CH_2$	Ga
$CH_2CH=C(F)Cl$	Ga
$CH_2C(Cl)=C(F)Cl$	Ga
$CH_2C(F)=CCl_2$	Ga
$CH_2CCl=CF_2$	Ga

Table 1A continued

R*	Gn
$CH_2C(CF_3)=CH_2$	Ga
CH_2NHSO_2Me	Gb
$CH_2CH_2NHSO_2Me$	Gb
$CH_2NHCOMe$	Gb
$CH_2CH_2NHCOMe$	Gb

Table 1B



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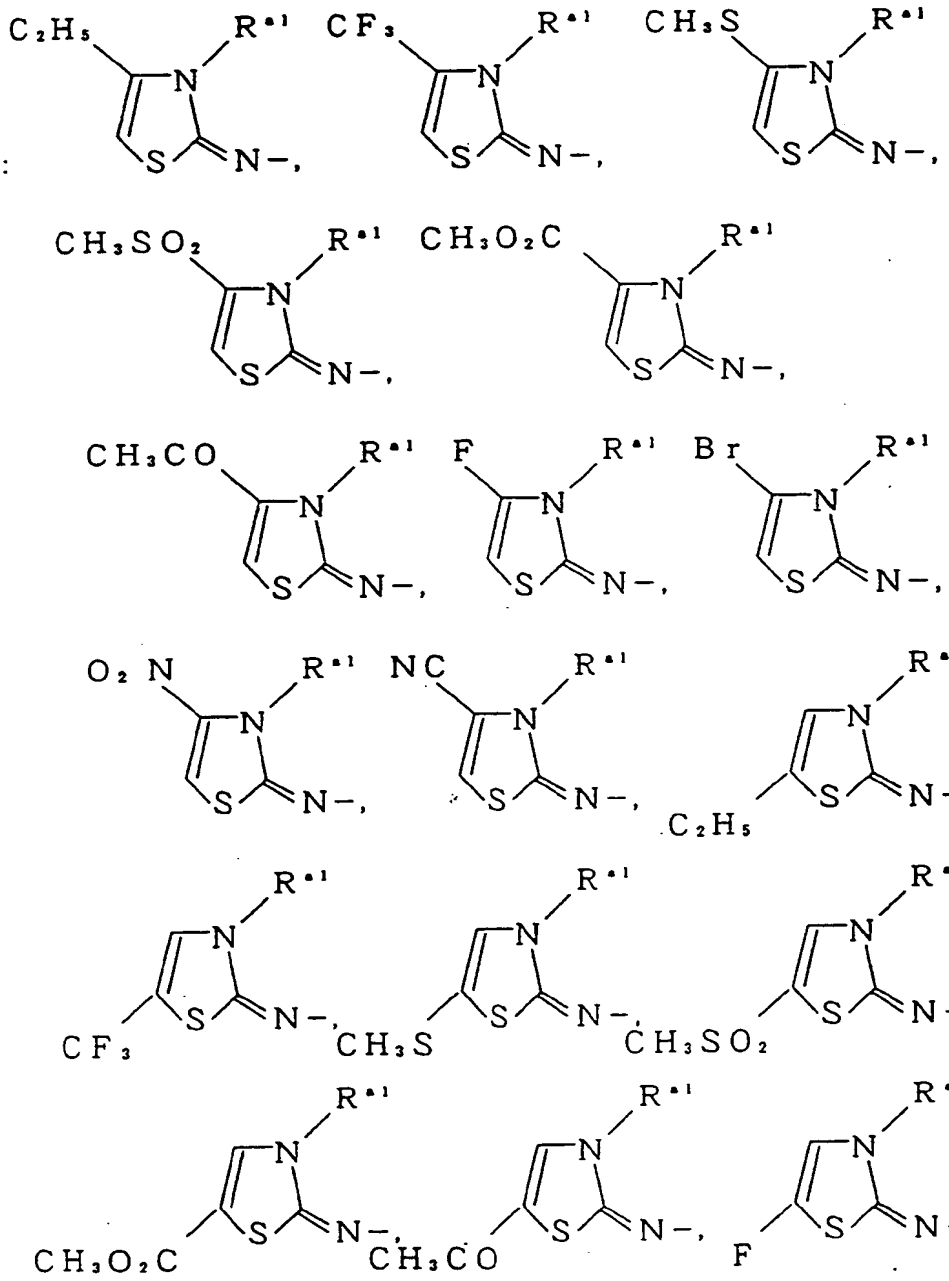
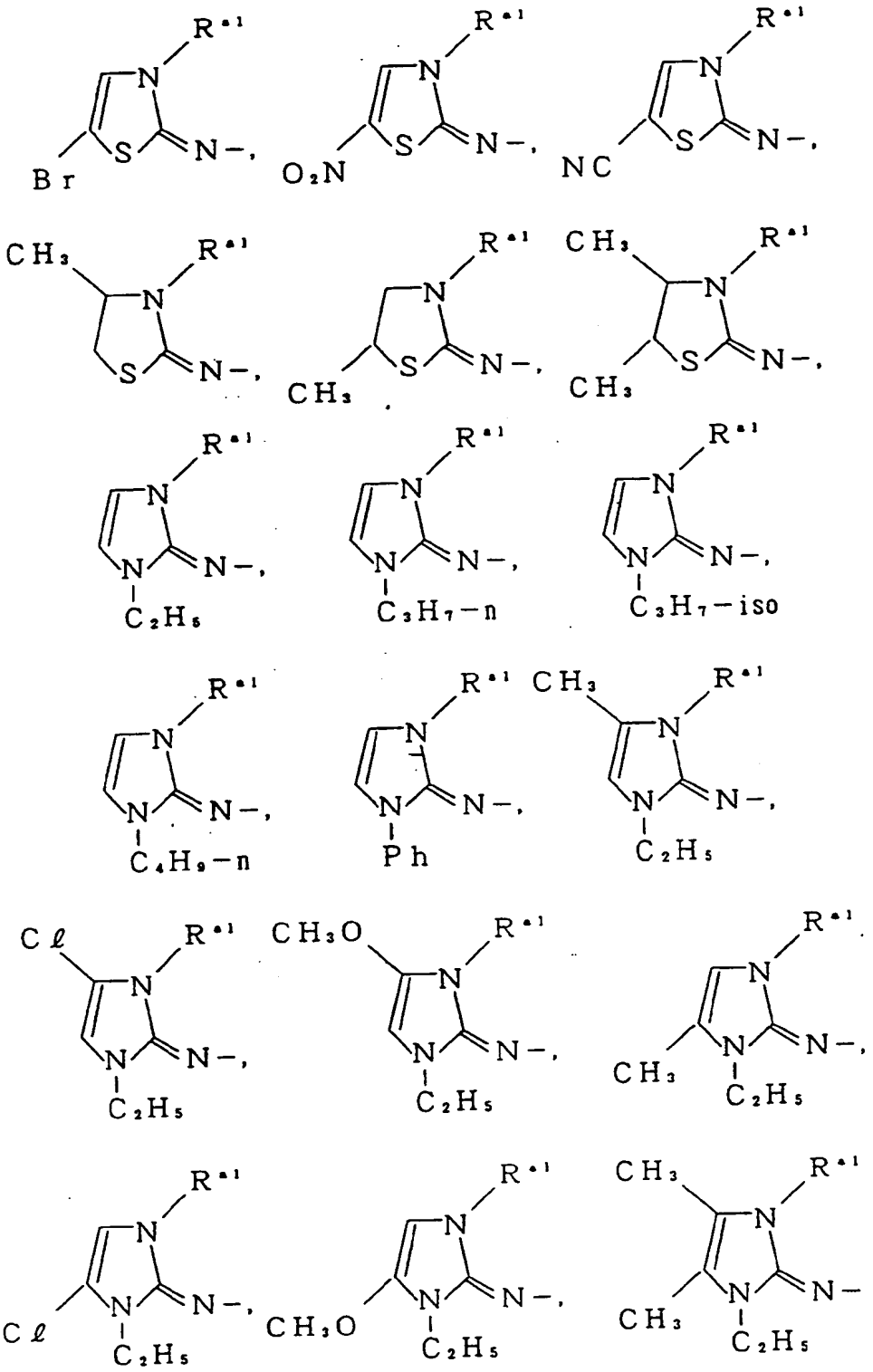
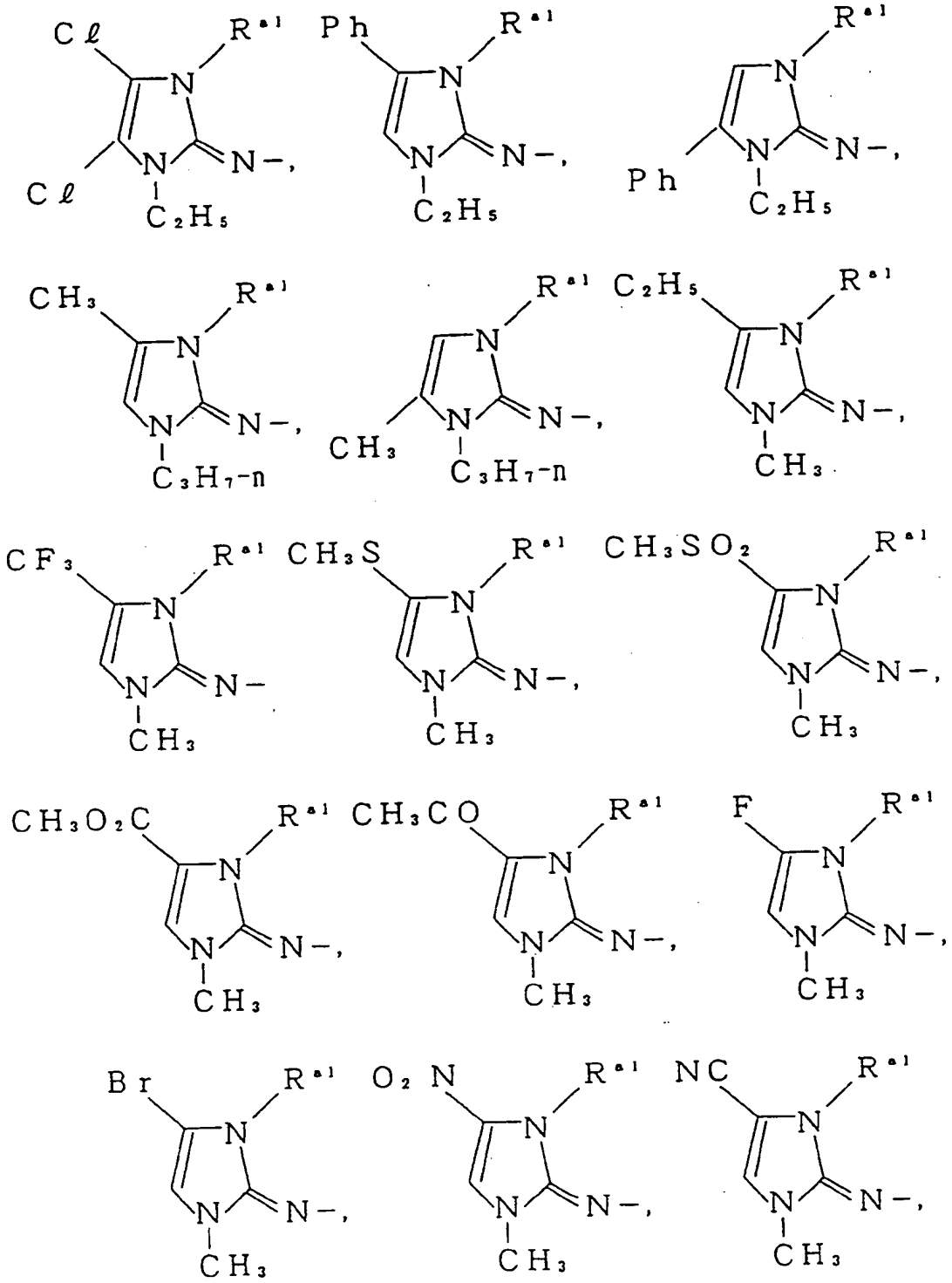
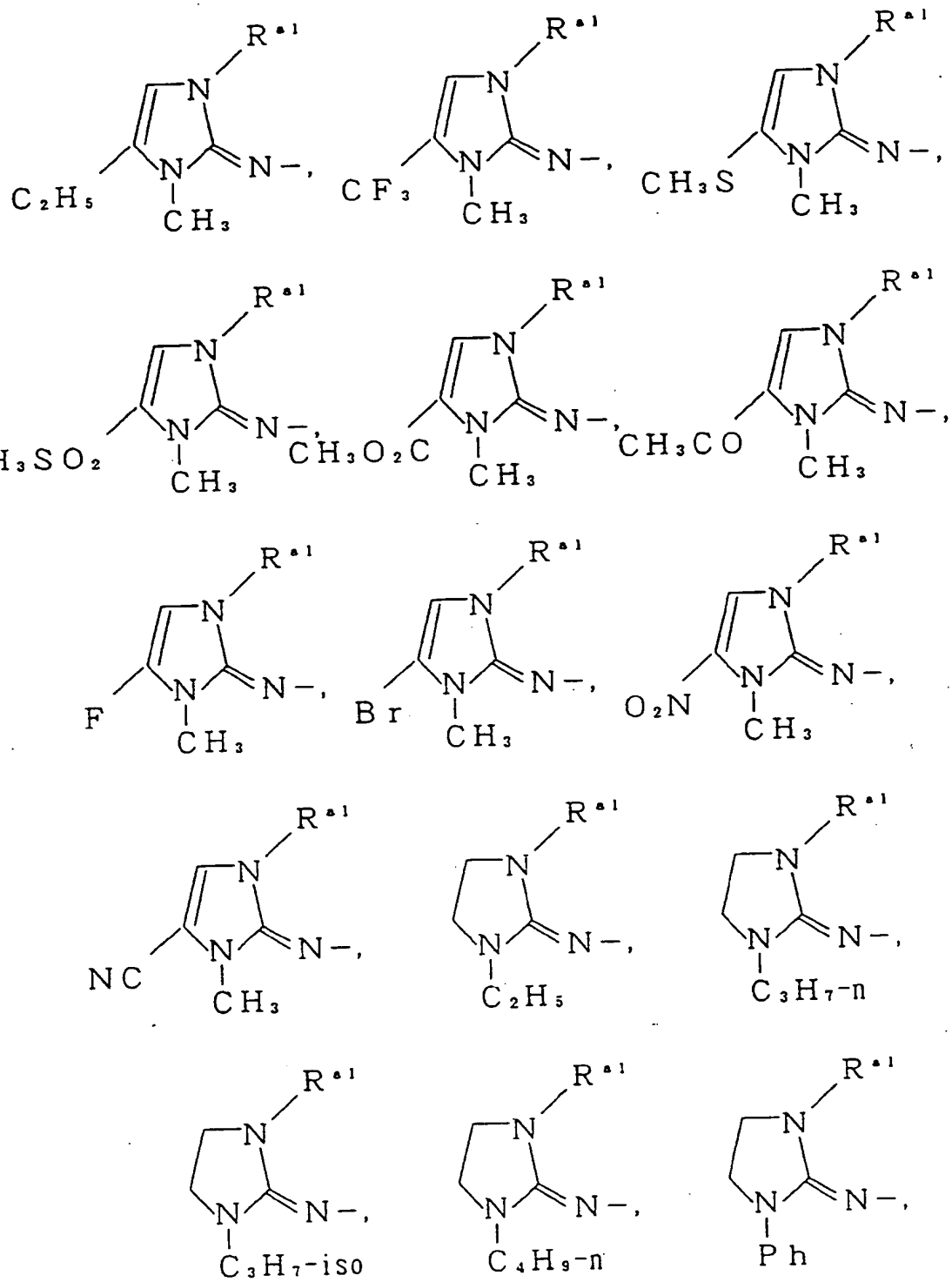
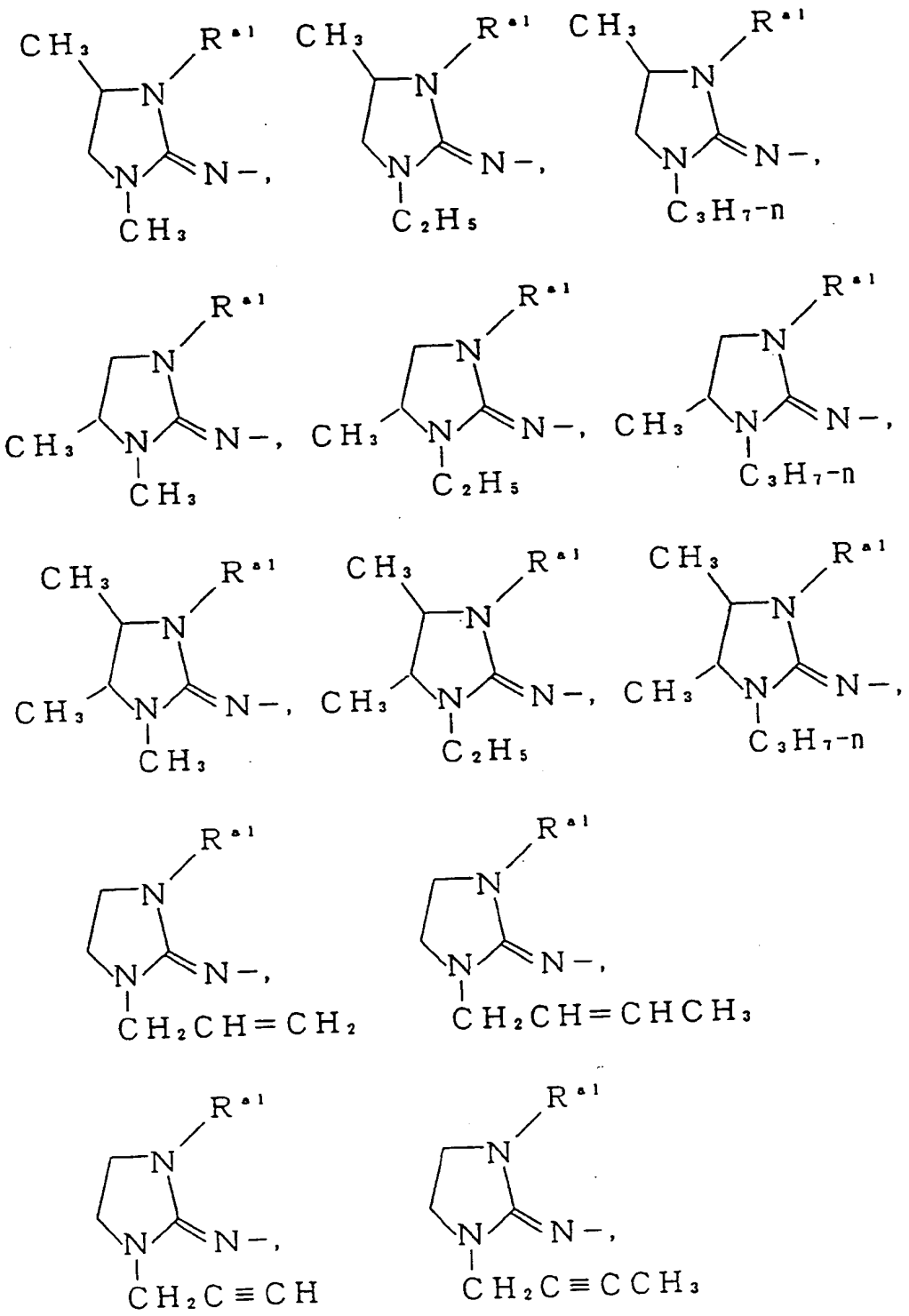
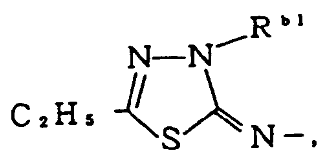
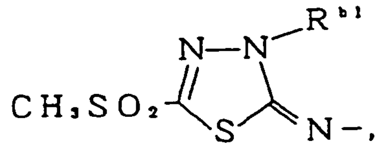
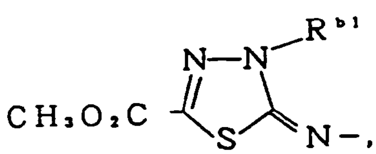
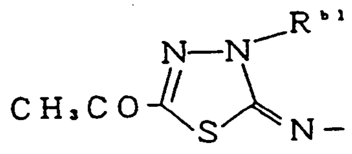
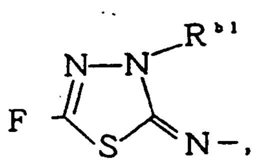
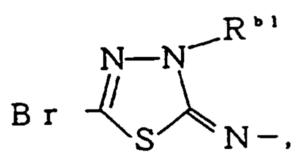
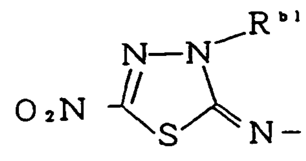
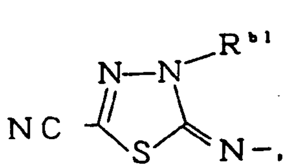
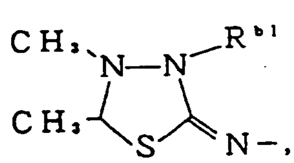
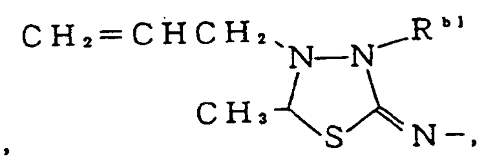
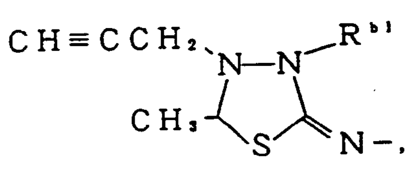
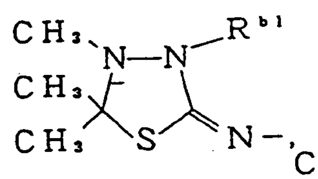
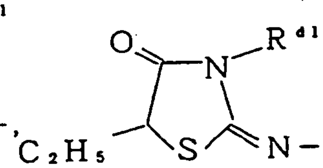
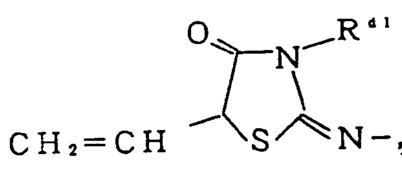
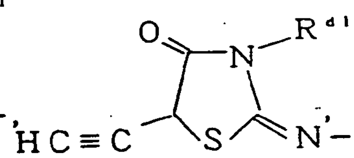
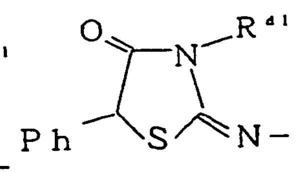
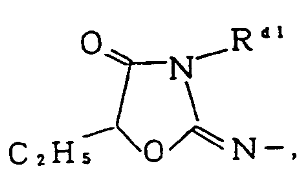
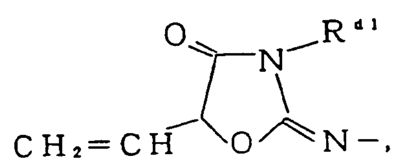
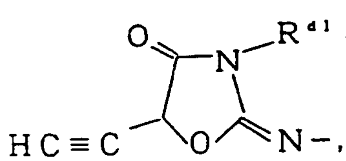
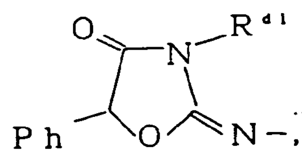


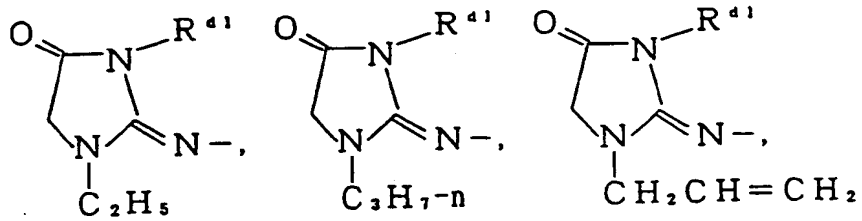


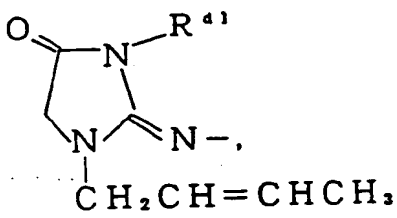


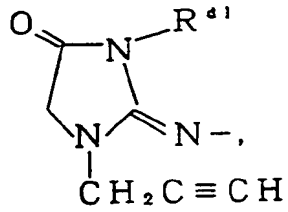


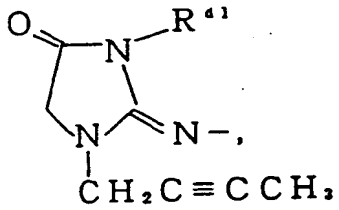


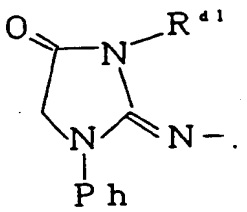





















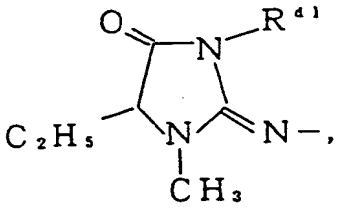


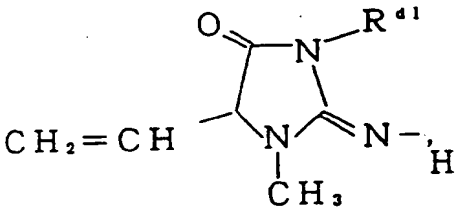


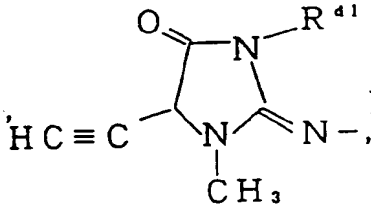


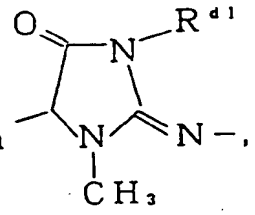


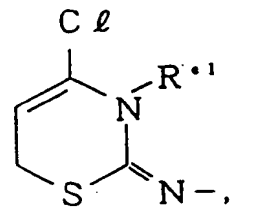


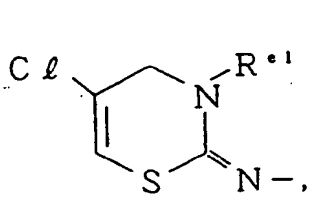


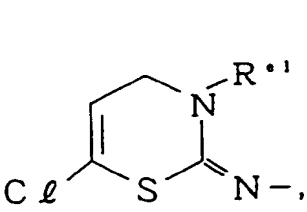


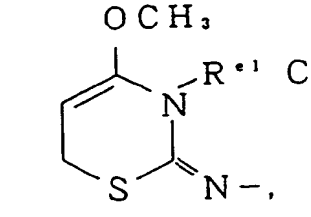


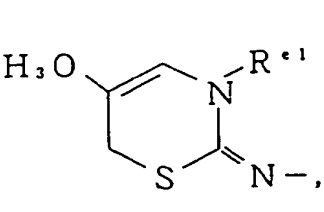


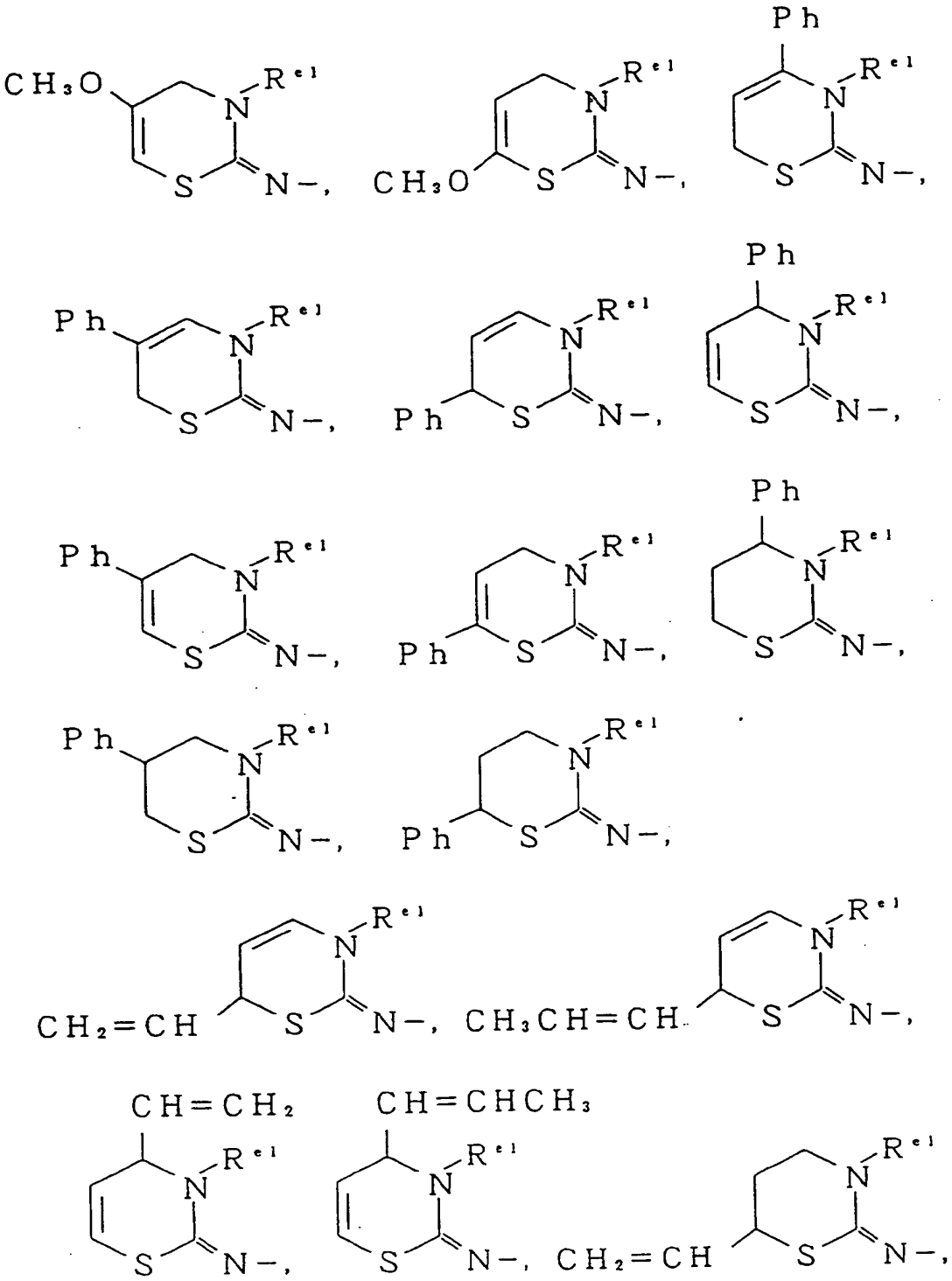


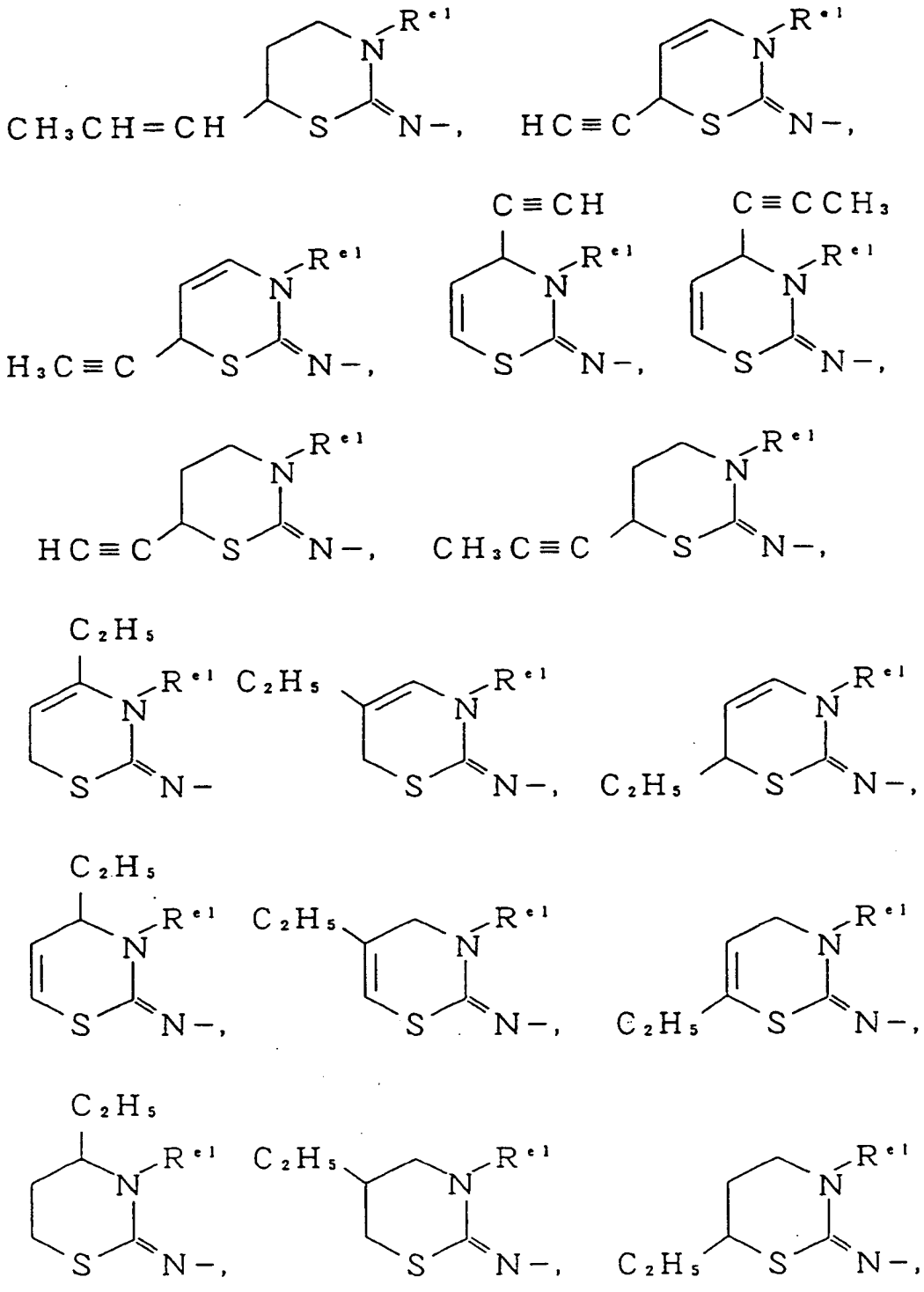


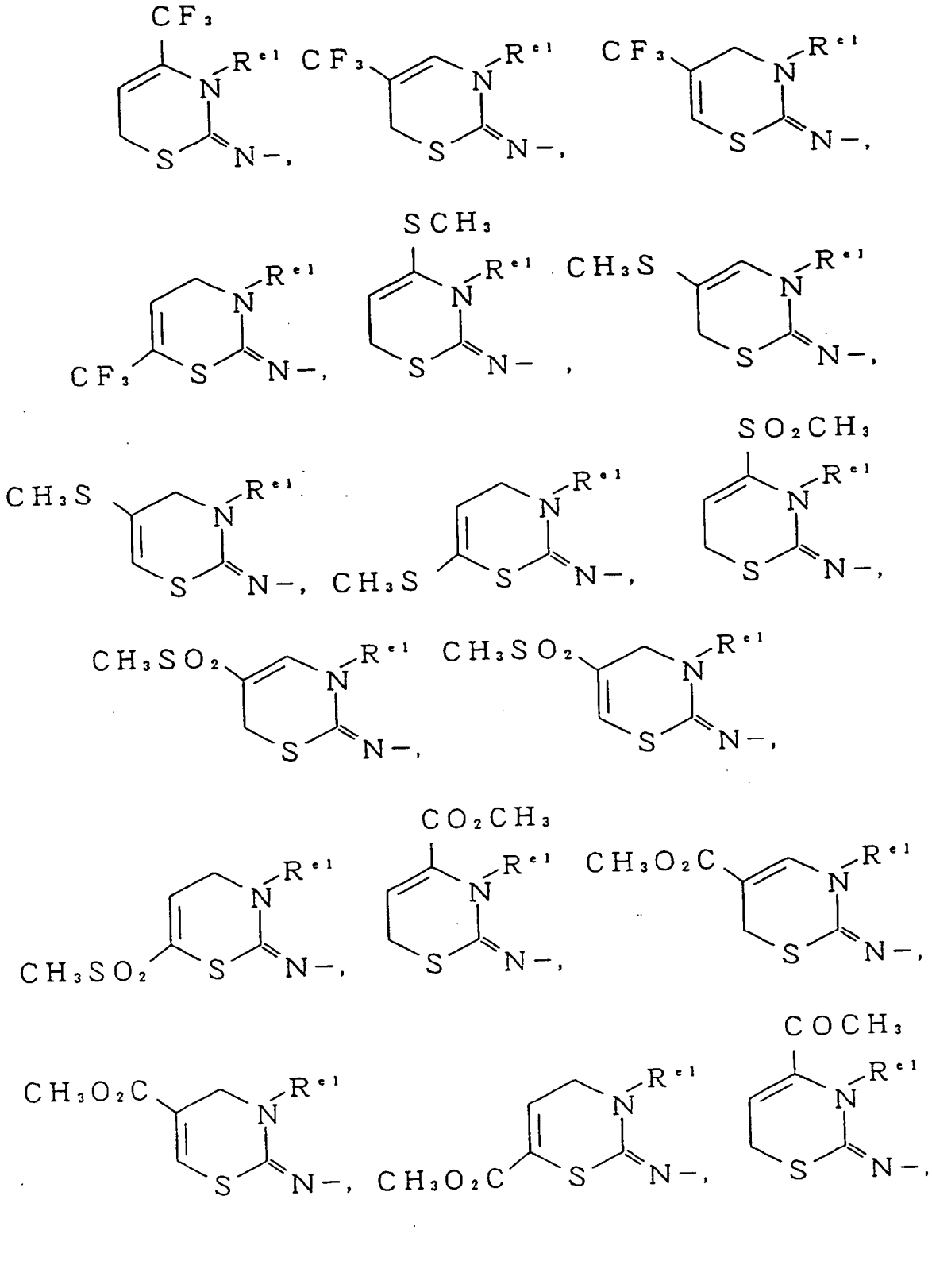


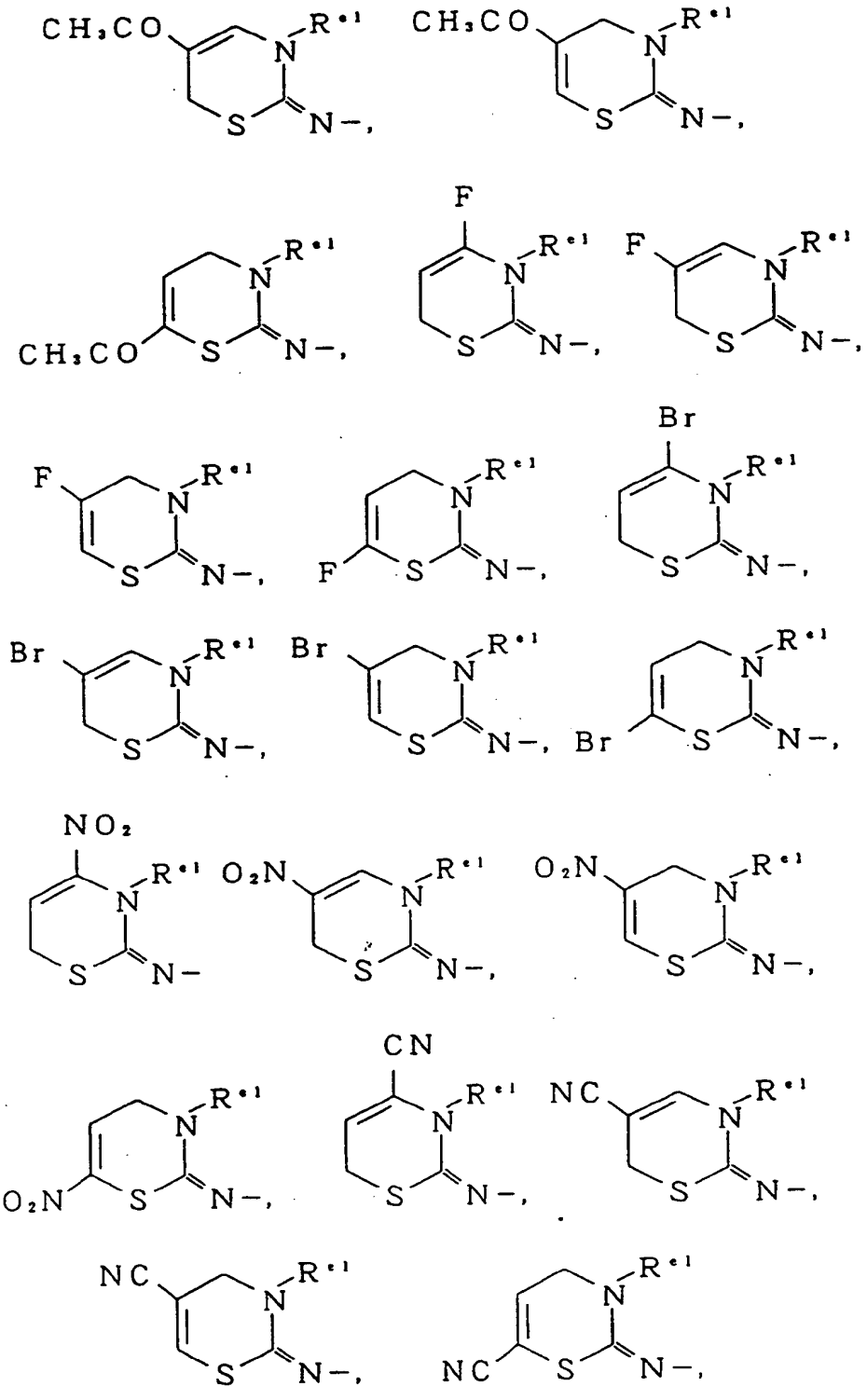


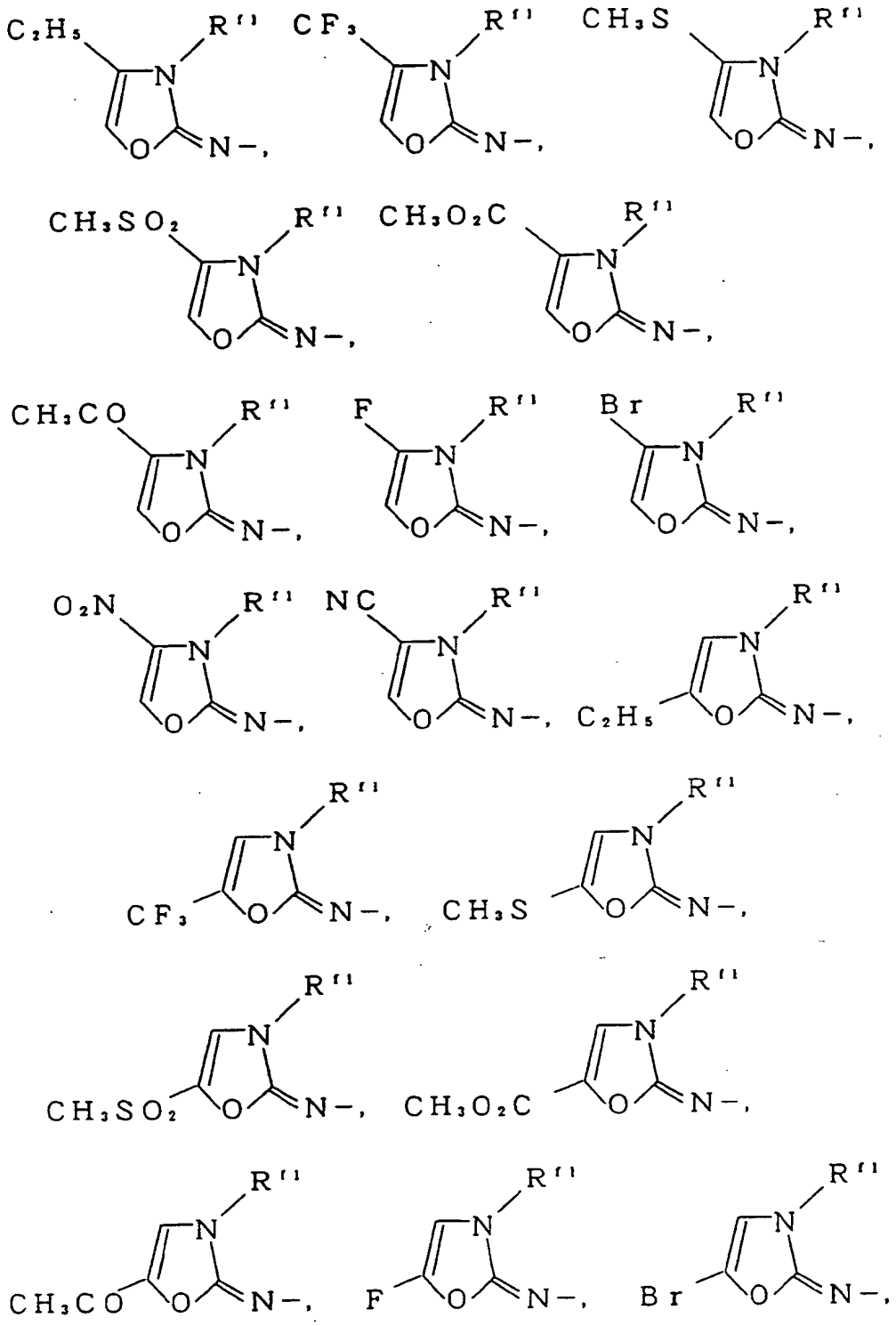


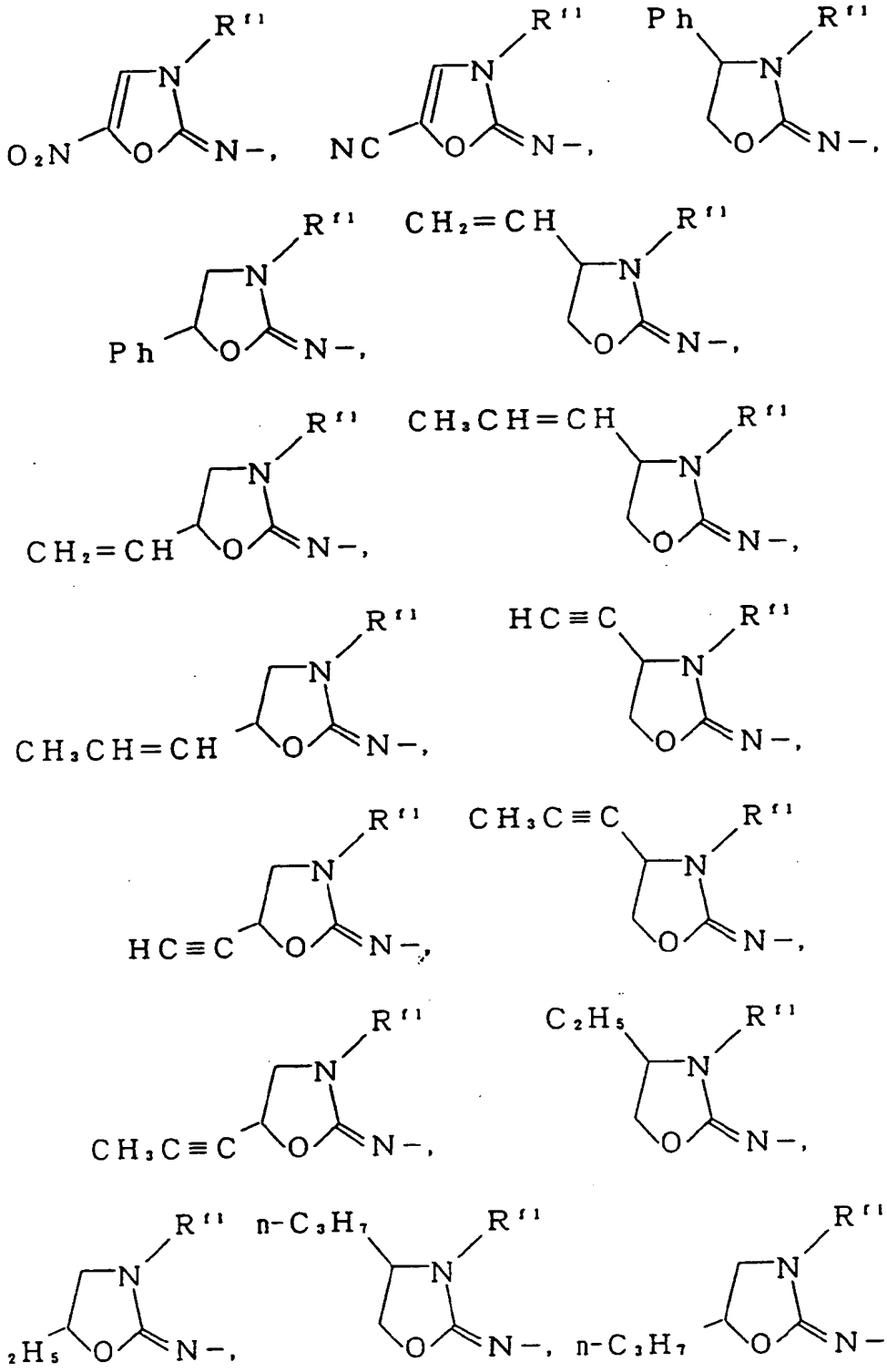


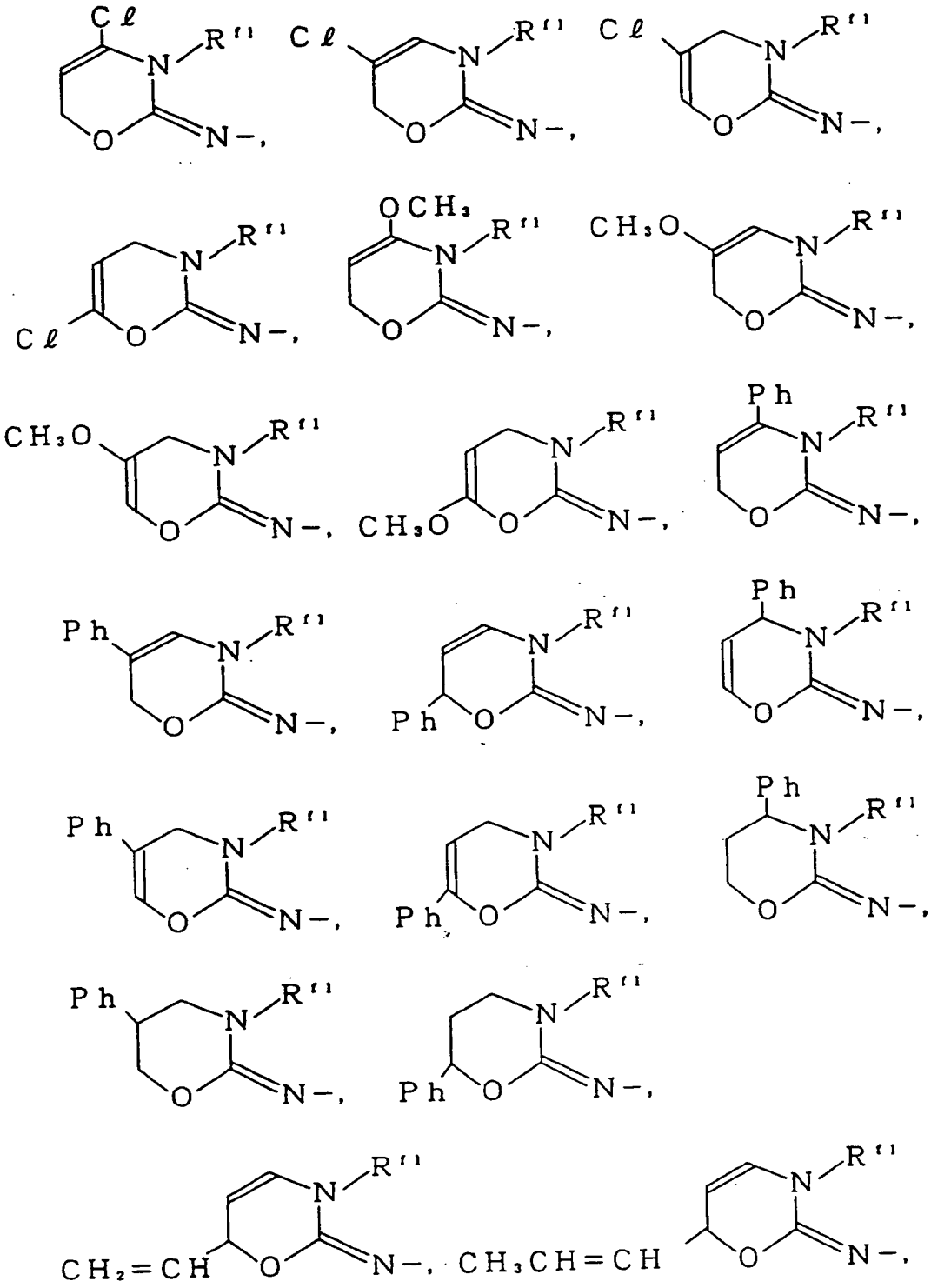


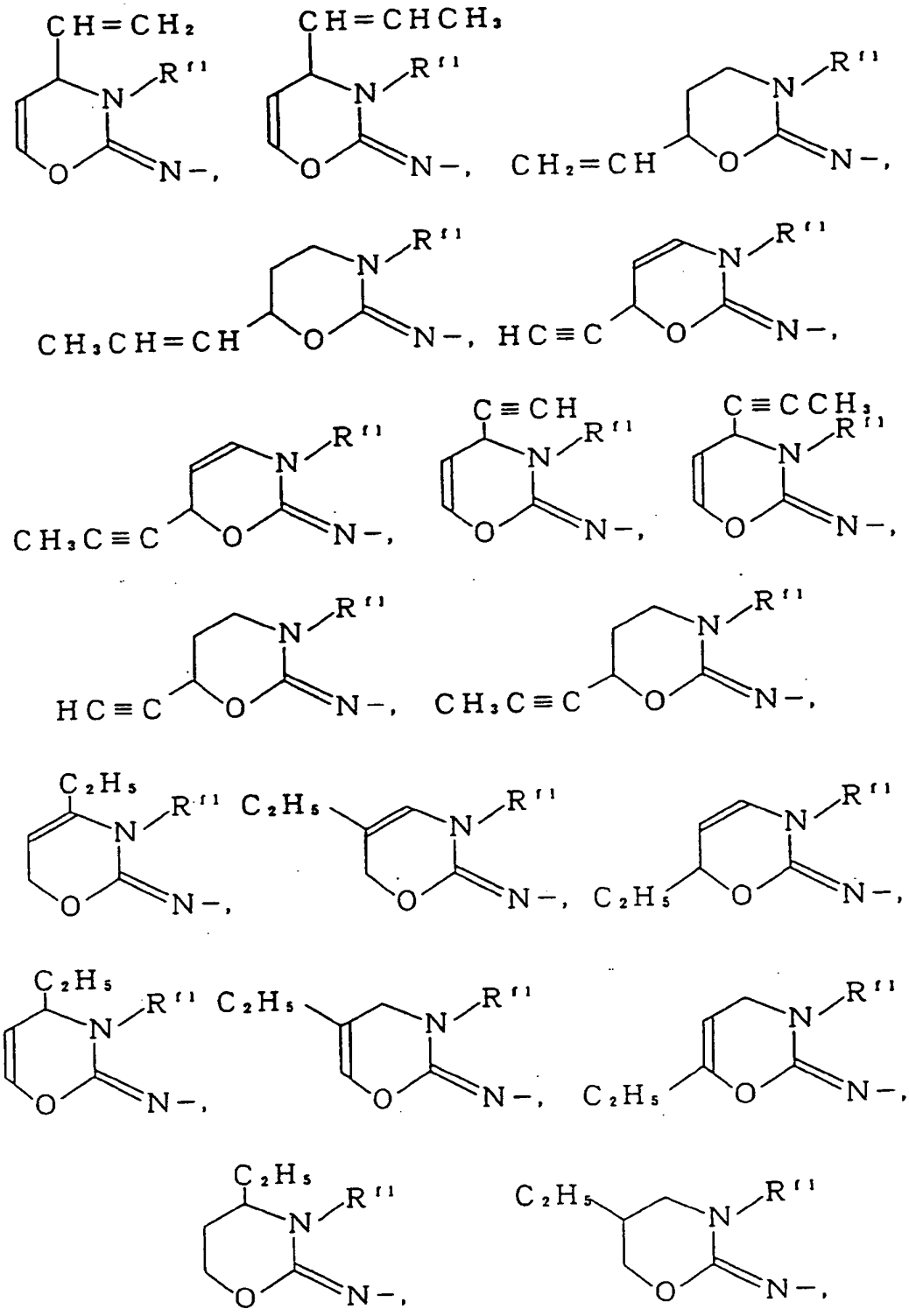


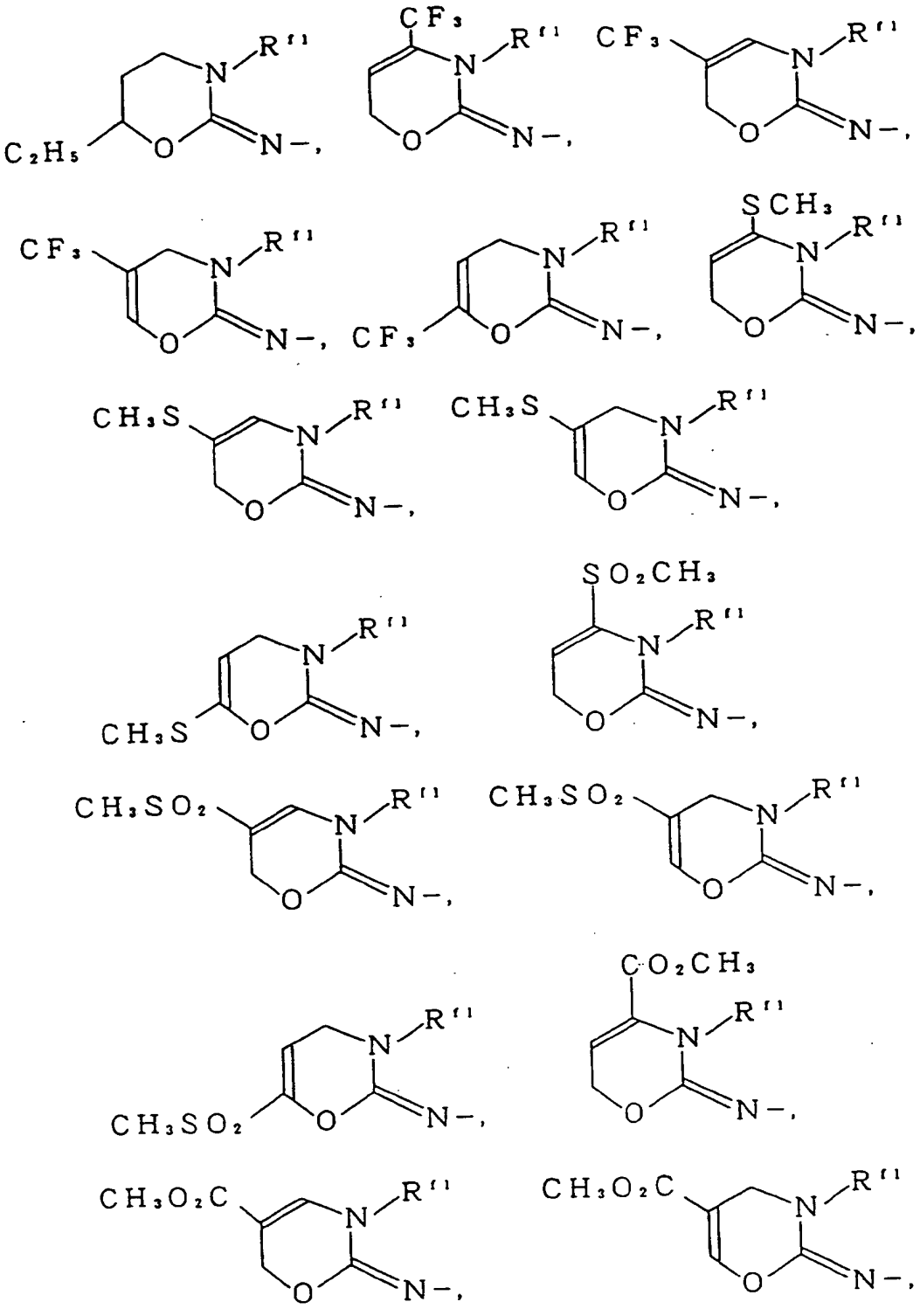


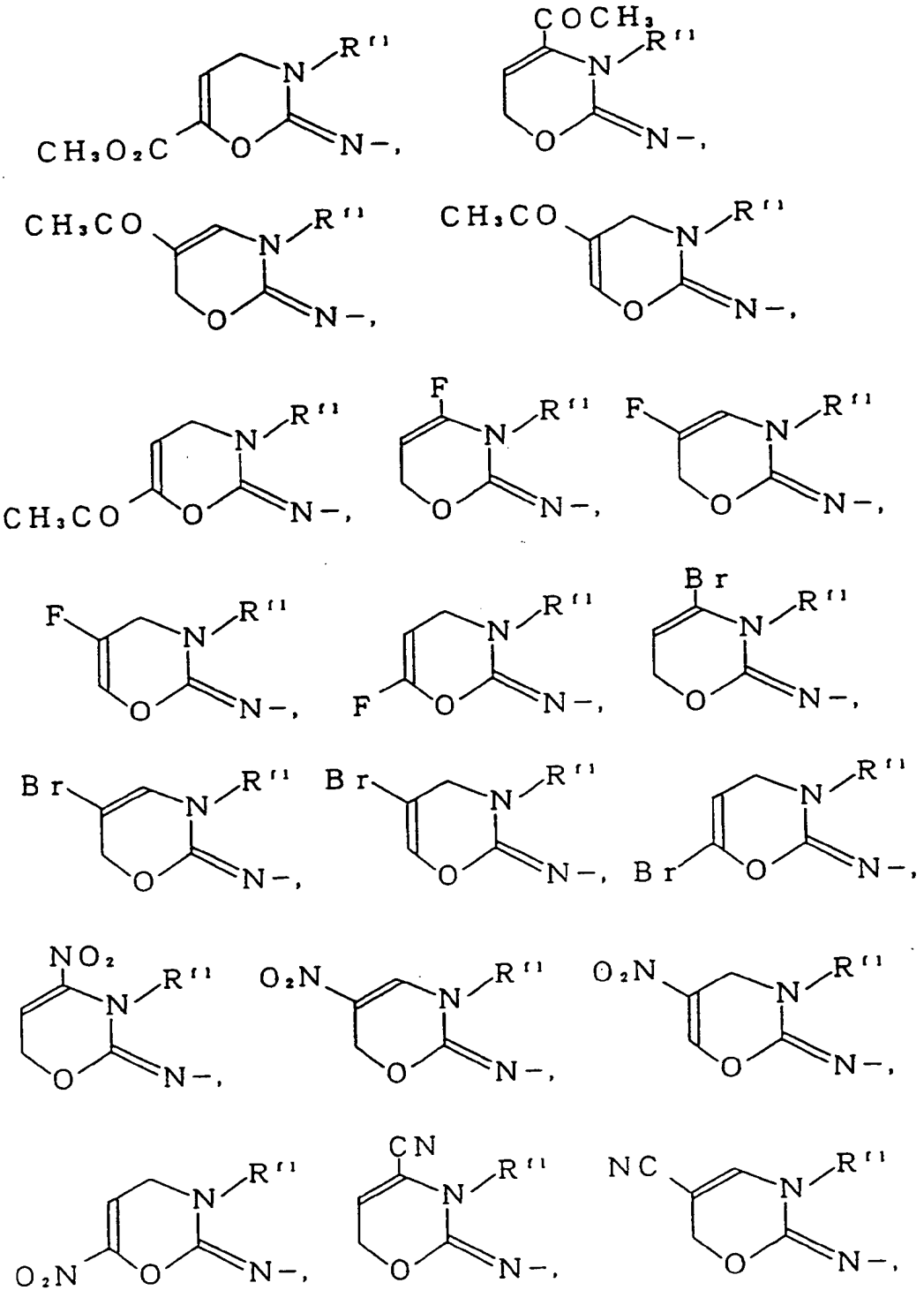


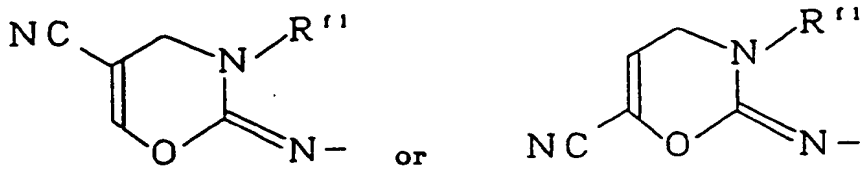












R^m represents R^{al}, R^{bl}, R^{dl}, R^{el} or R^{fl}.

R^m	Gn
Me	Ga
Et	Ga
Pr-n	Ga
Pr-iso	Ga
Bu-n	Ga
Bu-iso	Gb
Pen-n	Gb
Hex-n	Gb
CH_2 Pr-cyc	Ga
CH_2 CH_2 Pr-cyc	Ga
CH_2 CH=CH_2	Ga
CH_2 CH=CHMe	Ga
CH_2 C≡CH	Ga
CH_2 C≡CMe	Ga
CH_2 OMe	Ga
CH_2 OEt	Ga
CH_2 CH_2 OMe	Ga
CH_2 CH_2 OEt	Ga
CH_2 OCH_2 CH=CH_2	Ga
CH_2 CH_2 OCH_2 CH=CH_2	Ga
CH_2 OCH_2 C≡CH	Ga
CH_2 CH_2 OCH_2 C≡CH	Ga
CH_2 OCH_2 CF_3	Ga

Table 1B continued

R^{m}	Gn
$CH_2CH_2OCH_2CF_3$	Ga
CH_2SMe	Ga
CH_2SEt	Ga
CH_2CH_2SMe	Ga
CH_2CH_2SEt	Ga
CH_2SO_2Me	Ga
CH_2SO_2Et	Ga
$CH_2CH_2SO_2Me$	Ga
$CH_2CH_2SO_2Et$	Ga
CH_2CH_2F	Ga
CH_2CF_3	Ga
CH_2CN	Ga
CH_2CH_2CN	Ga
$CHMeCN$	Ga
$CH_2CH=CHCN$	Ga
CH_2NO_2	Ga
$CH_2CH_2NO_2$	Ga
CH_2CO_2Me	Gb
CH_2CO_2Et	Gb
$CHMeCO_2Me$	Gb
$CHMeCO_2Et$	Gb
$CH_2CH_2CO_2Me$	Ga
$CH_2CH_2CO_2Et$	Ga

Table 1B continued

R^{m}	Gn
$CH_2CH=CHCO_2Me$	Ga
$CH_2CH=CHCO_2Et$	Ga
$CHMeCH=CHCO_2Me$	Ga
CH_2COMe	Ga
CH_2COEt	Ga
$CH_2COPr\text{-}n$	Gb
CH_2COCF_3	Ga
$CH_2COCH=CH_2$	Ga
$CH_2COCH=CHMe$	Ga
CH_2COCH_2OMe	Ga
CH_2COCH_2OEt	Ga
$CH_2COCH_2CH_2OMe$	Ga
$CH_2COCH_2CH_2OEt$	Ga
CH_2COCH_2SMe	Ga
$CH_2COCH_2CH_2SMe$	Ga
$CH_2COCH_2SO_2Me$	Ga
$CH_2COCH_2CH_2SO_2Me$	Ga
$CH_2CH=CHCOMe$	Ga
$CHMeCH=CHCOMe$	Ga
CH_2SO_2NHMe	Gb
$CH_2CH_2SO_2NHMe$	Gb
CH_2SO_2NHOMe	Gb
$CH_2CH_2SO_2NHOMe$	Gb

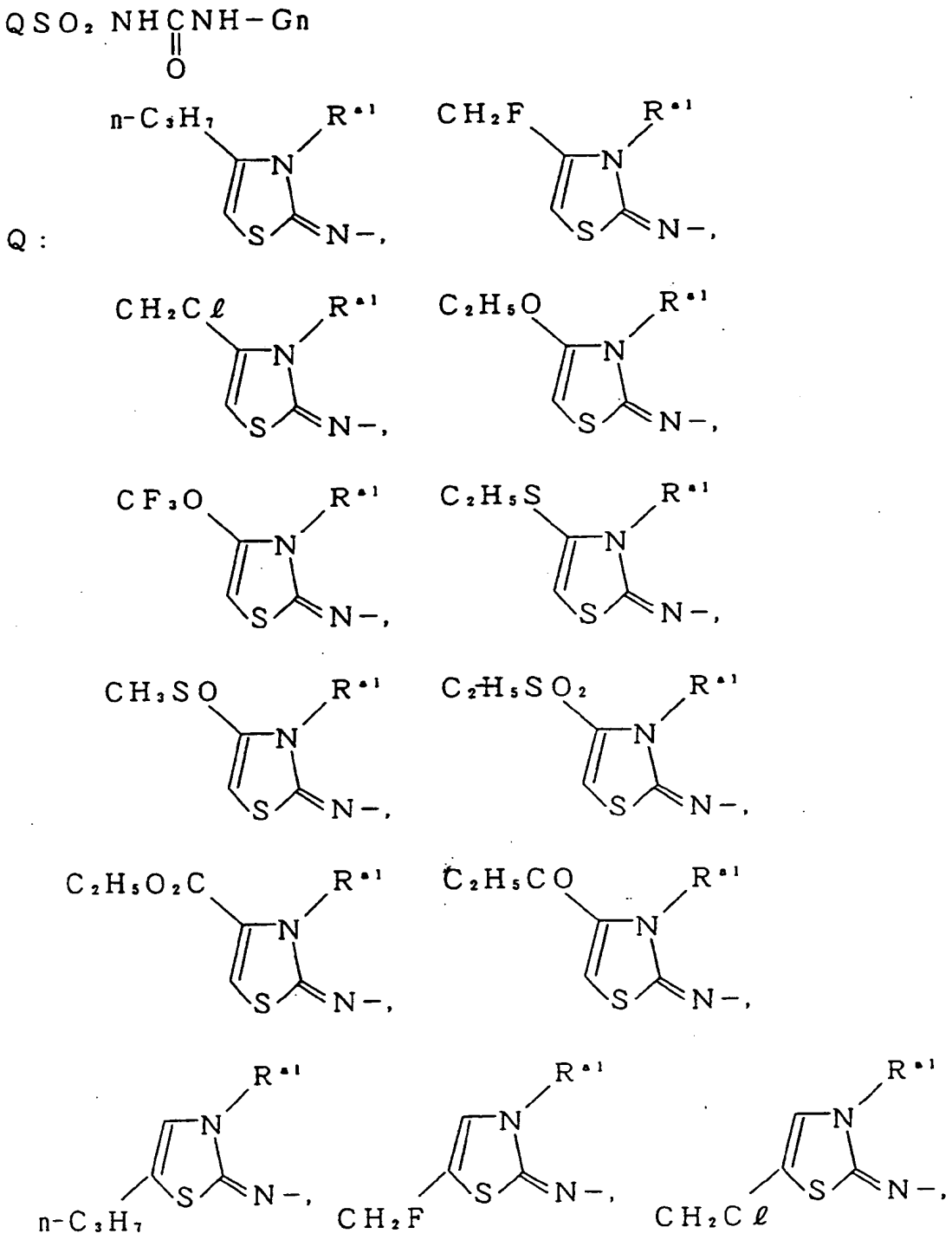
Table 1B continued

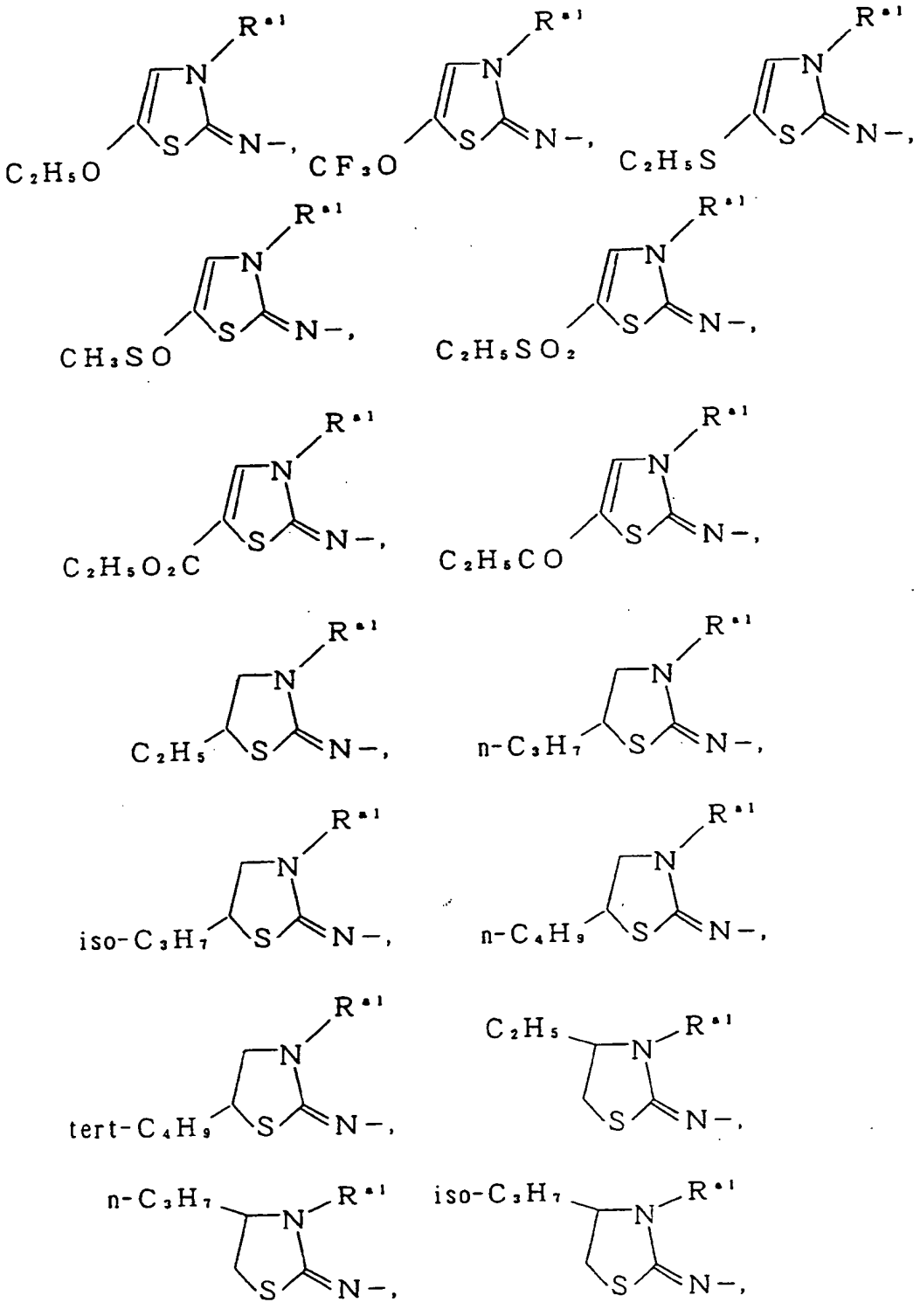
R^{m}	Gn
$CH_2SO_2NMe_2$	Ga
$CH_2CH_2SO_2NMe_2$	Ga
$CH_2SO_2N(OMe)Me$	Ga
$CH_2CH_2SO_2N(OMe)Me$	Ga
$CH_2CONHMe$	Gb
$CH_2CH_2CONHMe$	Gb
CH_2CONMe_2	Ga
$CH_2CH_2CONMe_2$	Ga
$CH_2CONHOMe$	Gb
$CH_2CH_2CONHOMe$	Gb
$CH_2CON(OMe)Me$	Ga
$CH_2CH_2CON(OMe)Me$	Ga
CH_2NHMe	Gb
CH_2CH_2NHMe	Gb
CH_2NHOMe	Gb
CH_2CH_2NHOMe	Gb
CH_2NMe_2	Ga
$CH_2CH_2NMe_2$	Ga
$CH_2N(OMe)Me$	Ga
$CH_2CH_2N(OMe)Me$	Ga
$CH_2NMeCOMe$	Ga
$CH_2CH_2NMeCOMe$	Ga
$CH_2N(OMe)COMe$	Ga

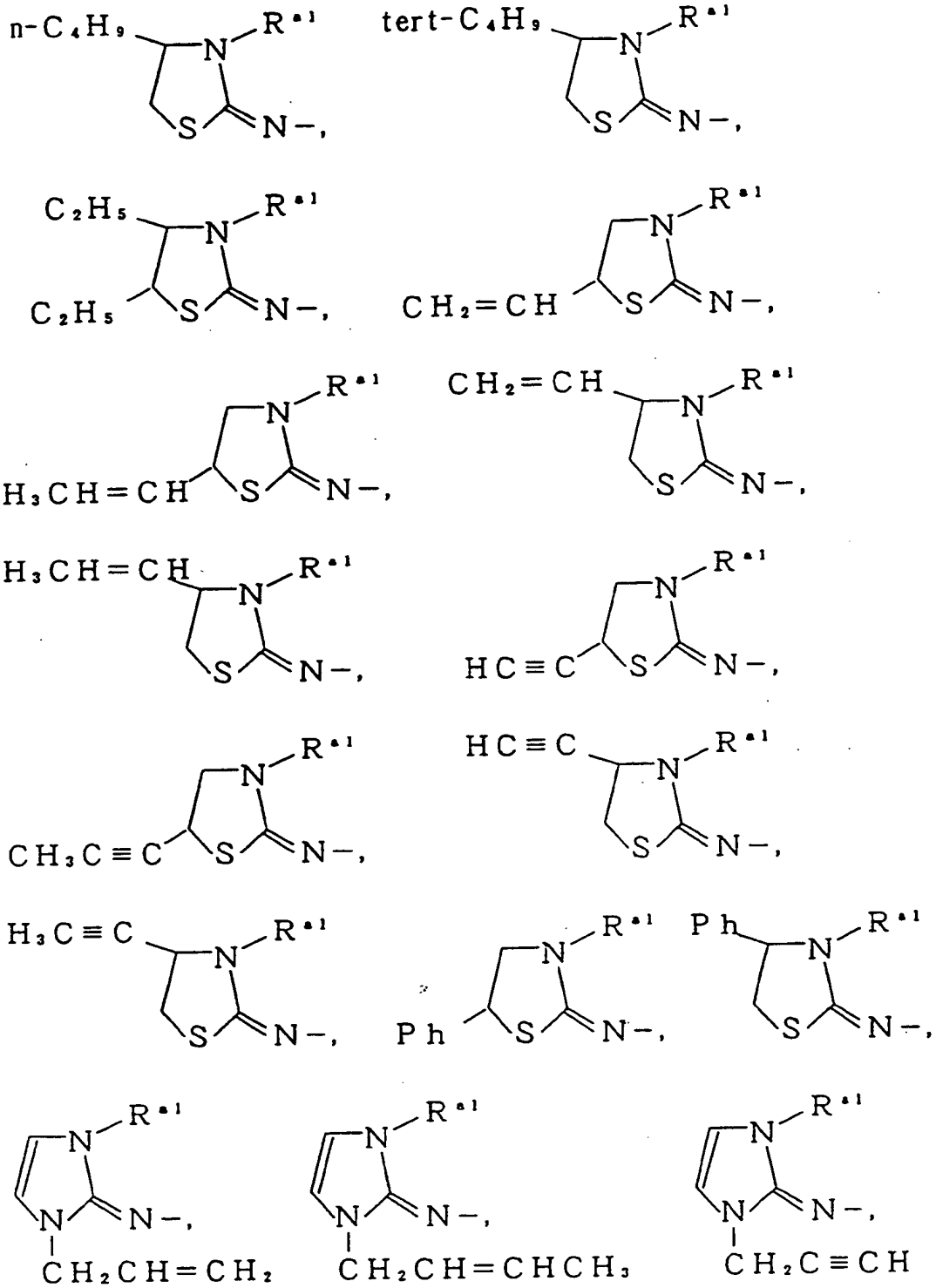
Table 1B continued

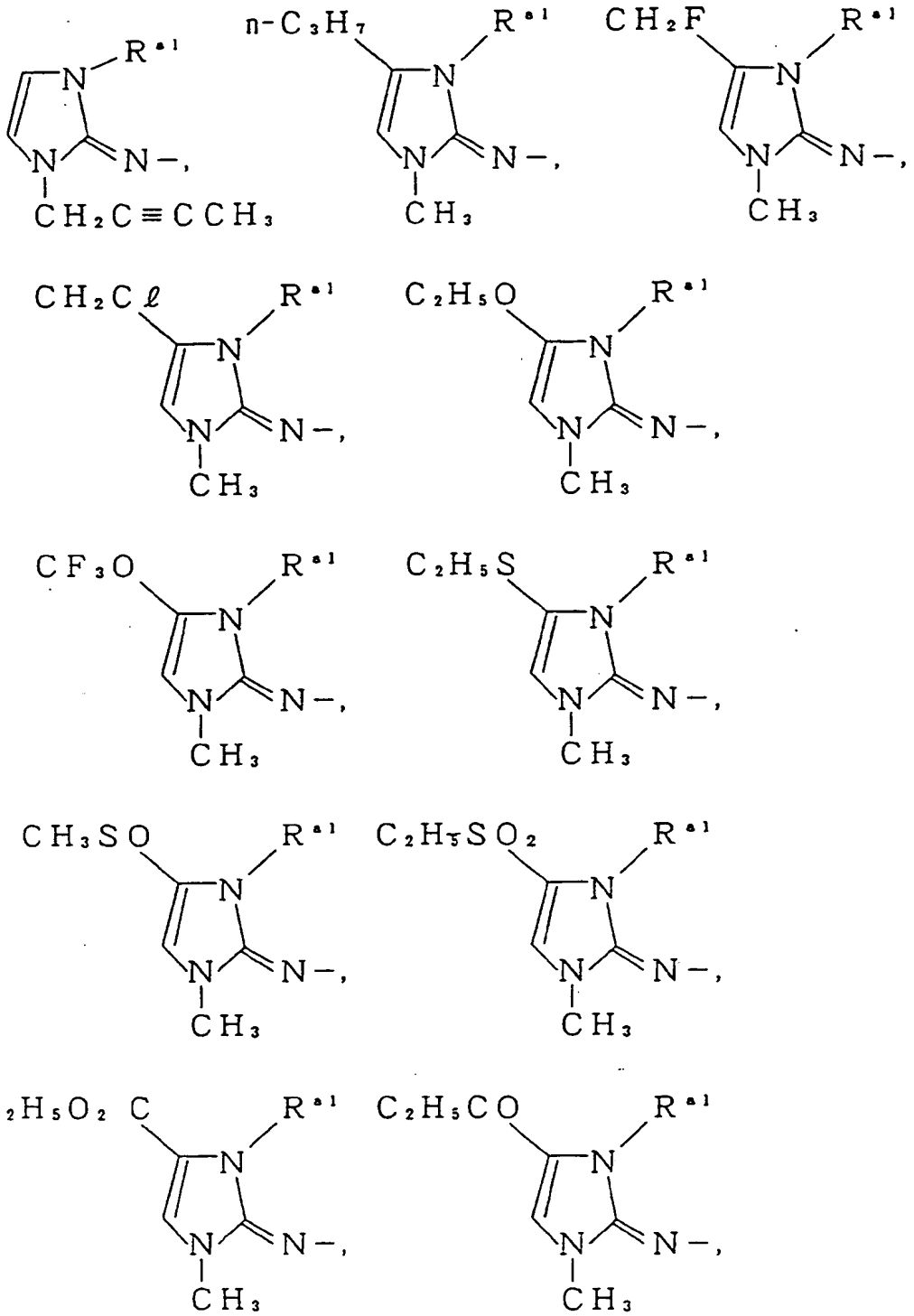
R^{m}	Gn
$CH_2CH_2N(OMe)COMe$	Ga
CH_2NMeSO_2Me	Ga
$CH_2CH_2NMeSO_2Me$	Ga
$CH_2N(OMe)SO_2Me$	Ga
$CH_2CH_2N(OMe)SO_2Me$	Ga
CH_2Ph	Gb
CH_2CH_2Ph	Gb
$CH_2CH_2CH_2Ph$	Gb
$CHMePh$	Gb
$CH_2CH=CHPh$	Gb
$CHMeCH=CHPh$	Gb
CH_2CH_2OPh	Gb
CH_2OPh	Gb
CH_2CH_2SPh	Gb
CH_2SPh	Gb
$CH_2CH_2SO_2Ph$	Gb
CH_2COPh	Gb
CH_2CH_2COPh	Gb
CH_2COCH_2Ph	Gb
$CH_2CH_2COCH_2Ph$	Gb
Ph	Gb

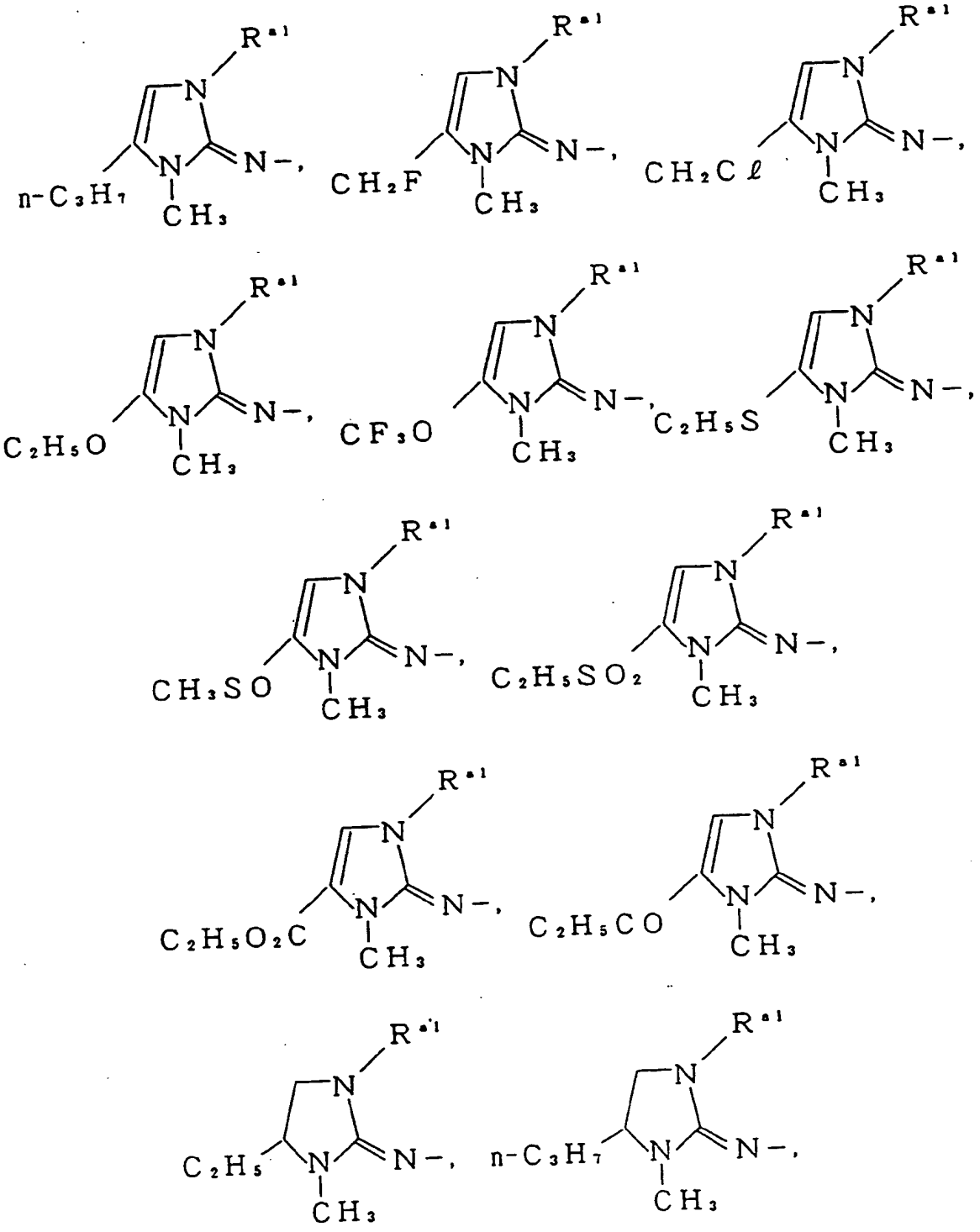
Table 1C

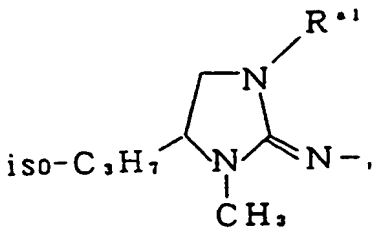


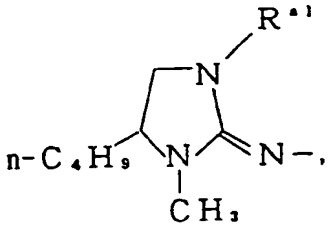


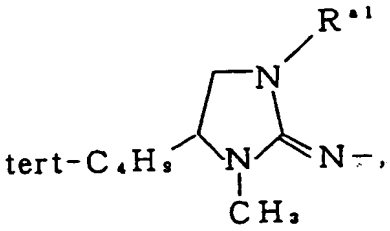


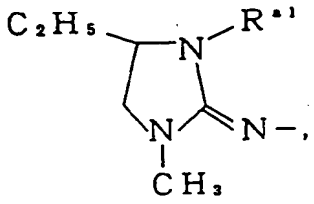


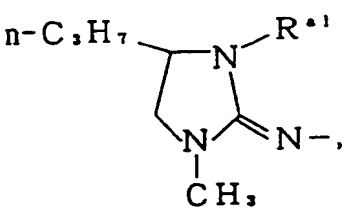


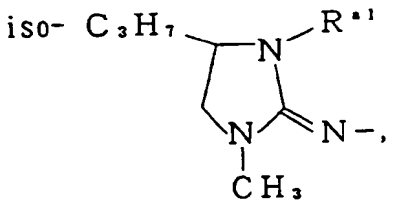


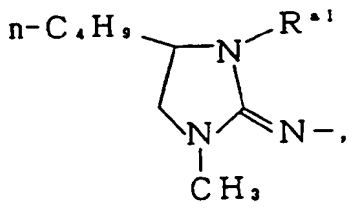


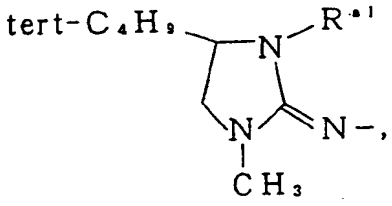


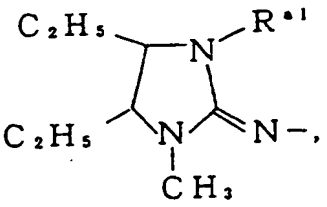


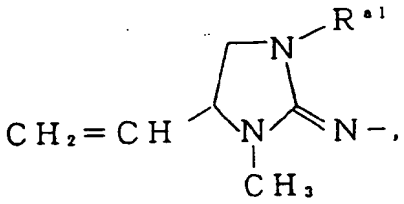


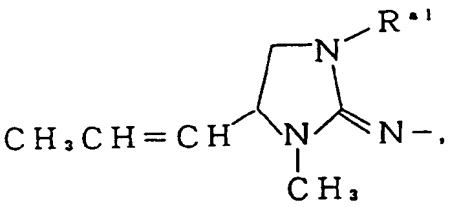


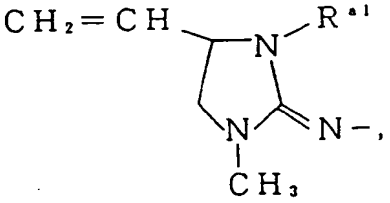


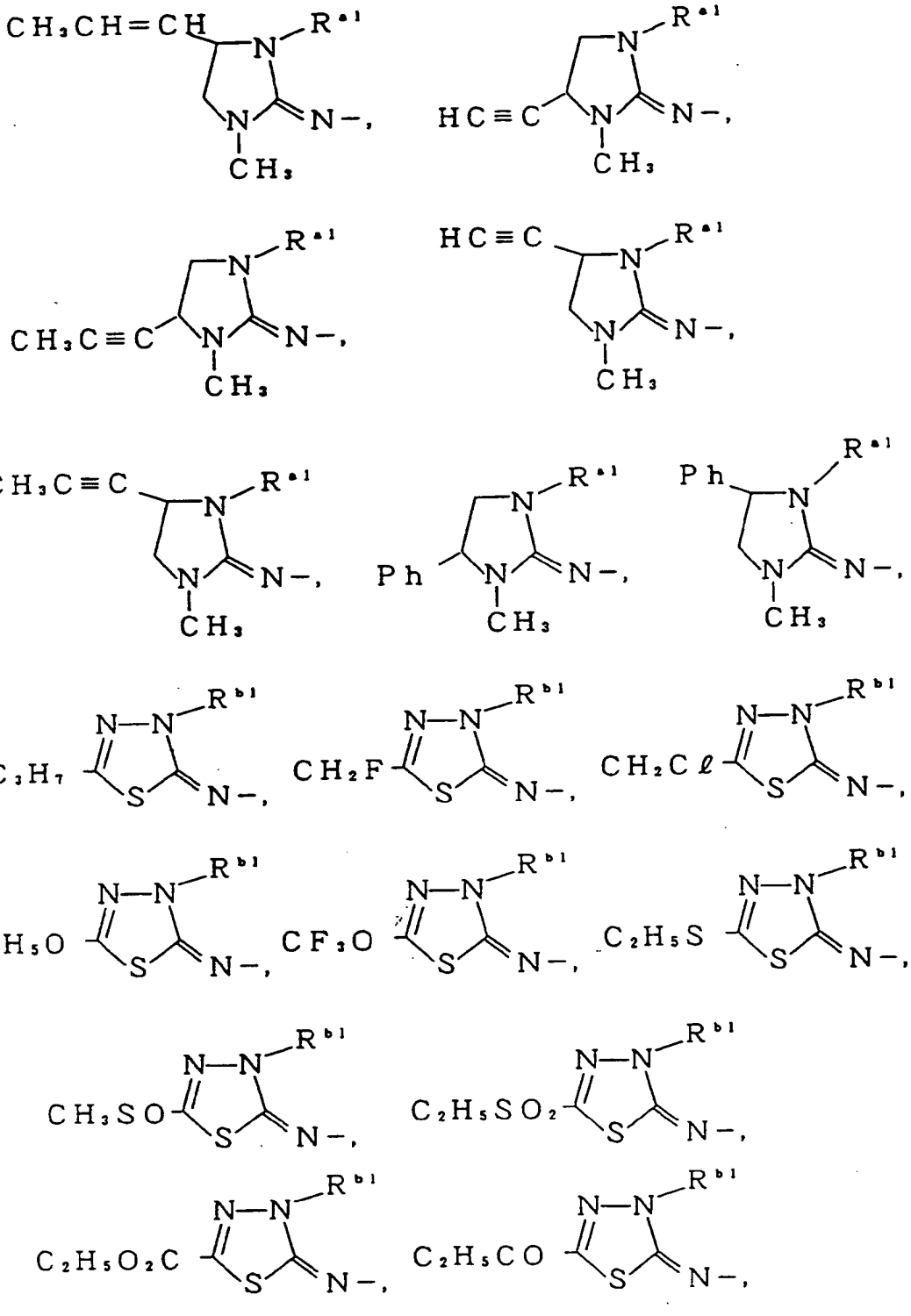


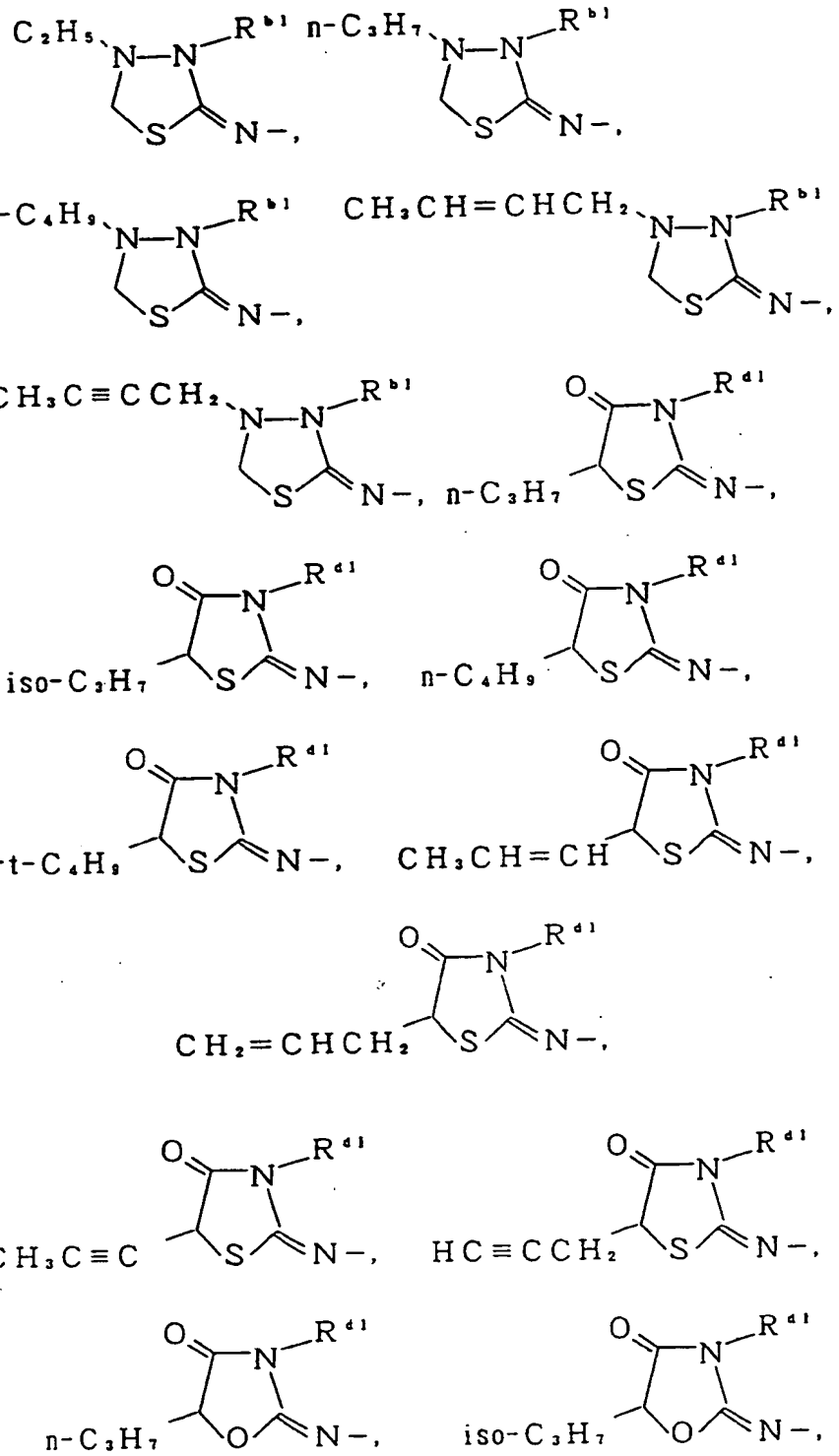


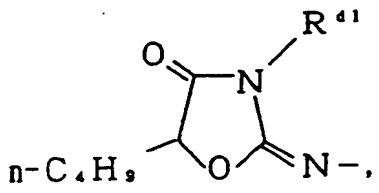


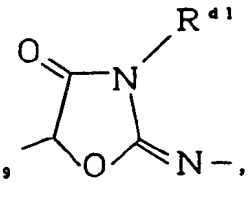


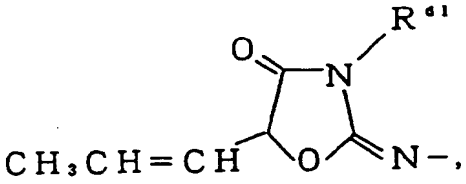


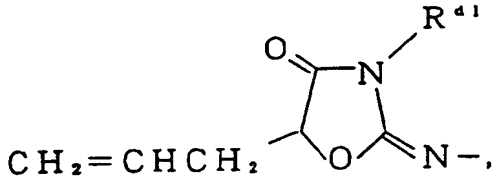


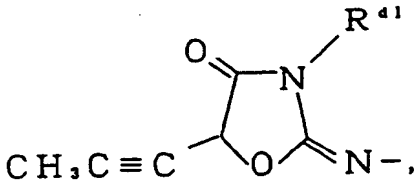


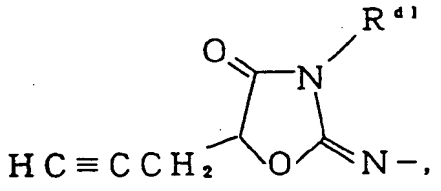


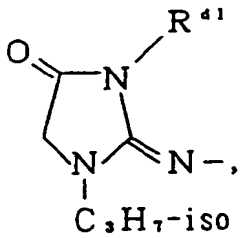


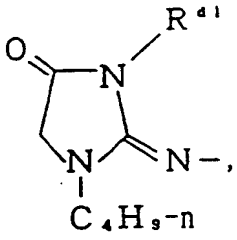


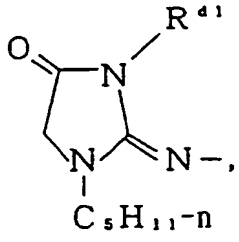


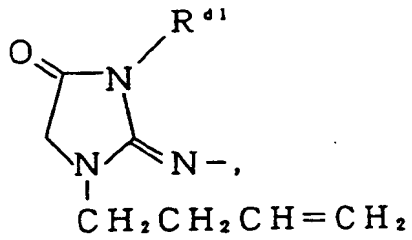


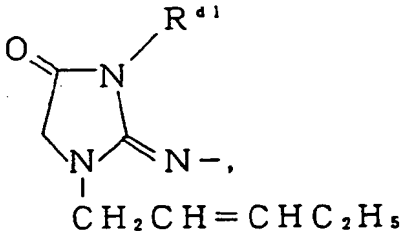


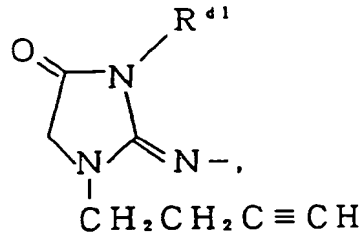


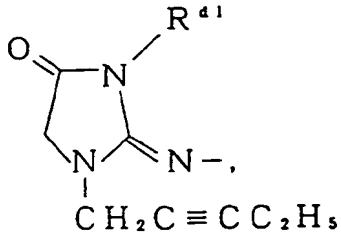


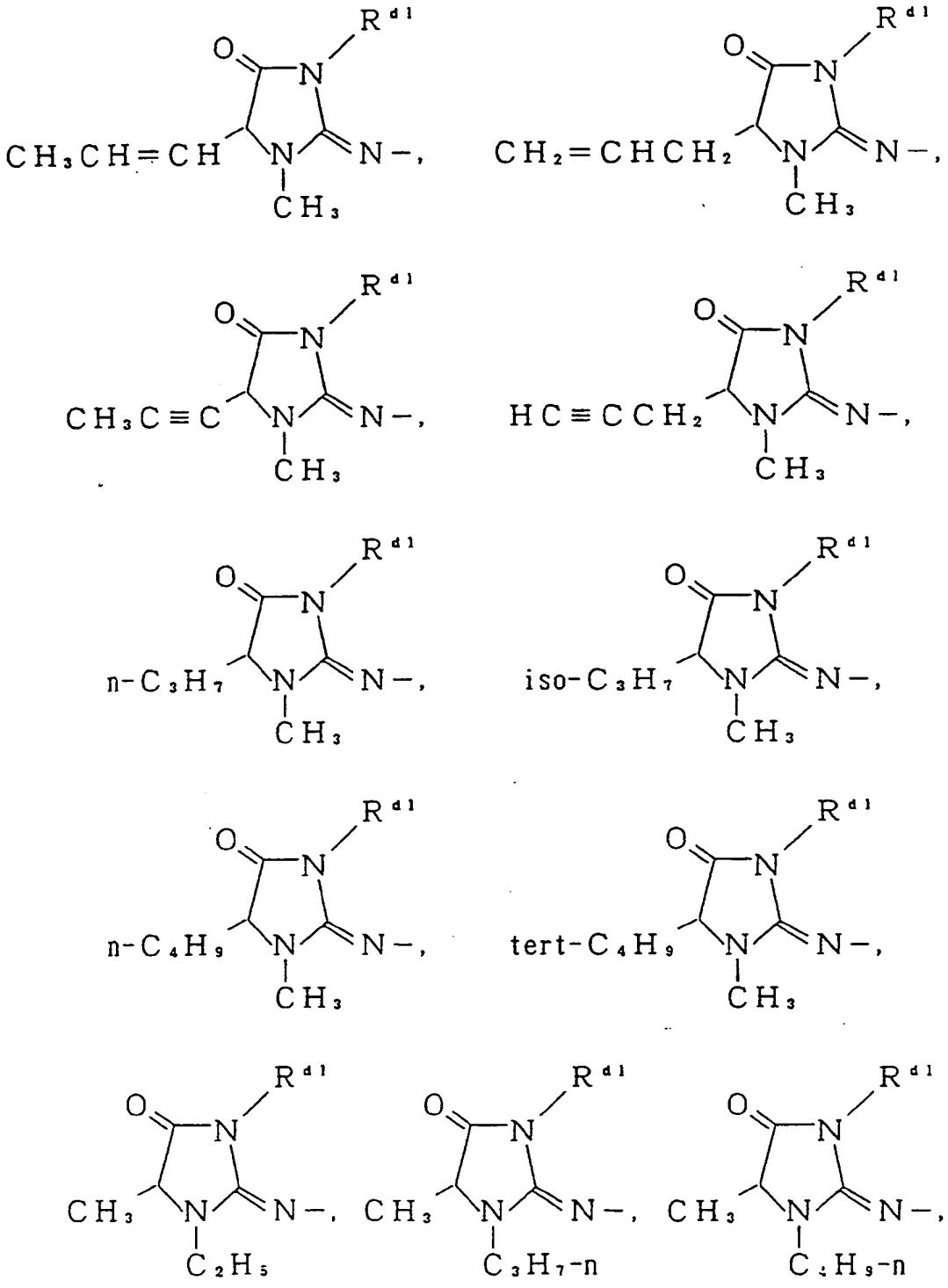


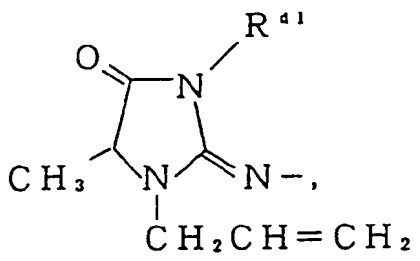


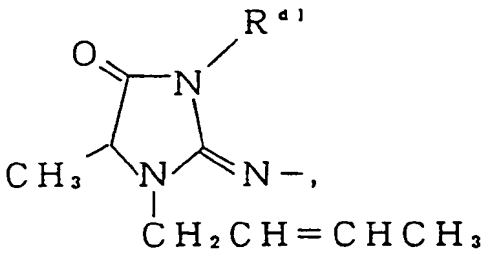


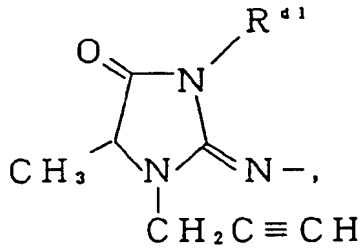


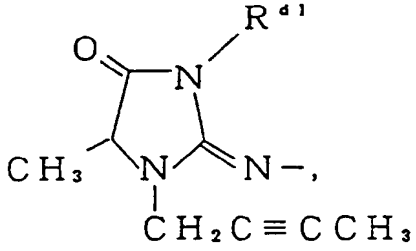


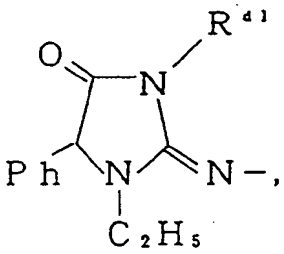


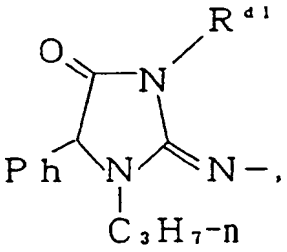


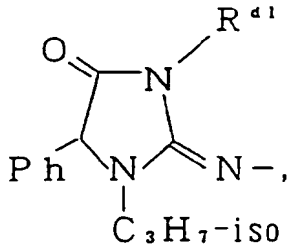


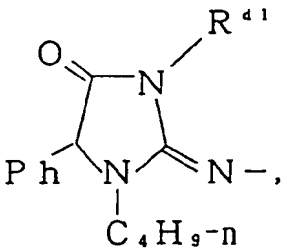


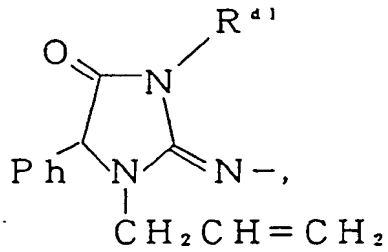


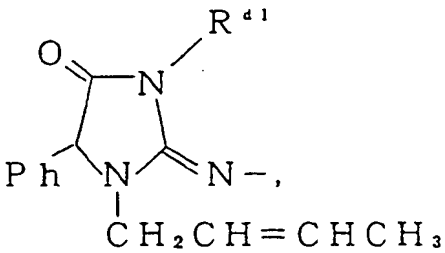


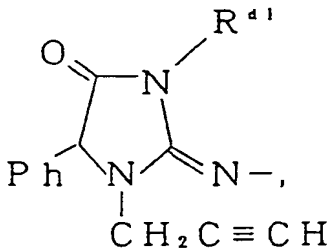


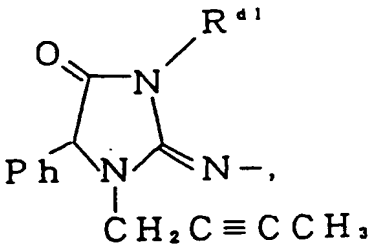


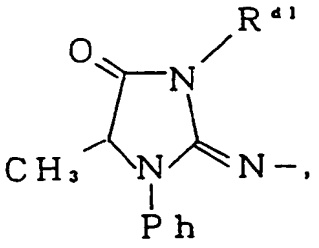


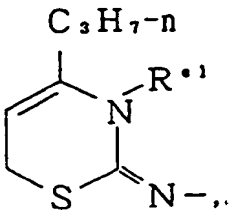


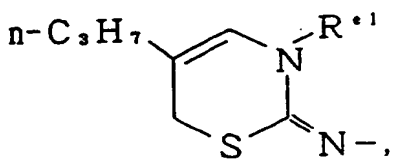


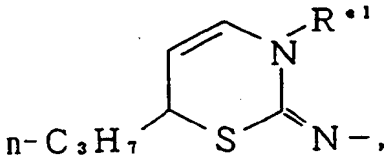


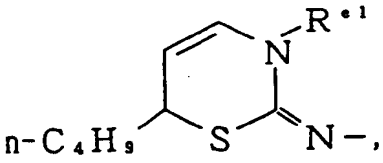


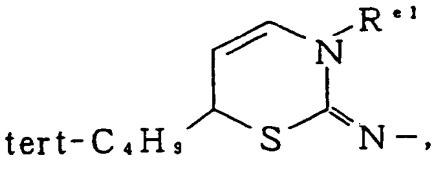


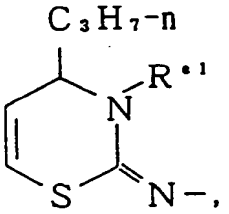


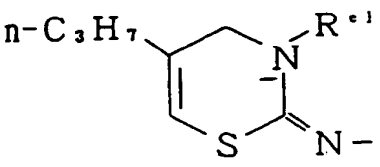


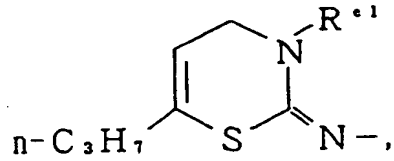


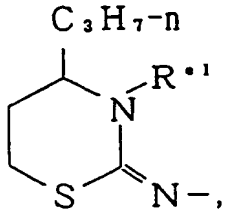


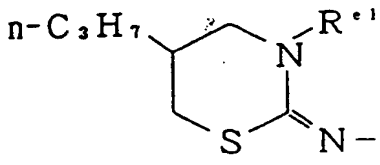


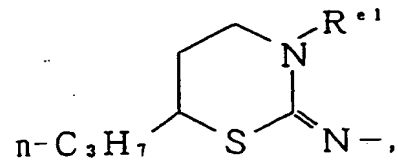


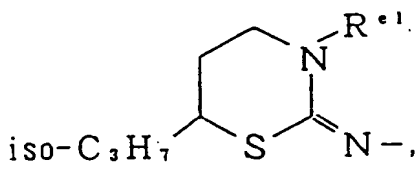


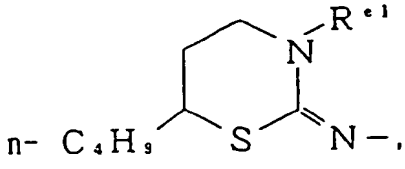


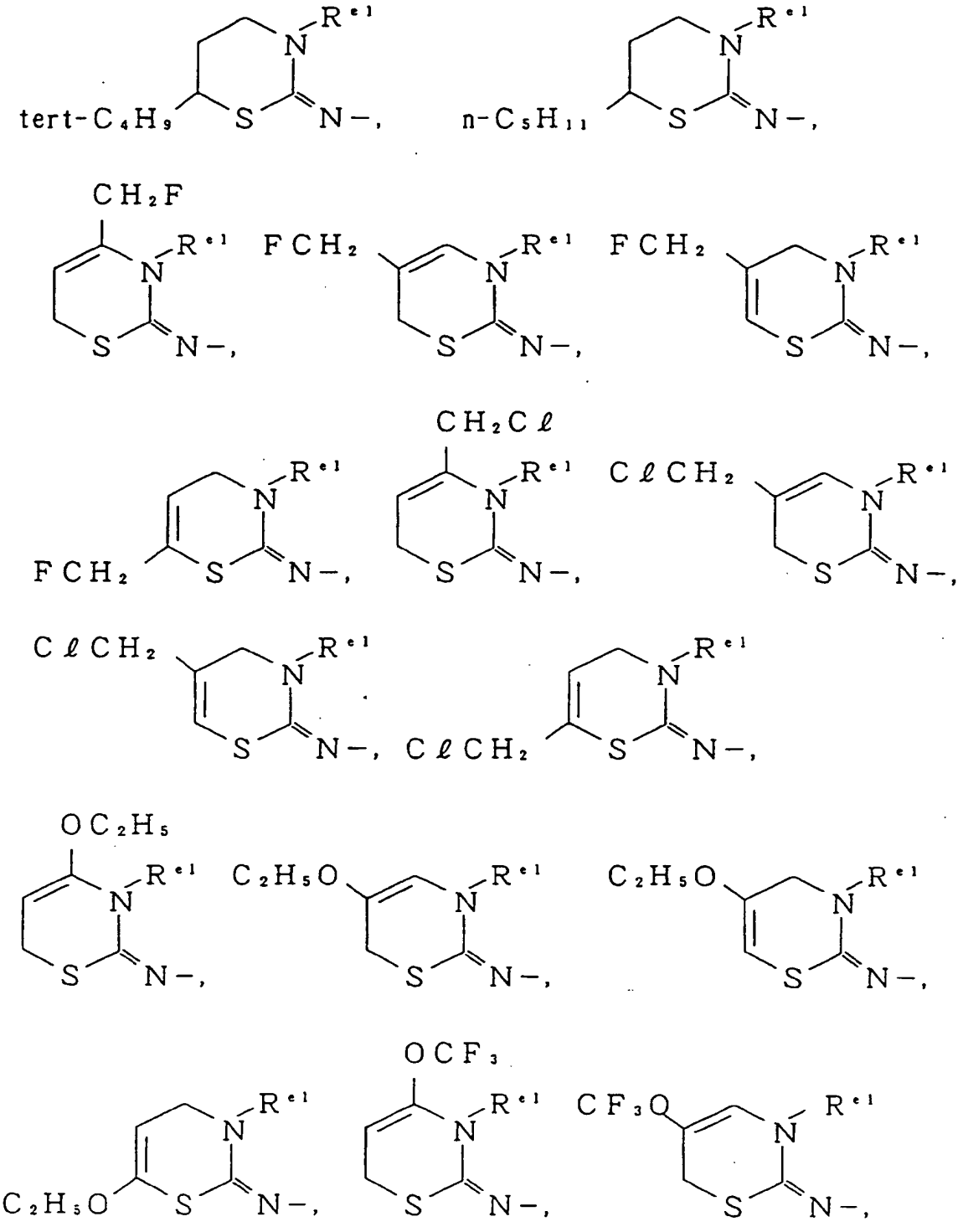


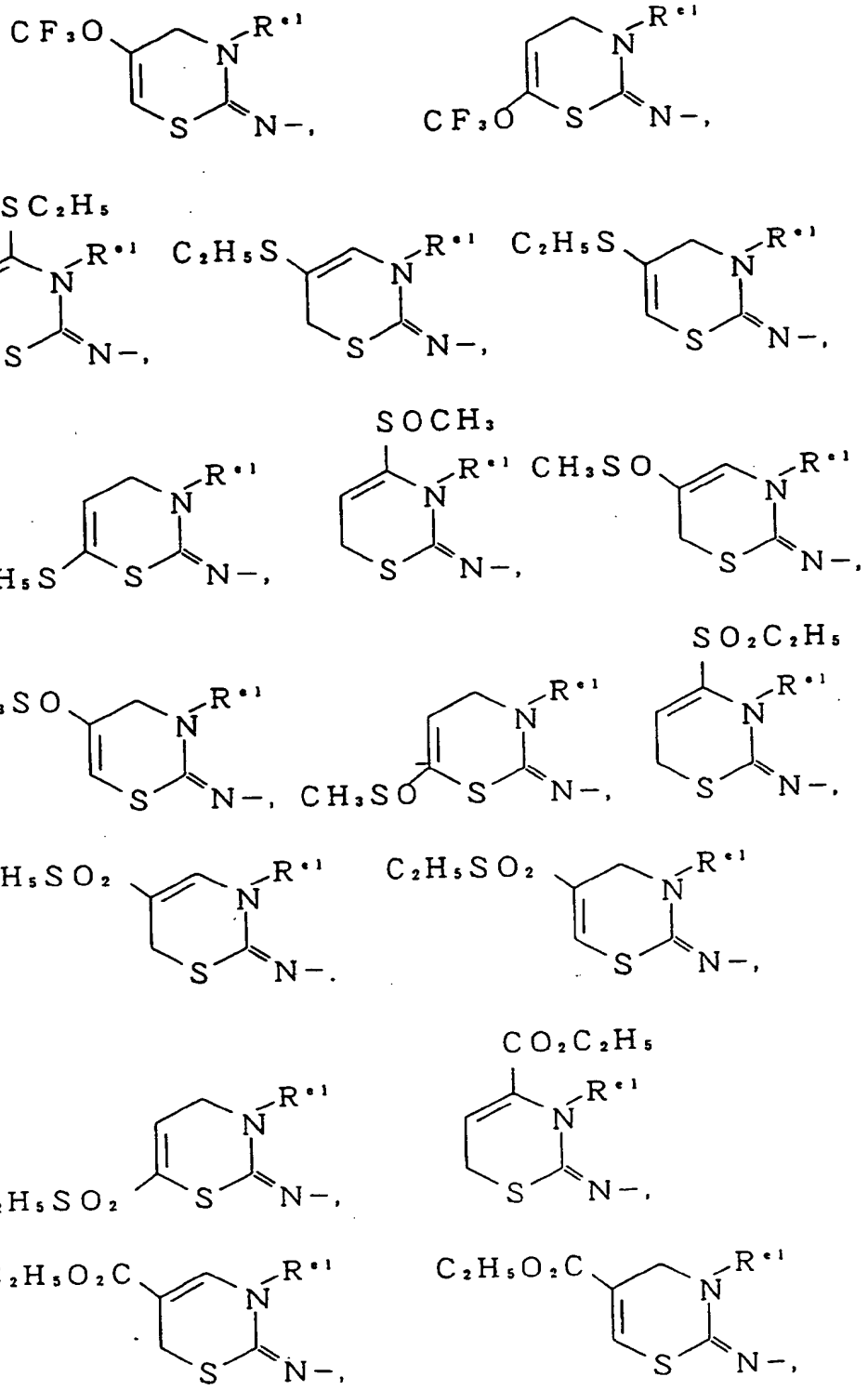


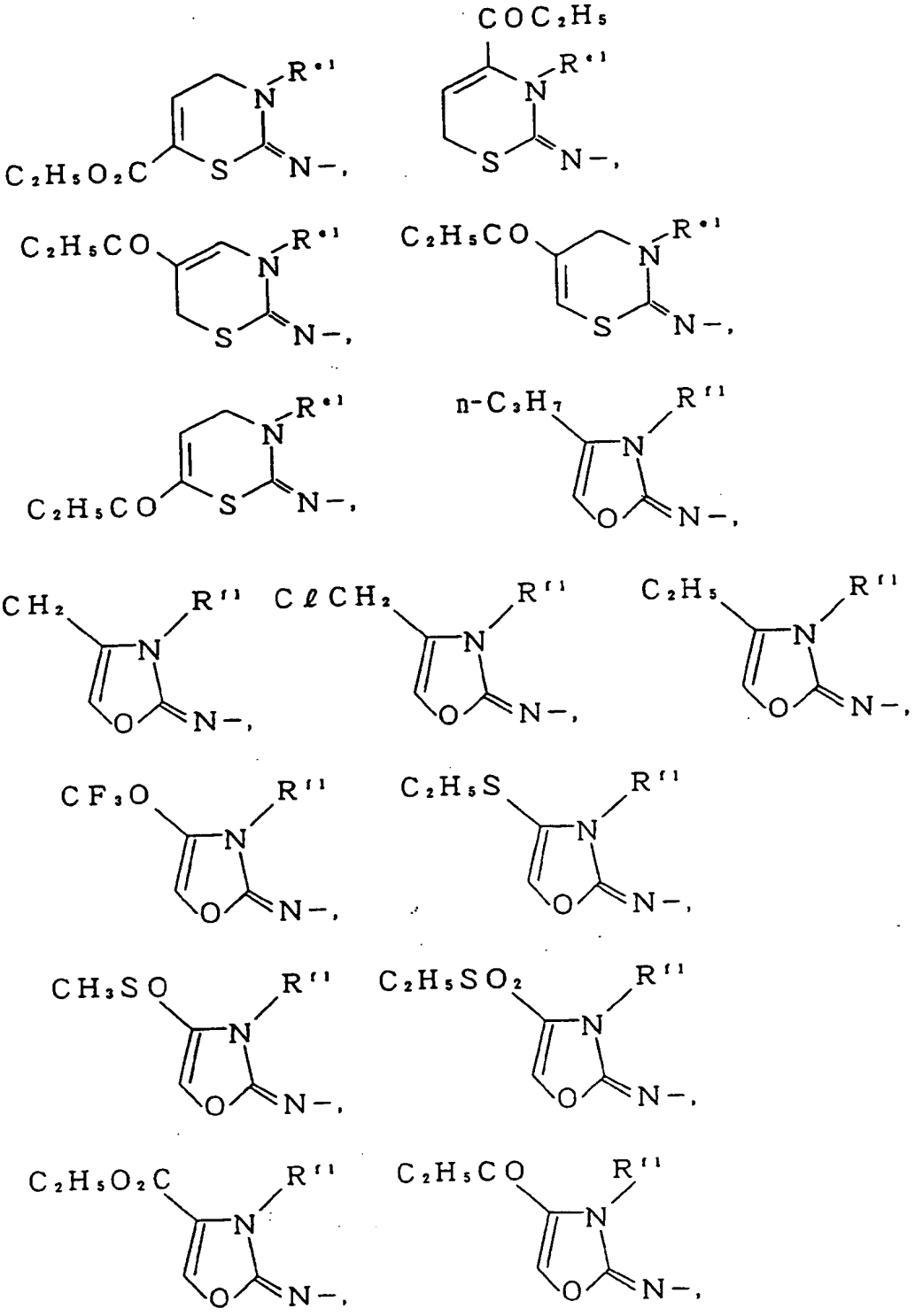


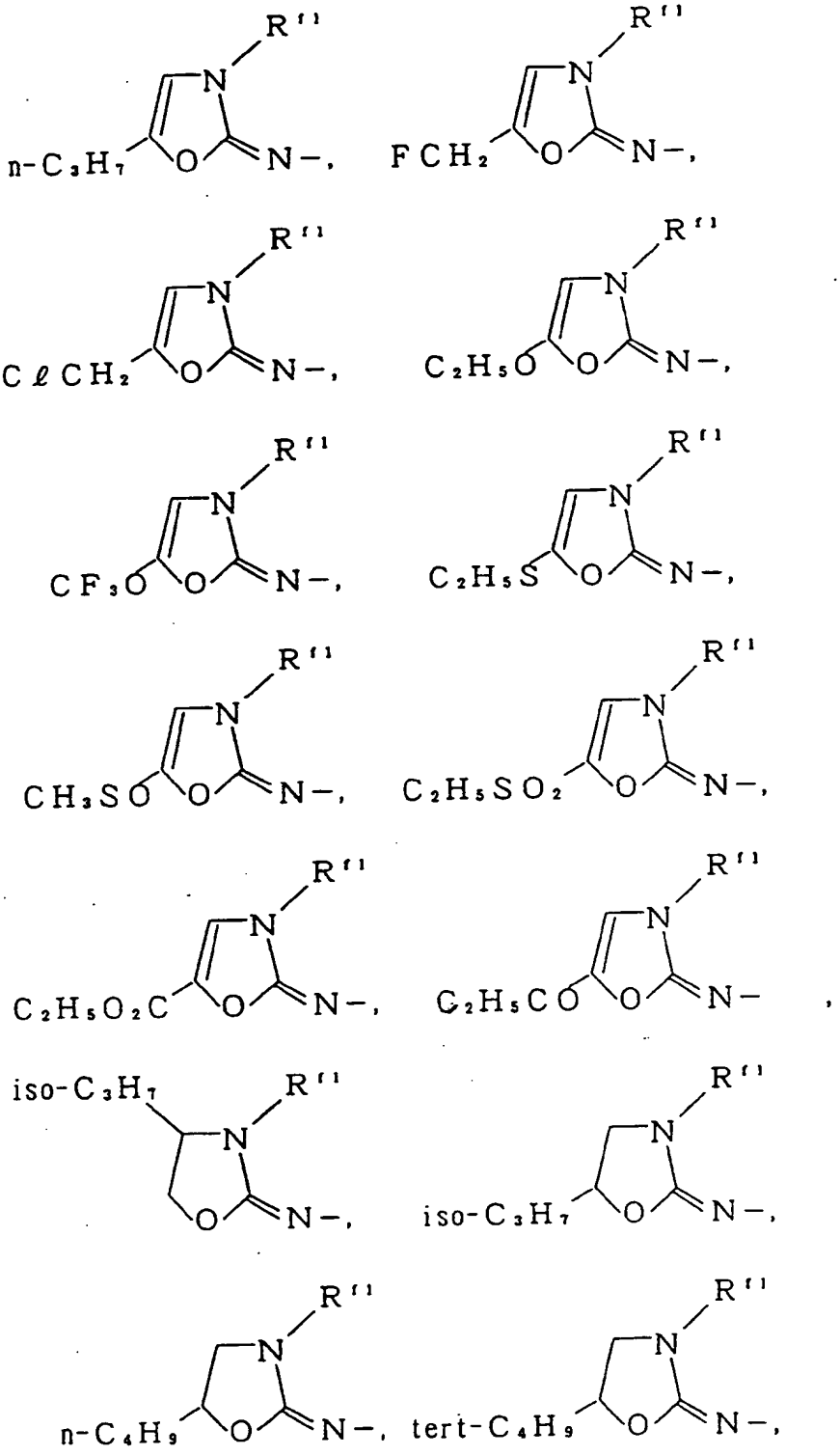


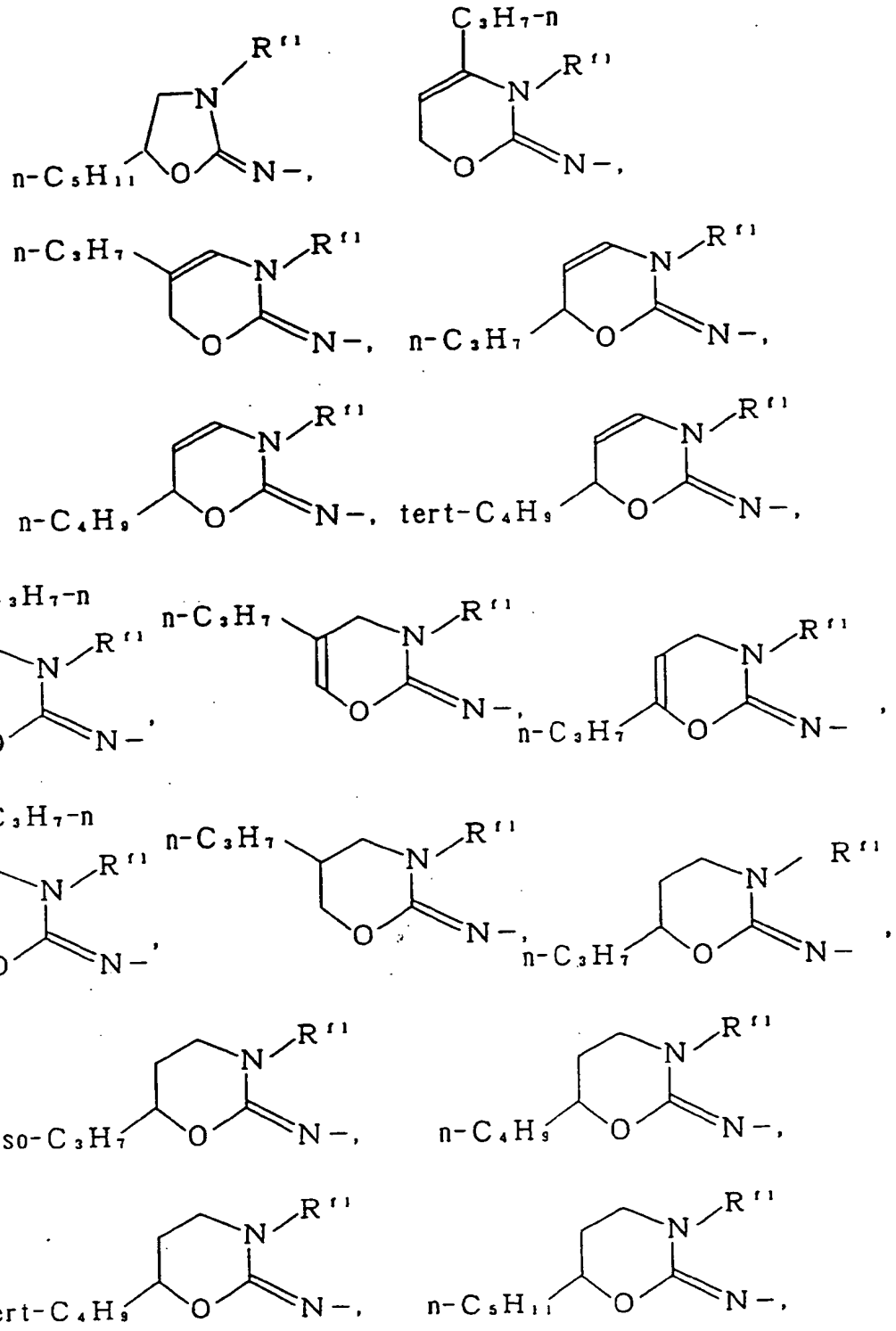


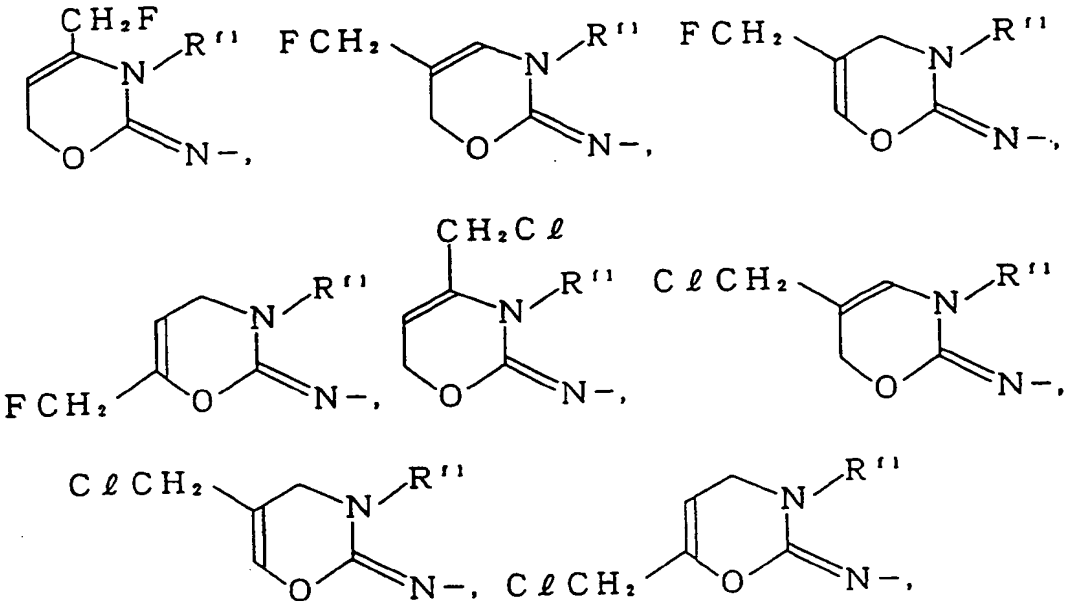


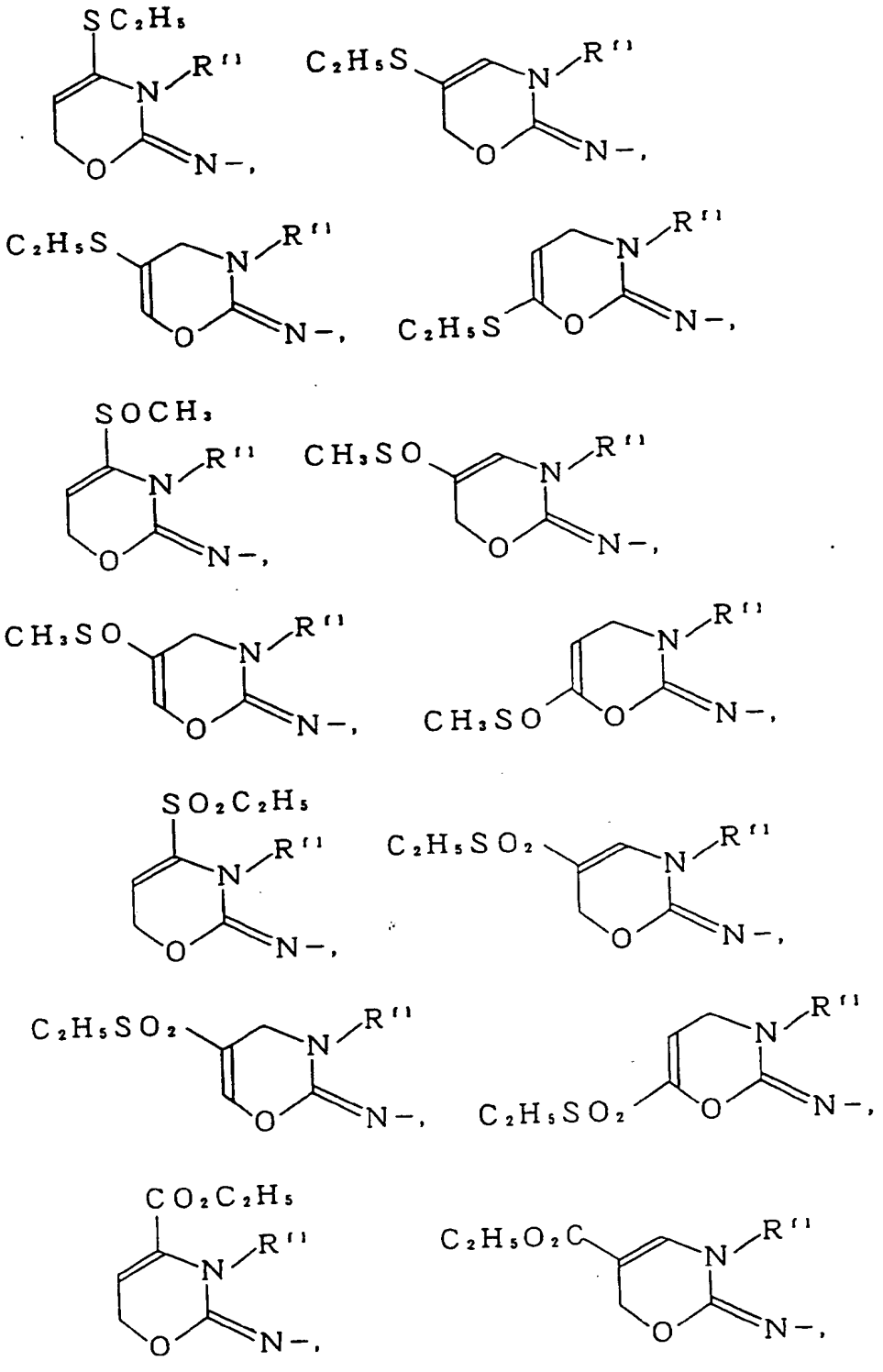


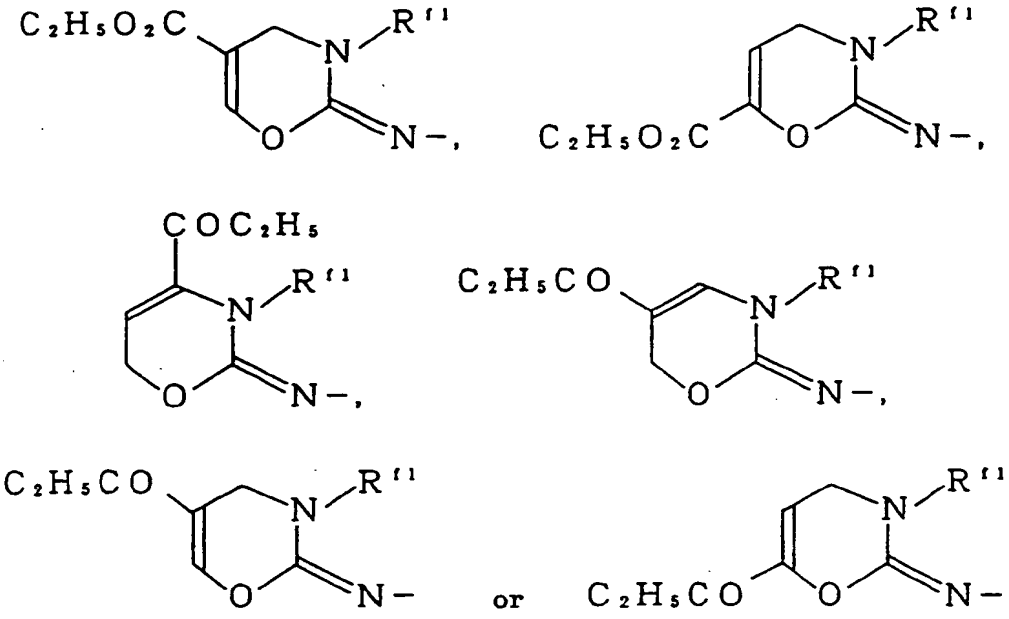












R^m represents R^{al}, R^{bl}, R^{dl}, R^{el} or R^{fl}.

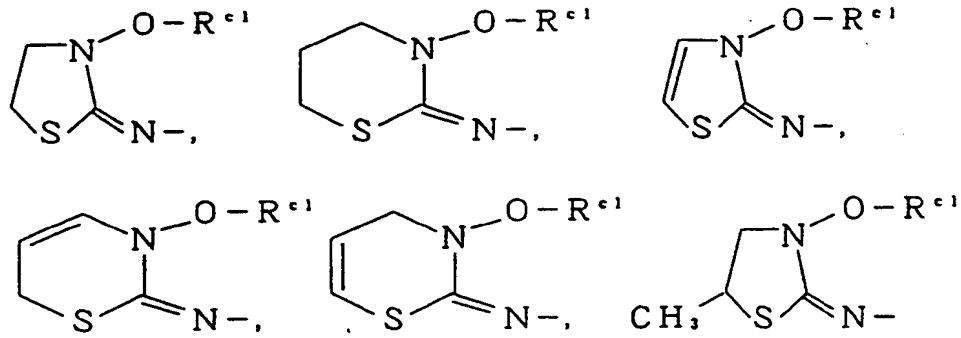
R^m	Gn
Me	Ga
Et	Ga
Pr-n	Ga
Pr-iso	Gb
Bu-n	Ga
Bu-iso	Ga
Pen-n	Gb
CH_2 Pr-cyc	Ga
CH_2 CH_2 Pr-cyc	Gb
CH_2 CH=CH_2	Ga
CH_2 CH=CHMe	Ga
CH_2 C≡CH	Ga
CH_2 C≡CMe	Ga
CH_2 CH_2 OMe	Ga
CH_2 OMe	Ga
CH_2 CH_2 SMe	Ga
CH_2 SMe	Ga
CH_2 SO_2 Me	Ga
CH_2 CH_2 SO_2 Me	Ga
CH_2 CF_3	Ga
CH_2 CN	Ga
CH_2 CH_2 CN	Ga

Table 1C continued

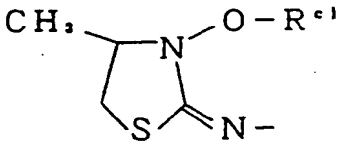
R[a]	Gn
CH_2NO_2	Ga
$CH_2CH_2NO_2$	Ga
CH_2COMe	Ga
CH_2COEt	Ga
$CH_2COCH=CH_2$	Ga
$CH_2CH=CHCOMe$	Ga
CH_2CONMe_2	Ga

Table 2A

Q :



or



R^{c1}	Gn
Me	Ga
Et	Ga
Pr-n	Ga
Pr-iso	Ga
Bu-n	Ga
Bu-iso	Ga
Bu-sec	Ga
Bu-tert	Gb
Pen-n	Ga
Hex-n	Gb
Hep-n	Gb
Pr-cyc	Ga
Hex-cyc	Ga
CH_2 Pr-cyc	Ga
CH_2 CH_2 Pr-cyc	Ga
CH_2 Bu-cyc	Gb
CH_2 Pen-cyc	Gc
Hexen-cyc	Gb
CH_2 Penten-cyc	Gb
CH_2 CH=CH_2	Ga
CH_2 CH=CHMe	Ga
CH_2 CH=CHEt	Ga

Table 2A continued

R^{c1}	Gn
$CH_2CH=CMe_2$	Ga
$CH_2CMe=CH_2$	Ga
$CH_2CMeCH=CHMe$	Ga
$CH_2CH_2CH=CH_2$	Ga
$CH_2CH_2CH=CHMe$	Ga
$CH_2C\equiv CH$	Ga
$CH_2C\equiv CMe$	Ga
$CH_2C\equiv CEt$	Ga
$CH_2CH_2C\equiv CH$	Ga
$CH_2CH_2C\equiv CMe$	Ga
$CHMeC\equiv CH$	Ga
$CHMeC\equiv CMe$	Ga
CH_2OMe	Ga
CH_2OEt	Ga
CH_2OPr-n	Gb
CH_2CH_2OMe	Ga
CH_2CH_2OEt	Ga
CH_2CH_2OPr-n	Gb
$CH_2CHMeOMe$	Ga
$CH_2CH_2CH_2OMe$	Ga
$CH_2CH_2CH_2OEt$	Ga
$CH_2OCH_2CH=CH_2$	Ga
$CH_2OCH_2CH=CHMe$	Ga

Table 2A continued

R^{c1}	Gn
$CH_2CH_2OCH_2CH=CH_2$	Ga
$CH_2CH_2OCH_2CH=CHMe$	Ga
$CH_2OCH_2C\equiv CH$	Ga
$CH_2OCH_2C\equiv CMe$	Ga
$CH_2CH_2OCH_2C\equiv CH$	Ga
$CH_2CH_2OCH_2C\equiv CMe$	Ga
CH_2OCHF_2	Ga
CH_2OCF_3	Ga
$CH_2CH_2OCHF_2$	Ga
$CH_2CH_2OCF_3$	Ga
$CH_2OCH_2CF_3$	Ga
$CH_2CH_2OCH_2CF_3$	Ga
$CH_2OCH_2CH_2F$	Ga
$CH_2OCH_2CH_2Cl$	Ga
$CH_2CH_2OCH_2CH_2F$	Ga
$CH_2CH_2OCH_2CH_2Cl$	Ga
$CH_2OCH_2CH=CHCl$	Gb
$CH_2CH_2OCH_2CH=CHCl$	Gb
$CH_2OCH_2CH=CHBr$	Gb
$CH_2CH_2OCH_2CH=CHBr$	Gb
$CH_2OCH_2CH=CF_2$	Gb
$CH_2CH_2OCH_2CH=CF_2$	Gb
$CH_2OCH_2CH=CHCF_3$	Gb

Table 2A continu d

R^{c1}	Gn
$CH_2CH_2OCH_2CH=CHCF_3$	Gb
$CH_2OCH_2C\equiv CI$	Gb
$CH_2CH_2OCH_2C\equiv CI$	Gb
$CH_2OCH_2C\equiv CCF_3$	Gb
$CH_2CH_2OCH_2C\equiv CCF_3$	Gb
CH_2SMe	Ga
CH_2SEt	Ga
CH_2SPr-n	Gb
CH_2CH_2SMe	Ga
CH_2CH_2SEt	Ga
CH_2CH_2SPr-n	Gb
CH_2SOMe	Gb
CH_2SOEt	Gb
CH_2CH_2SOMe	Gb
CH_2CH_2SOEt	Gb
CH_2SO_2Me	Ga
CH_2SO_2Et	Ga
CH_2SO_2Pr-n	Gb
$CH_2CH_2SO_2Me$	Ga
$CH_2CH_2SO_2Et$	Ga
$CH_2CH_2SO_2Pr-n$	Gb
CH_2CH_2F	Ga
CH_2CHF_2	Ga

Table 2A continued

R^{c1}	Gn
CH_2CF_3	Ga
CH_2CH_2Cl	Ga
CH_2CH_2Br	Ga
$CH_2CH_2CF_3$	Ga
$CH_2CF_2CF_3$	Ga
$CH_2CH=CHCl$	Ga
$CH_2CH=CHBr$	Ga
$CH_2CH=CF_2$	Ga
$CH_2CH=CHCF_3$	Ga
$CH_2C\equiv CI$	Gb
$CH_2C\equiv CCF_3$	Gb
CH_2CN	Ga
CH_2CH_2CN	Ga
$CHMeCN$	Ga
$CH_2CH=CHCN$	Ga
$CH(CN)C\equiv CH$	Gb
CH_2NO_2	Ga
$CH_2CH_2NO_2$	Ga
$CH_2CH=CHNO_2$	Gb
$CH_2CH(NO_2)CH=CH_2$	Gc
$CH_2CH(NO_2)C\equiv CH$	Gc
CH_2CO_2Me	Ga
CH_2CO_2Et	Ga

Table 2A continued

R^{c1}	Gn
CH_2CO_2Pr-n	Gb
$CHMeCO_2Me$	Ga
$CHMeCO_2Et$	Ga
$CH_2CH_2CO_2Me$	Ga
$CH_2CH_2CO_2Et$	Ga
$CH_2CH_2CH_2CO_2Me$	Gb
$CH_2CH=CHCO_2Me$	Ga
$CH_2CH=CHCO_2Et$	Ga
$CHMeCH=CHCO_2Me$	Ga
$CHMeCH=CHCO_2Et$	Ga
$CH_2C\equiv CCO_2Me$	Ga
$CH_2C\equiv CCO_2Et$	Ga
CH_2COMe	Ga
CH_2COEt	Ga
$CH_2COPr-n$	Gb
CH_2CH_2COMe	Ga
CH_2CH_2COEt	Ga
CH_2COCF_3	Ga
$CH_2CH_2COCF_3$	Ga
$CH_2COCH_2CF_3$	Gb
CH_2COCH_2F	Gb
$CH_2COCH=CH_2$	Ga
$CH_2COCH=CHMe$	Ga

Table 2A continued

R^{c1}	Gn
$CH_2COCH_2CH=CH_2$	Gb
$CH_2CH_2COCH=CH_2$	Gb
$CH_2CH_2COCH=CHMe$	Gb
$CH_2COC\equiv CH$	Ga
$CH_2COC\equiv CMe$	Ga
CH_2COCH_2OMe	Ga
CH_2COCH_2OEt	Ga
$CH_2COCH_2CH_2OMe$	Ga
$CH_2COCH_2CH_2OEt$	Ga
CH_2COCH_2SMe	Ga
CH_2COCH_2SEt	Ga
$CH_2COCH_2CH_2SMe$	Ga
$CH_2COCH_2CH_2SEt$	Ga
CH_2COCH_2SOMe	Gb
$CH_2COCH_2CH_2SOMe$	Gb
$CH_2COCH_2SO_2Me$	Ga
$CH_2COCH_2SO_2Et$	Ga
$CH_2COCH_2CH_2SO_2Me$	Ga
$CH_2COCH_2CH_2SO_2Et$	Ga
$CH_2CH=CHCOMe$	Ga
$CH_2CH=CHCOEt$	Ga
$CHMeCH=CHCOMe$	Ga
$CHMeCH=CHCOEt$	Ga

Table 2A continued

R^{c1}	Gn
$CH_2C{\equiv}CCOMe$	Ga
$CH_2C{\equiv}CCOEt$	Ga
CH_2SO_2NHMe	Ga
CH_2SO_2NHEt	Ga
$CH_2CH_2SO_2NHMe$	Ga
$CH_2CH_2SO_2NHEt$	Ga
CH_2SO_2NHOMe	Ga
CH_2SO_2NHOEt	Ga
$CH_2CH_2SO_2NHOMe$	Ga
$CH_2CH_2SO_2NHOEt$	Ga
$CH_2SO_2NMe_2$	Ga
CH_2SO_2NMeEt	Ga
$CH_2SO_2NEt_2$	Ga
$CH_2CH_2SO_2NMe_2$	Ga
$CH_2CH_2SO_2NMeEt$	Ga
$CH_2CH_2SO_2NEt_2$	Ga
$CH_2SO_2N(OMe)Me$	Ga
$CH_2SO_2N(OMe)Et$	Ga
$CH_2SO_2N(OEt)Me$	Ga
$CH_2CH_2SO_2N(OMe)Me$	Ga
$CH_2CH_2SO_2N(OMe)Et$	Ga
$CH_2CH_2SO_2N(OEt)Me$	Ga
$CH_2CONHMe$	Ga

Table 2A continued

R^{c1}	Gn
$CH_2CONHEt$	Ga
$CH_2CONHPr-n$	Gb
$CH_2CH_2CONHMe$	Ga
$CH_2CH_2CONHEt$	Ga
$CH_2CH_2CONHPr-n$	Gb
CH_2CONMe_2	Ga
$CH_2CONMeEt$	Ga
CH_2CONEt_2	Ga
$CH_2CONHOMe$	Ga
$CH_2CONHOEt$	Ga
$CH_2CONHOPr-n$	Gb
$CH_2CON(OMe)Me$	Ga
$CH_2CON(OMe)Et$	Ga
$CH_2CON(OEt)Me$	Ga
$CH_2CON(OEt)Et$	Ga
CH_2NHMe	Ga
CH_2NHEt	Ga
$CH_2NHPr-n$	Gb
CH_2CH_2NHMe	Ga
CH_2CH_2NHEt	Ga
$CH_2CH_2NHPr-n$	Gb
CH_2NHOMe	Ga
CH_2NHOEt	Ga

Tabl 2A continu d

R^{c1}	Gn
CH_2CH_2NHOMe	Ga
CH_2CH_2NHOEt	Ga
CH_2NMe_2	Ga
CH_2NMeEt	Ga
$CH_2CH_2NMe_2$	Ga
CH_2CH_2NMeEt	Ga
$CH_2N(OMe)Me$	Ga
$CH_2N(OMe)Et$	Ga
$CH_2N(OEt)Me$	Ga
$CH_2CH_2N(OMe)Me$	Ga
$CH_2CH_2N(OMe)Et$	Ga
$CH_2CH_2N(OEt)Me$	Ga
$CH_2NMeCOMe$	Ga
$CH_2NEtCOMe$	Ga
$CH_2NMeCOEt$	Ga
$CH_2CH_2NMeCOMe$	Ga
$CH_2CH_2NEtCOMe$	Ga
$CH_2CH_2NMeCOEt$	Ga
$CH_2N(OMe)COMe$	Ga
$CH_2N(OEt)COMe$	Ga
$CH_2N(OMe)COEt$	Ga
$CH_2CH_2N(OMe)COMe$	Ga
$CH_2CH_2N(OEt)COMe$	Ga

Table 2A continued

R^{c1}	Gn
$CH_2CH_2N(OMe)COEt$	Ga
CH_2NMeSO_2Me	Ga
CH_2NEtSO_2Me	Ga
CH_2NMeSO_2Et	Ga
$CH_2CH_2NMeSO_2Me$	Ga
$CH_2CH_2NEtSO_2Me$	Ga
$CH_2CH_2NMeSO_2Et$	Ga
$CH_2N(OMe)SO_2Me$	Ga
$CH_2N(OEt)SO_2Me$	Ga
$CH_2N(OMe)SO_2Et$	Ga
$CH_2CH_2N(OMe)SO_2Me$	Ga
$CH_2CH_2N(OEt)SO_2Me$	Ga
$CH_2CH_2N(OMe)SO_2Et$	Ga
CH_2Ph	Gb
CH_2CH_2Ph	Gb
$CH_2CH_2CH_2Ph$	Gb
$CHMePh$	Gb
$CH_2CH{=}CHPh$	Ga
$CHMeCH{=}CHPh$	Ga
$CH_2C{\equiv}CPh$	Ga
$CHMeC{\equiv}CPh$	Ga
CH_2CH_2OPh	Ga
CH_2OPh	Ga

Table 2A continued

R^{c1}	Gn
CH_2CH_2SPh	Ga
CH_2SPh	Ga
CH_2CH_2SOPh	Gb
$CH_2CH_2SO_2Ph$	Ga
CH_2OCH_2Ph	Ga
$CH_2CH_2OCH_2Ph$	Ga
CH_2SCH_2Ph	Ga
$CH_2CH_2SCH_2Ph$	Ga
CH_2SOCH_2Ph	Gb
$CH_2CH_2SOCH_2Ph$	Gb
$CH_2SO_2CH_2Ph$	Ga
$CH_2CH_2SO_2CH_2Ph$	Ga
CH_2COPh	Ga
CH_2CH_2COPh	Ga
$CHMeCOPh$	Ga
CH_2COCH_2Ph	Gb
$CHMeCOCH_2Ph$	Gb
$CH_2CH_2CH_2F$	Ga
$CH_2CH_2CH_2Cl$	Ga
$CH_2C(Cl)=CH_2$	Ga
Ph	Ga
CH_2SOPh	Ga
CH_2SO_2Ph	Ga

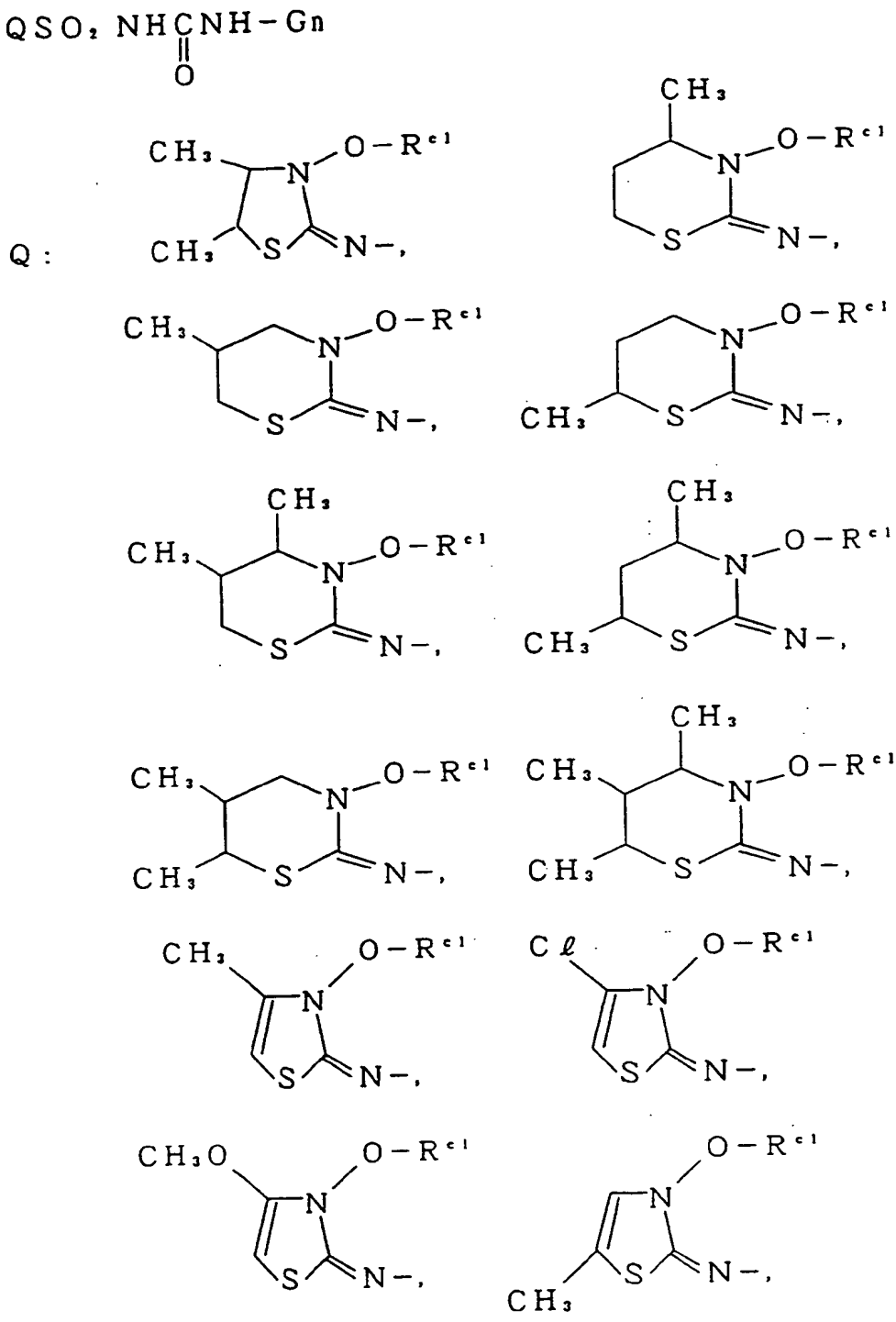
Table 2A continued

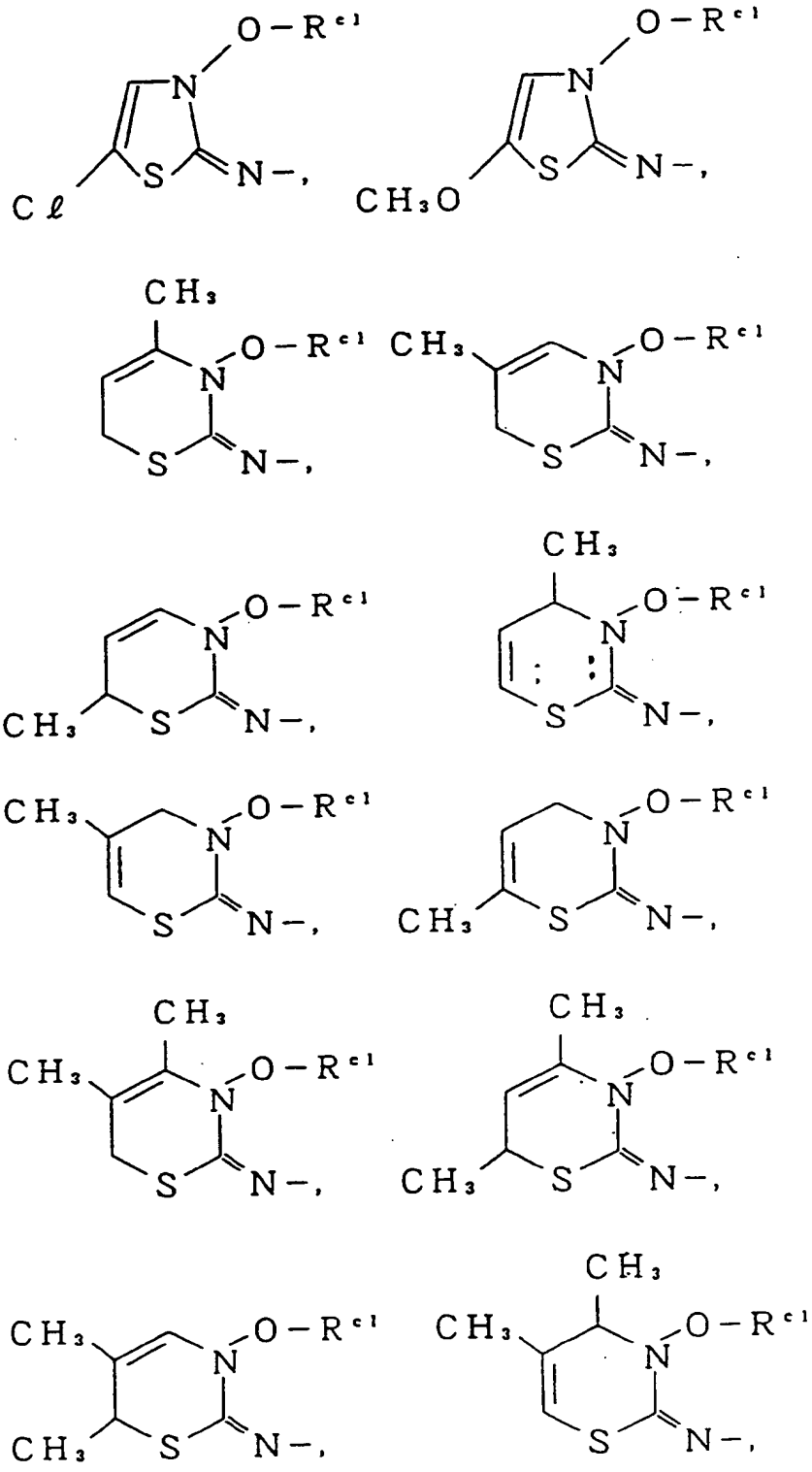
R^{c1}	Gn
$CH_2C(Cl)=CHCl$	Ga
$CH_2C(F)=CHCl$	Ga
$CH_2CH=CHF$	Ga
$CH_2C(Cl)=CHMe$	Ga
$CH_2CH=C(Cl)Me$	Ga
$CH_2CF=CF_2$	Ga
$CH_2CH=CHCH_2F$	Ga
$CH_2C(Br)=CHMe$	Ga
$CH_2C(Cl)=CHF$	Ga
$CH_2C(Br)=CHF$	Ga
$CH_2C(Cl)=C(Cl)Me$	Ga
$CH_2C(Br)=CHBr$	Ga
$CH_2C(Br)=C(Br)Me$	Ga
$CH_2CH=C(F)CF_3$	Ga
$CH_2CH=CCl_2$	Ga
$CH_2C(F)=CH_2$	Ga
$CH_2CH=C(F)Cl$	Ga
$CH_2C(Cl)=C(F)Cl$	Ga
$CH_2C(F)=CCl_2$	Ga
$CH_2C(Cl)=CF_2$	Ga
$CH_2C(CF_3)=CH_2$	Ga
$CH_2CH=CHI$	Ga
$CH_2CH=CBr_2$	Ga

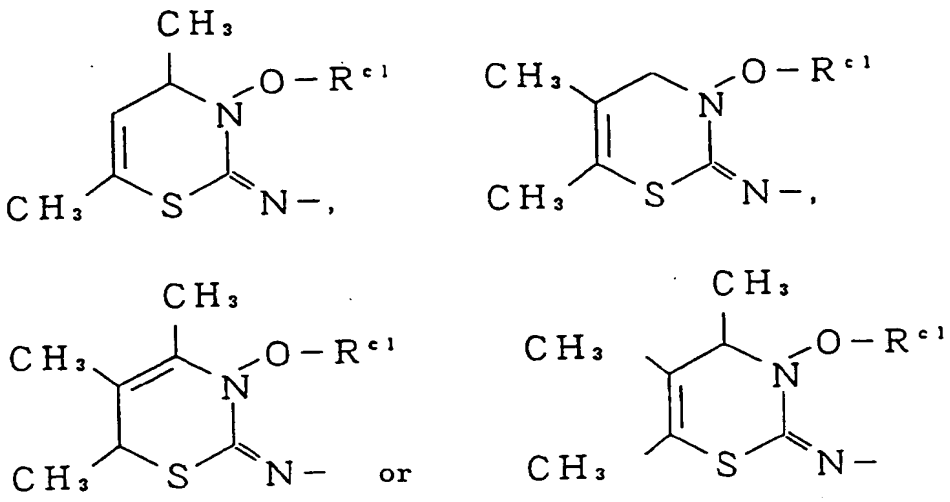
Table 2A continued

R^{c1}	Gn
$CH_2C(F)=CHBr$	Ga
$CH_2C(I)=CH_2$	Ga
$CH_2C(Cl)=CCl_2$	Ga
$CH_2C(F)=C(Cl)CF_3$	Ga
$CH_2CH=C(F)CF_2Cl$	Ga
$CH_2C(Br)=CH_2$	Ga
CH_2NHSO_2Me	Gb
$CH_2CH_2NHSO_2Me$	Gb
$CH_2NHCOMe$	Gb
$CH_2CH_2NHCOMe$	Gb

Table 2B







R^{c1}	Gn
Me	Ga
Et	Ga
Pr-n	Ga
Pr-iso	Ga
Bu-n	Ga
Bu-iso	Gb
Pen-n	Gb
Hex-n	Gb
CH_2 Pr-cyc	Ga
CH_2 CH_2 Pr-cyc	Ga
CH_2 CH=CH_2	Ga
CH_2 CH=CHMe	Ga
CH_2 C≡CH	Ga
CH_2 C≡CMe	Ga
CH_2 OMe	Ga
CH_2 OEt	Ga
CH_2 CH_2 OMe	Ga
CH_2 CH_2 OEt	Ga
CH_2 OCH_2 CH=CH_2	Ga
CH_2 CH_2 OCH_2 CH=CH_2	Ga
CH_2 OCH_2 C≡CH	Ga
CH_2 CH_2 OCH_2 C≡CH	Ga
CH_2 OCH_2 CF_3	Ga

Table 2B continued

R^{c1}	Gn
$CH_2CH_2OCH_2CF_3$	Ga
CH_2SMe	Ga
CH_2SEt	Ga
CH_2CH_2SMe	Ga
CH_2CH_2SEt	Ga
CH_2SO_2Me	Ga
CH_2SO_2Et	Ga
$CH_2CH_2SO_2Me$	Ga
$CH_2CH_2SO_2Et$	Ga
CH_2CH_2F	Ga
CH_2CF_3	Ga
CH_2CN	Ga
CH_2CH_2CN	Ga
$CHMeCN$	Ga
$CH_2CH=CHCN$	Ga
CH_2NO_2	Ga
$CH_2CH_2NO_2$	Ga
CH_2CO_2Me	Gb
CH_2CO_2Et	Gb
$CHMeCO_2Me$	Gb
$CHMeCO_2Et$	Gb
$CH_2CH_2CO_2Me$	Ga
$CH_2CH_2CO_2Et$	Ga

Table 2B continued

R^{c1}	Gn
$CH_2CH=CHCO_2Me$	Ga
$CH_2CH=CHCO_2Et$	Ga
$CHMeCH=CHCO_2Me$	Ga
CH_2COMe	Ga
CH_2COEt	Ga
$CH_2COPr-n$	Gb
CH_2COCF_3	Ga
$CH_2COCH=CH_2$	Ga
$CH_2COCH=CHMe$	Ga
CH_2COCH_2OMe	Ga
CH_2COCH_2OEt	Ga
$CH_2COCH_2CH_2OMe$	Ga
$CH_2COCH_2CH_2OEt$	Ga
CH_2COCH_2SMe	Ga
$CH_2COCH_2CH_2SMe$	Ga
$CH_2COCH_2SO_2Me$	Ga
$CH_2COCH_2CH_2SO_2Me$	Ga
$CH_2CH=CHCOMe$	Ga
$CHMeCH=CHCOMe$	Ga
CH_2SO_2NHMe	Gb
$CH_2CH_2SO_2NHMe$	Gb
CH_2SO_2NHOMe	Gb
$CH_2CH_2SO_2NHOMe$	Gb

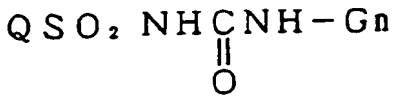
Tabl 2B continued

R^{c1}	Gn
$CH_2SO_2NMe_2$	Ga
$CH_2CH_2SO_2NMe_2$	Ga
$CH_2SO_2N(OMe)Me$	Ga
$CH_2CH_2SO_2N(OMe)Me$	Ga
$CH_2CONHMe$	Gb
$CH_2CH_2CONHMe$	Gb
CH_2CONMe_2	Ga
$CH_2CH_2CONMe_2$	Ga
$CH_2CONHOMe$	Gb
$CH_2CH_2CONHOMe$	Gb
$CH_2CON(OMe)Me$	Ga
$CH_2CH_2CON(OMe)Me$	Ga
CH_2NHMe	Gb
CH_2CH_2NHMe	Gb
CH_2NHOMe	Gb
CH_2CH_2NHOMe	Gb
CH_2NMe_2	Ga
$CH_2CH_2NMe_2$	Ga
$CH_2N(OMe)Me$	Ga
$CH_2CH_2N(OMe)Me$	Ga
$CH_2NMeCOMe$	Ga
$CH_2CH_2NMeCOMe$	Ga
$CH_2N(OMe)COMe$	Ga

Table 2B continued

R^{c1}	Gn
$CH_2CH_2N(OMe)COMe$	Ga
CH_2NMeSO_2Me	Ga
$CH_2CH_2NMeSO_2Me$	Ga
$CH_2N(OMe)SO_2Me$	Ga
$CH_2CH_2N(OMe)SO_2Me$	Ga
CH_2Ph	Gb
CH_2CH_2Ph	Gb
$CH_2CH_2CH_2Ph$	Gb
$CHMePh$	Gb
$CH_2CH=CHPh$	Gb
$CHMeCH=CHPh$	Gb
CH_2CH_2OPh	Gb
CH_2OPh	Gb
CH_2CH_2SPh	Gb
CH_2SPh	Gb
$CH_2CH_2SO_2Ph$	Gb
CH_2COPh	Gb
CH_2CH_2COPh	Gb
CH_2COCH_2Ph	Gb
$CH_2CH_2COCH_2Ph$	Gb
Ph	Ga

Table 2C



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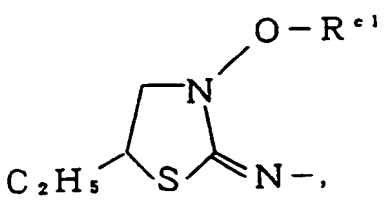
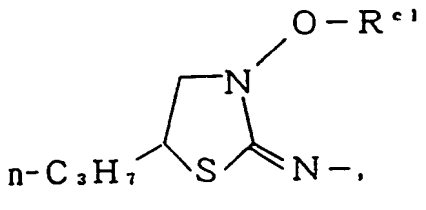
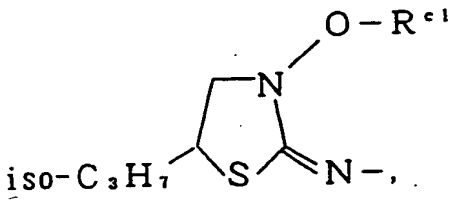
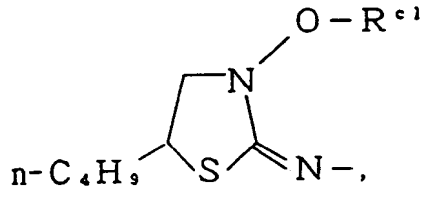
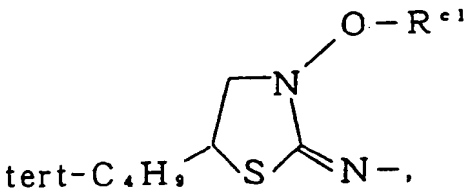
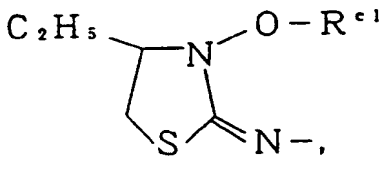


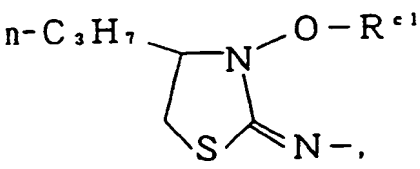


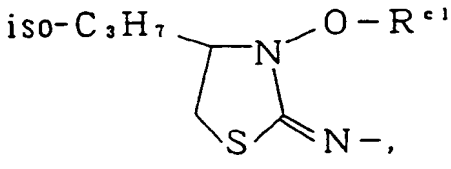


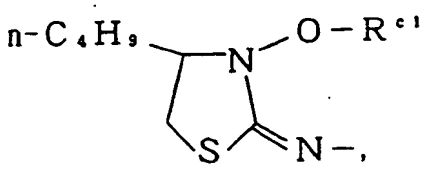


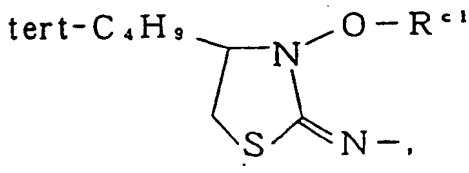


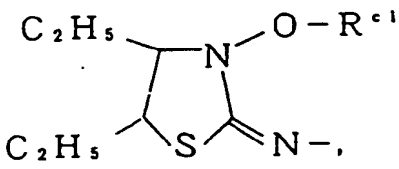


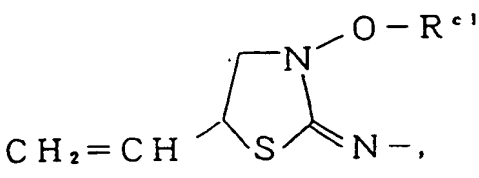


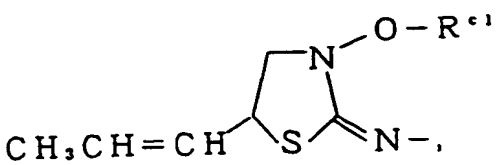


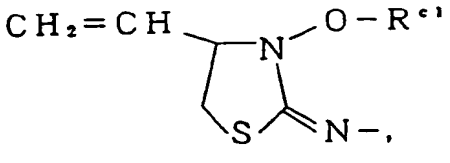


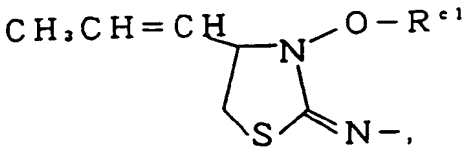


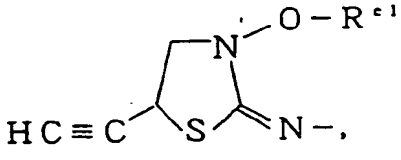


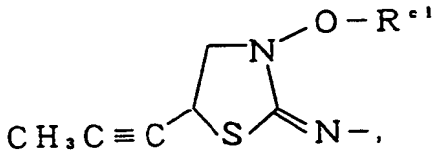


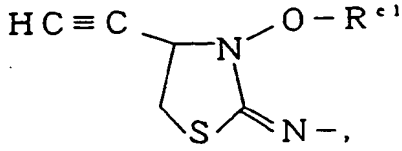


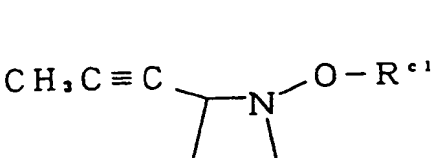


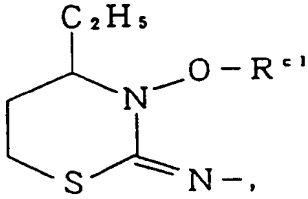


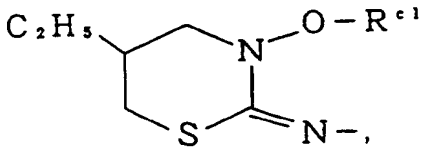


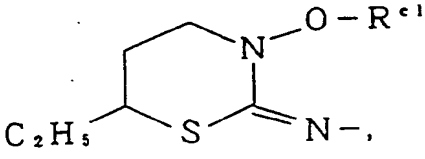


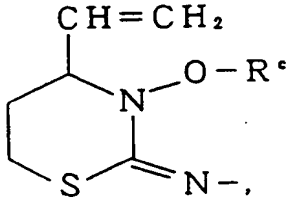


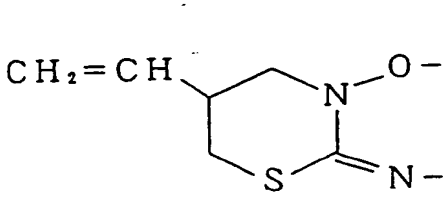


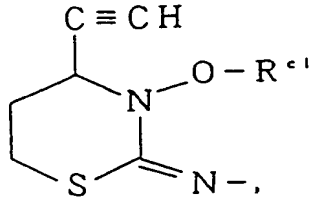


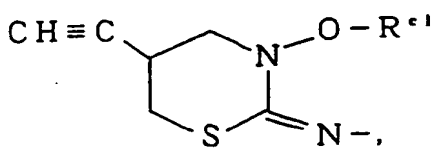


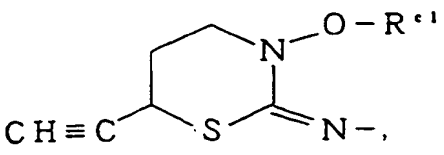


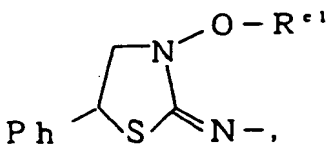


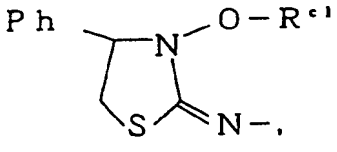


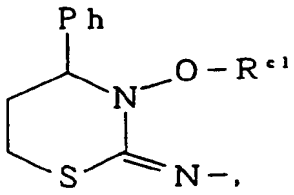


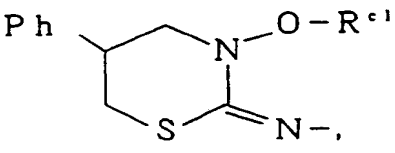


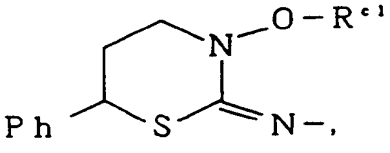


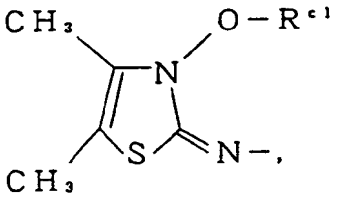


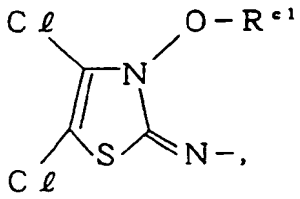


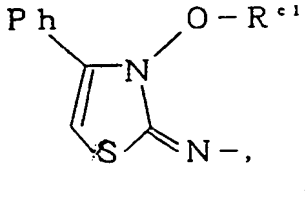


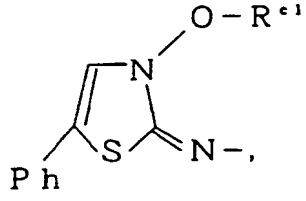


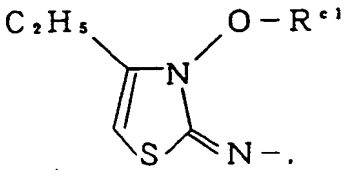


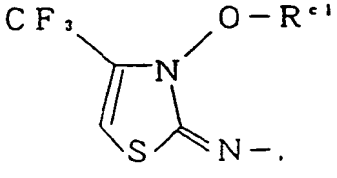


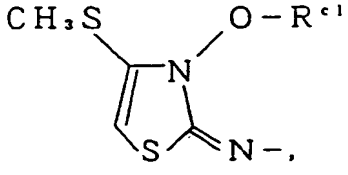


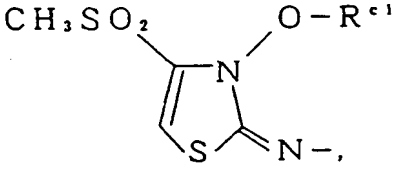


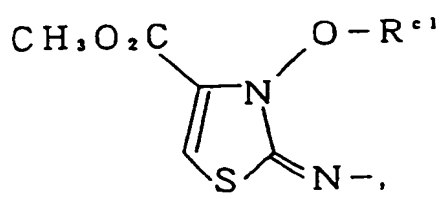


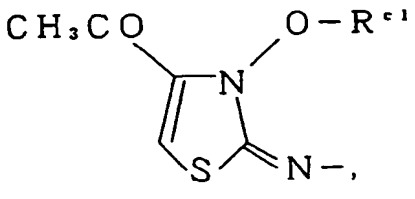


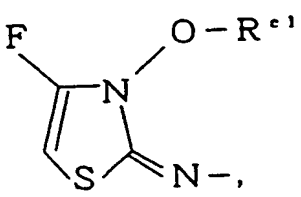


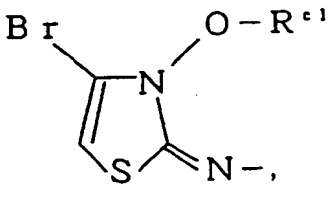


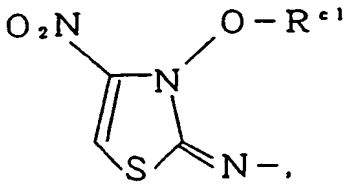


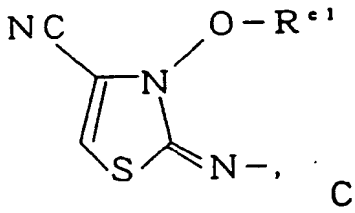


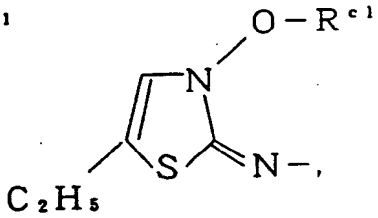


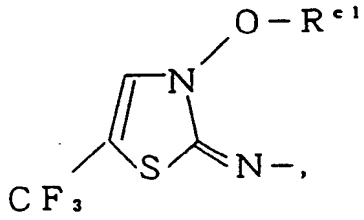


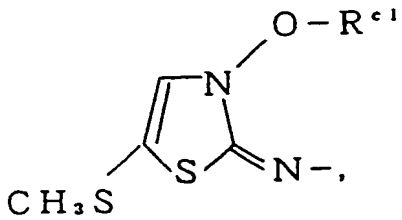


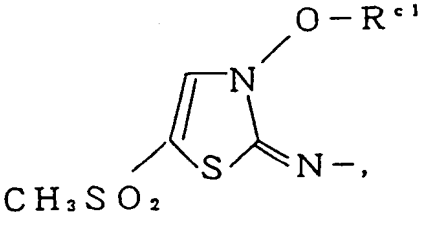


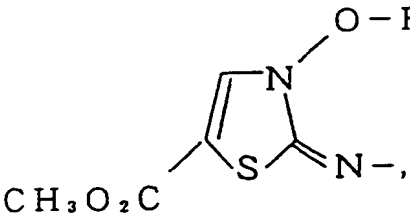


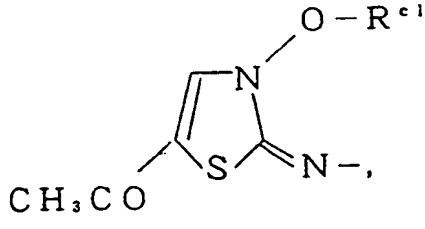


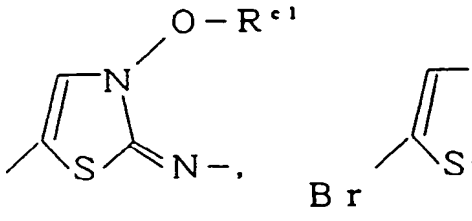


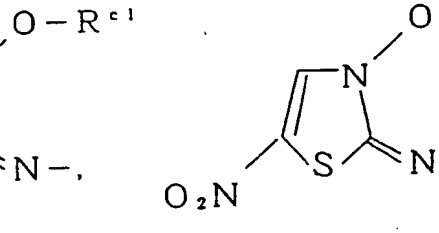


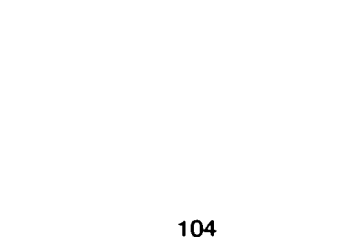


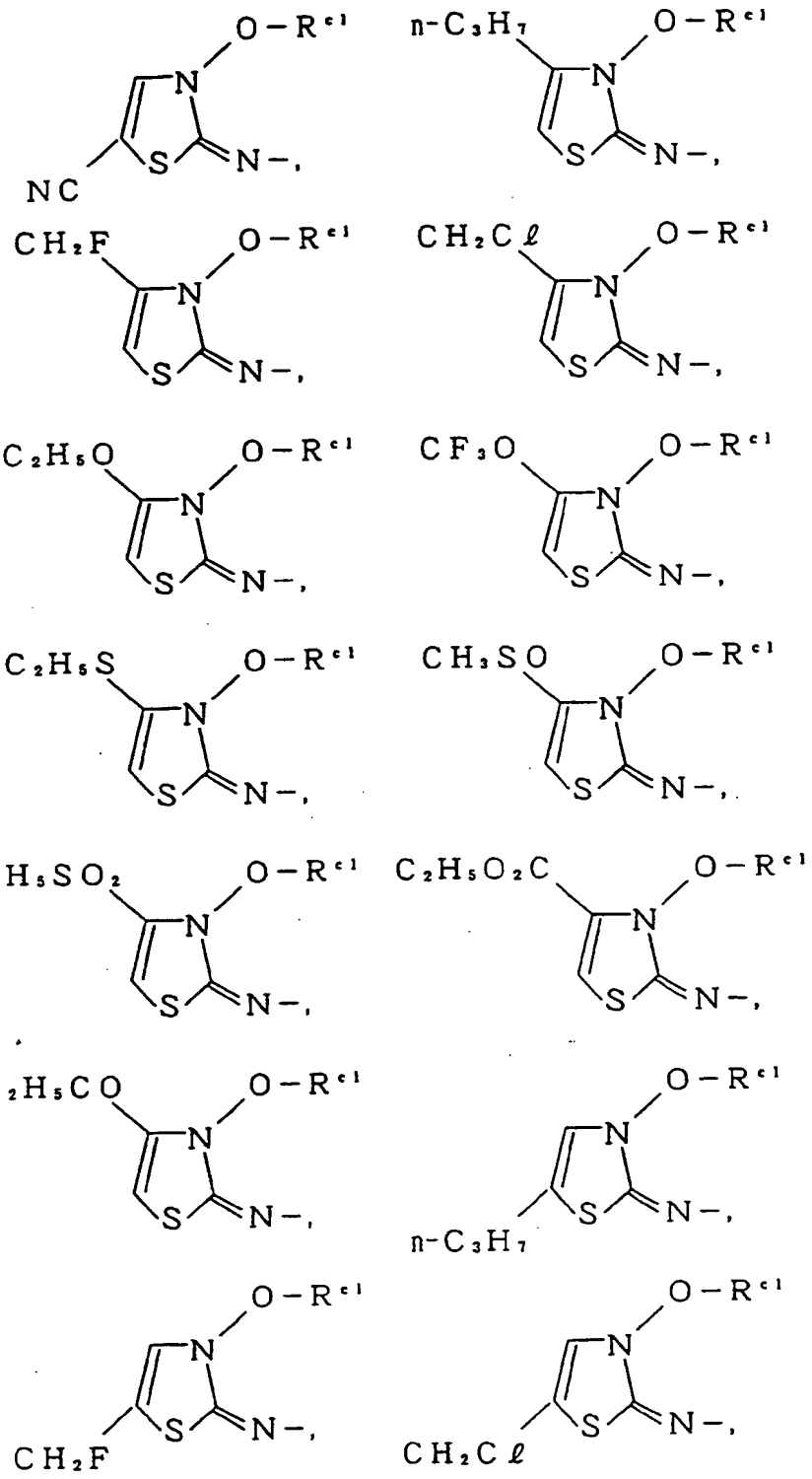


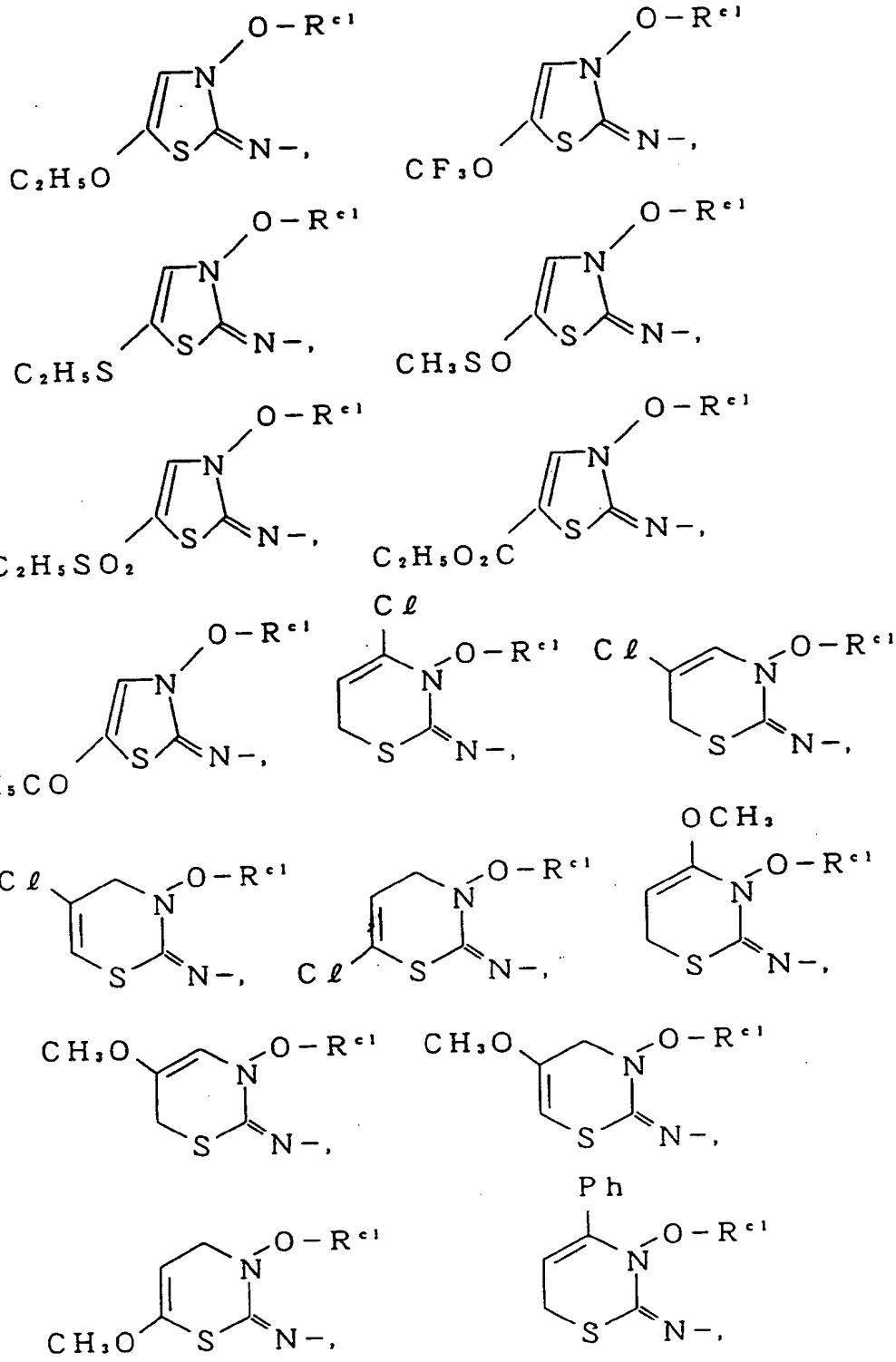


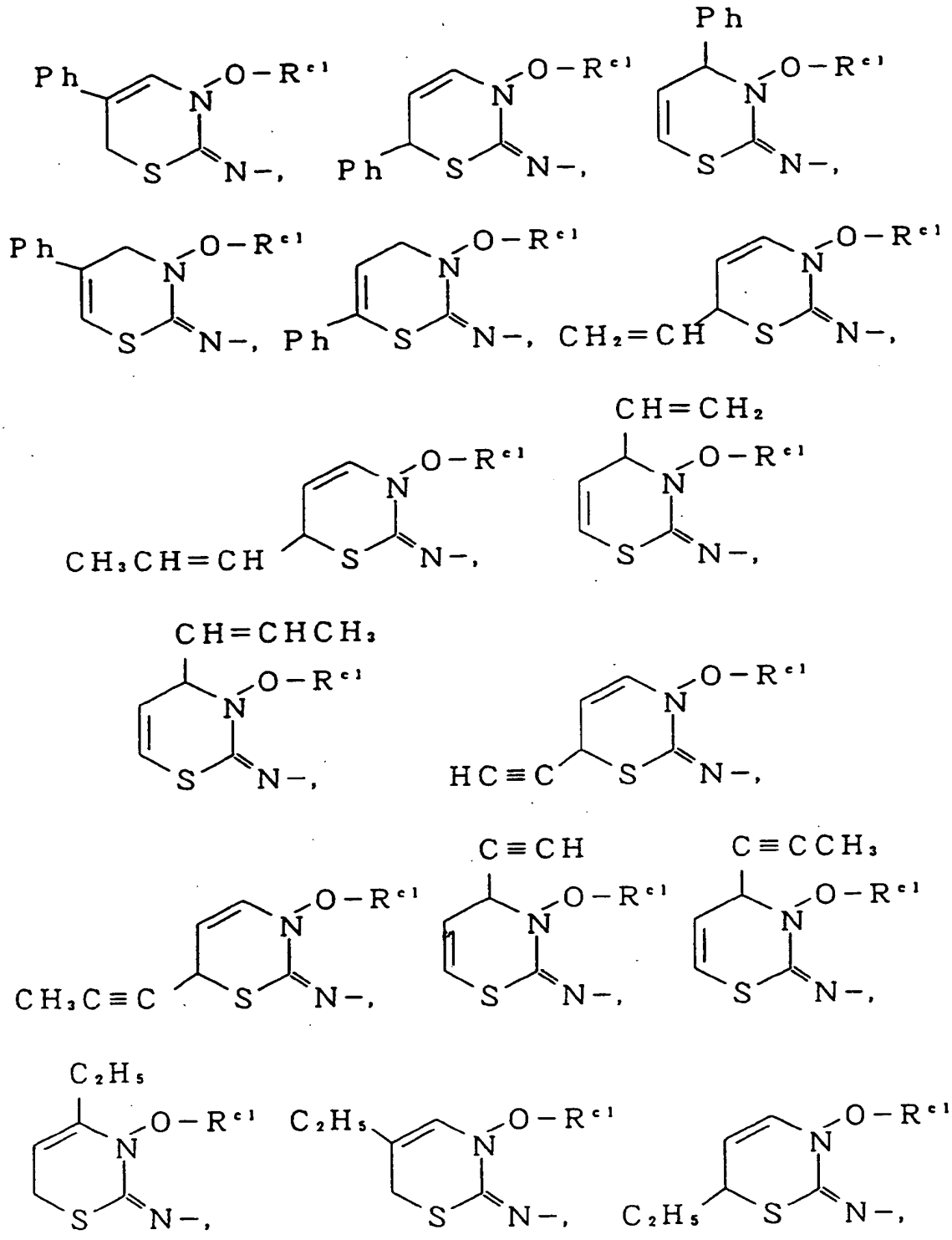


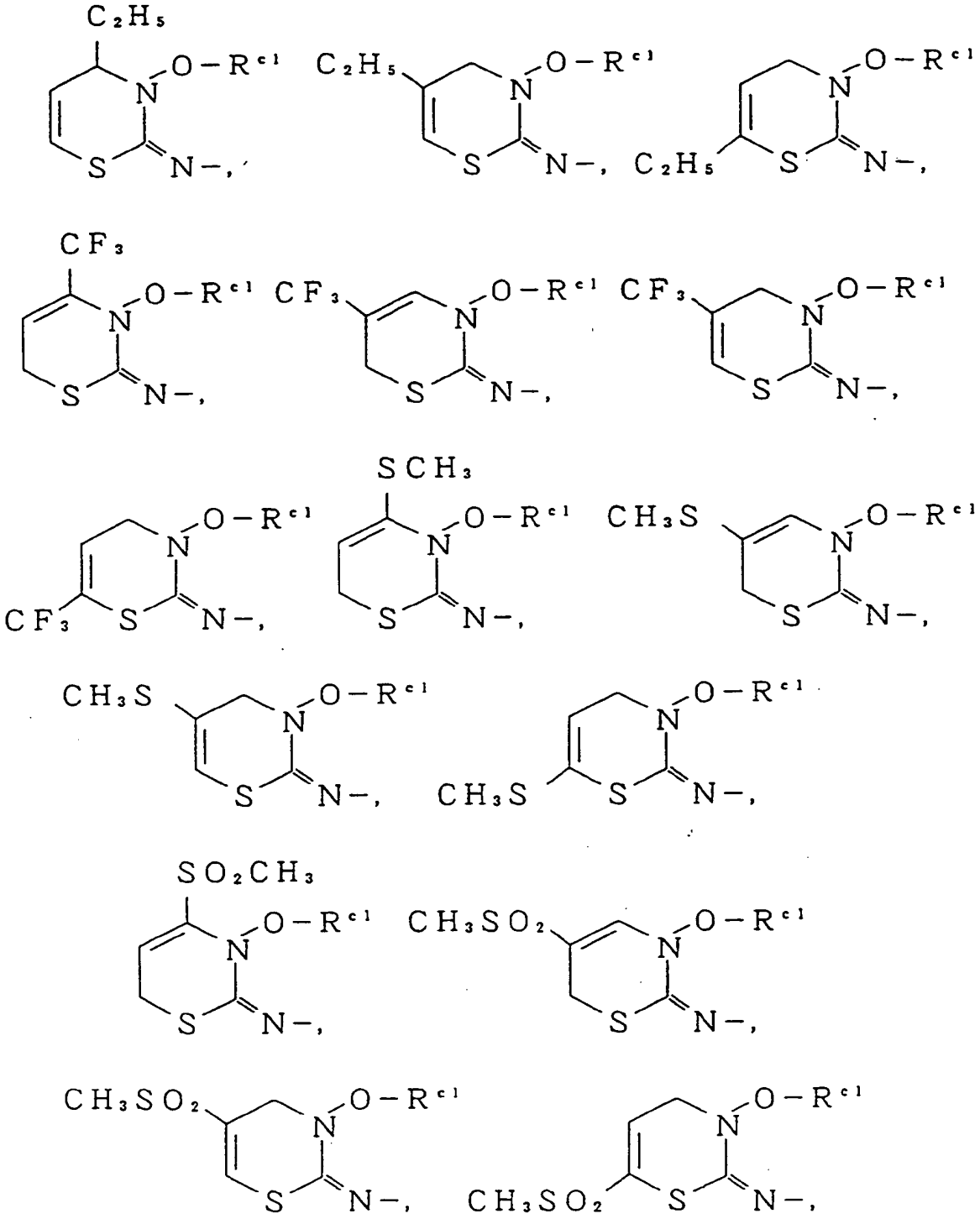


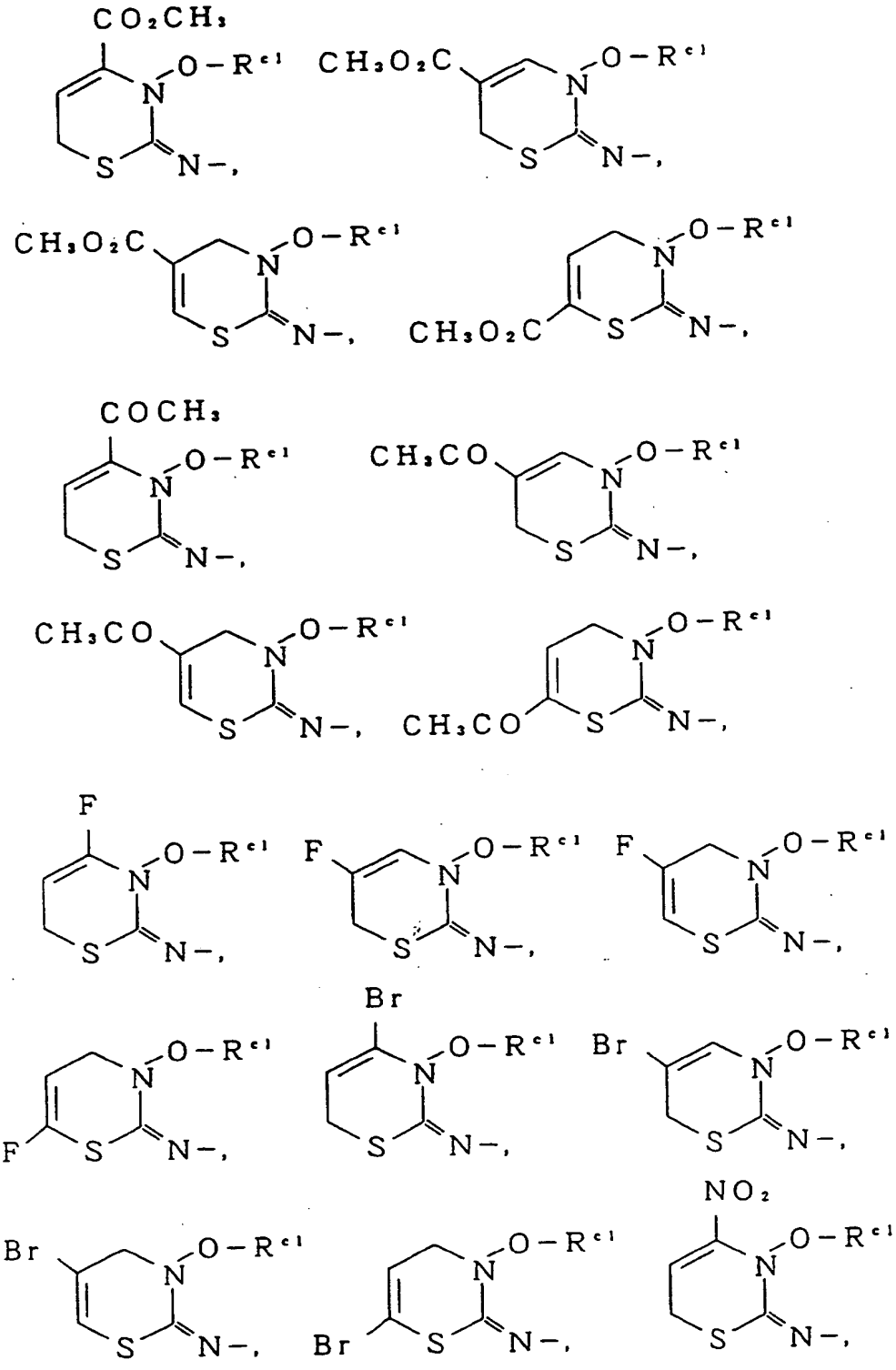


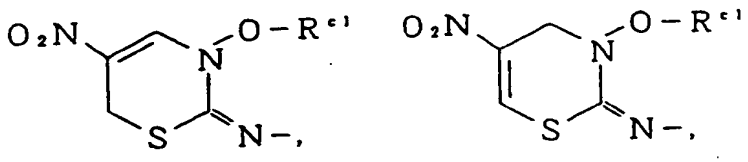


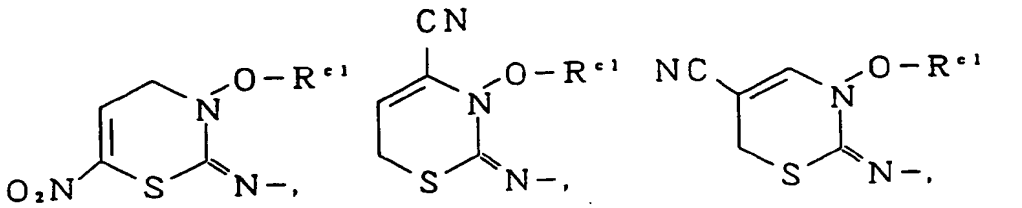


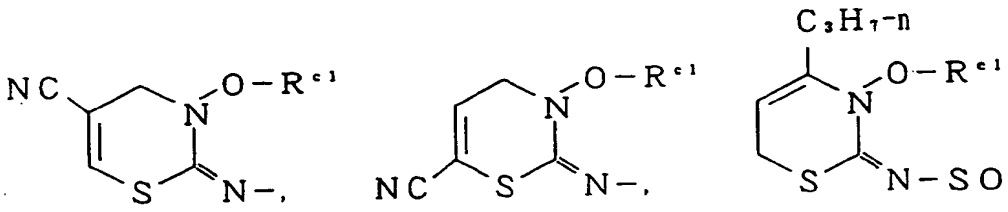


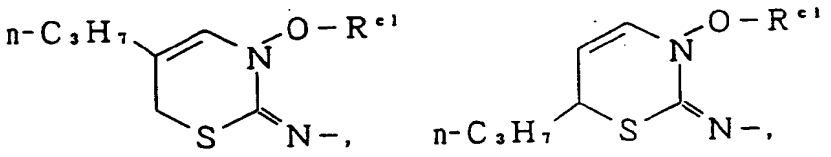


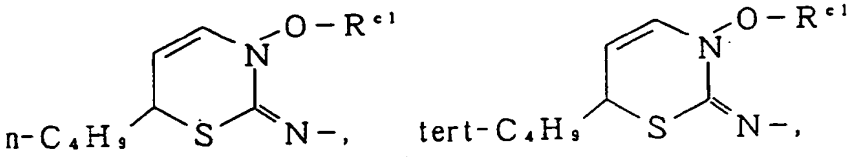


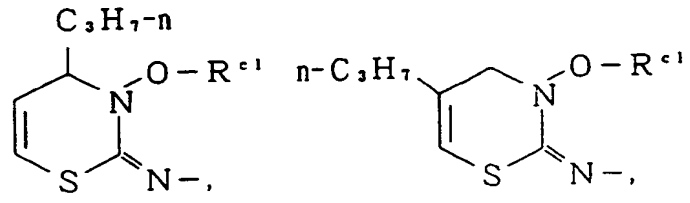


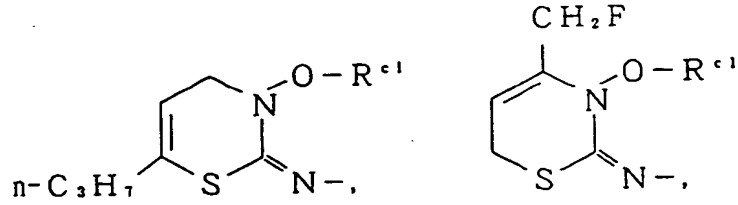


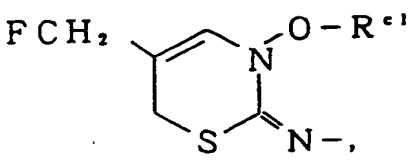


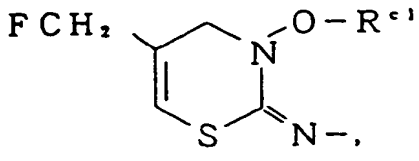


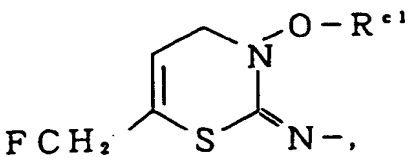


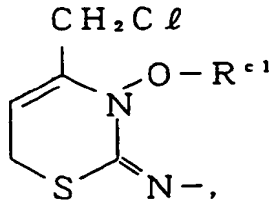


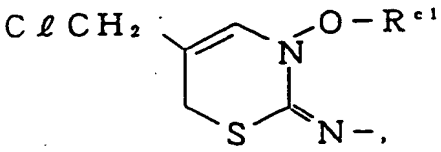


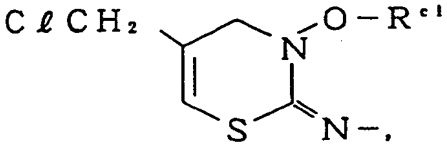


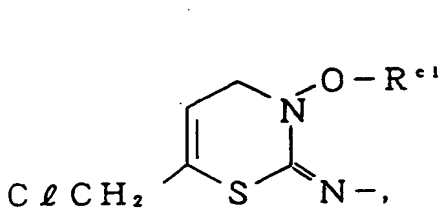


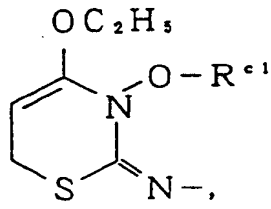


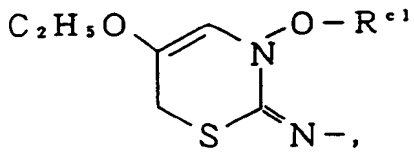


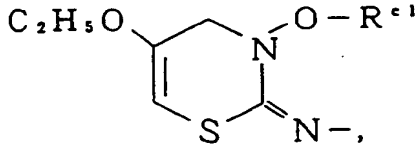


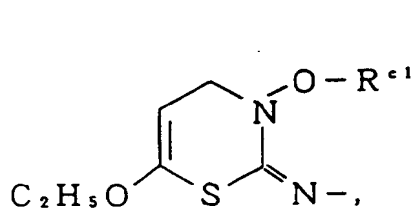


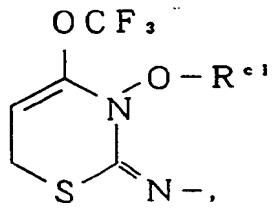


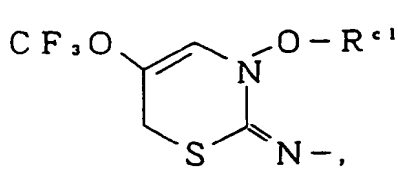


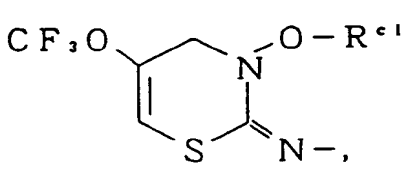


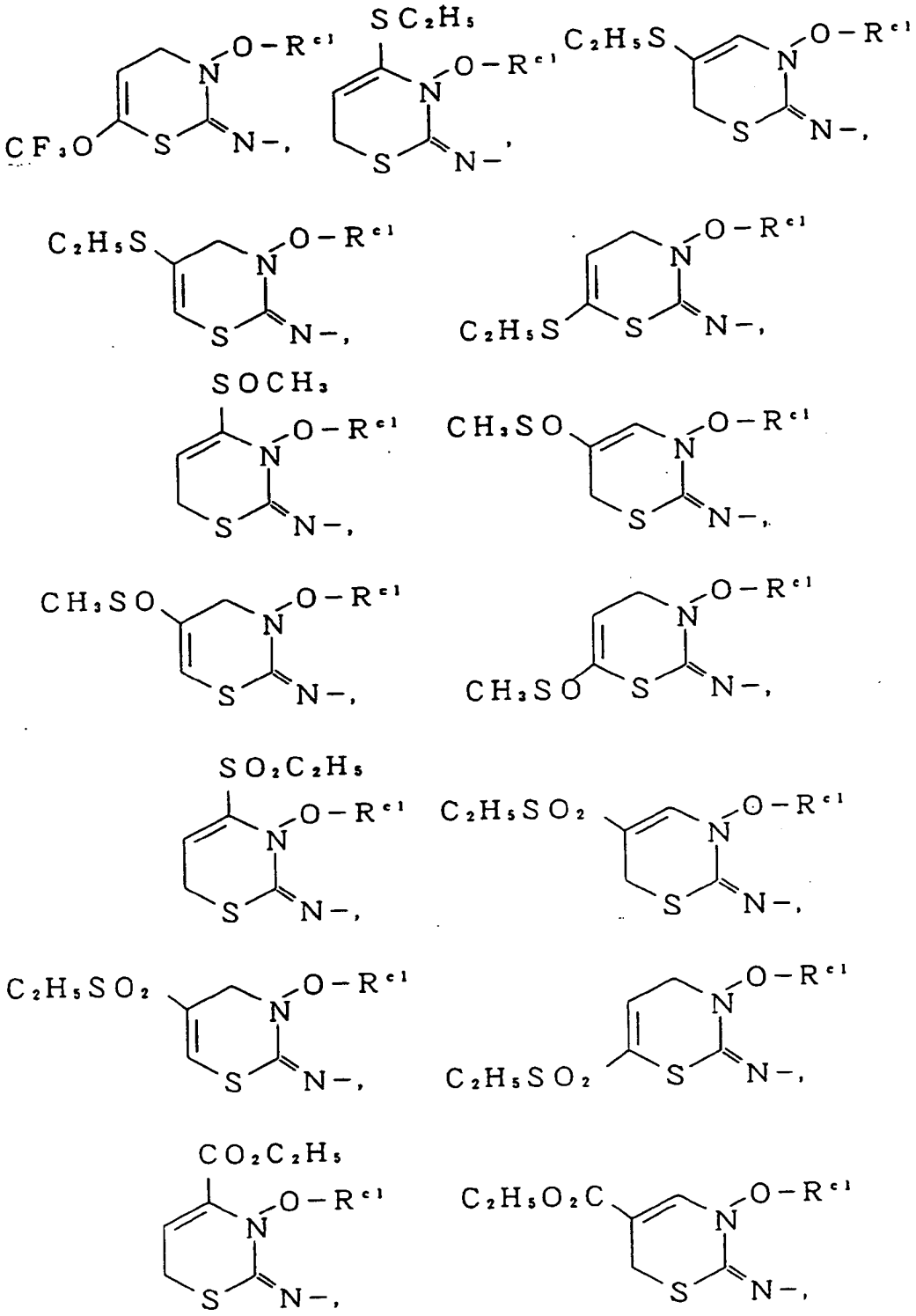


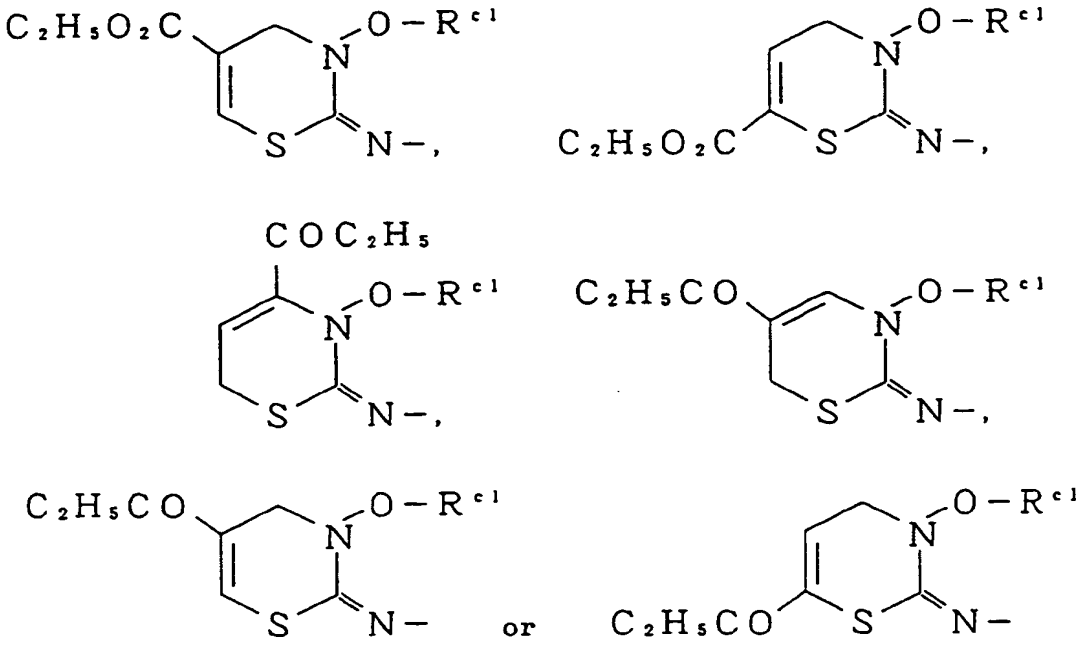










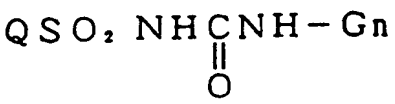


R^{c1}	Gn
Me	Ga
Et	Ga
Pr-n	Ga
Pro-iso	Gb
Bu-n	Ga
Bu-iso	Ga
Pen-n	Gb
CH_2 Pr-cyc	Ga
CH_2 CH_2 Pr-cyc	Gb
CH_2 CH=CH_2	Ga
CH_2 CH=CHMe	Ga
CH_2 C≡CH	Ga
CH_2 C≡CMe	Ga
CH_2 CH_2 OMe	Ga
CH_2 OMe	Ga
CH_2 CH_2 SMe	Ga
CH_2 SMe	Ga
CH_2 SO_2 Me	Ga
CH_2 CH_2 SO_2 Me	Ga
CH_2 CF_3	Ga
CH_2 CN	Ga
CH_2 CH_2 CN	Ga

Table 2C continued

R^{c1}	Gn
CH_2NO_2	Ga
$CH_2CH_2NO_2$	Ga
CH_2COMe	Ga
CH_2COEt	Ga
$CH_2COCH=CH_2$	Ga
$CH_2CH=CHCOMe$	Ga
CH_2CONMe_2	Ga
Ph	Ga

Table 3



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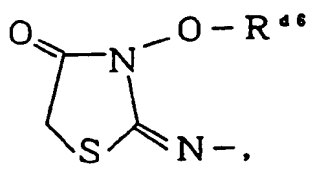

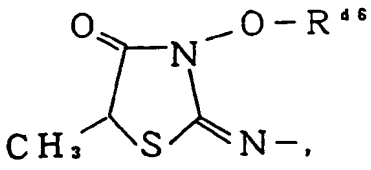

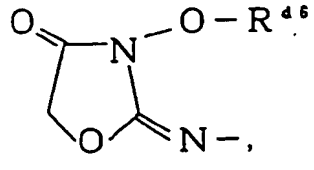

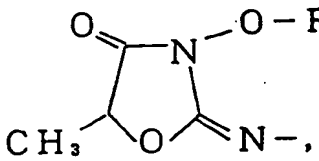

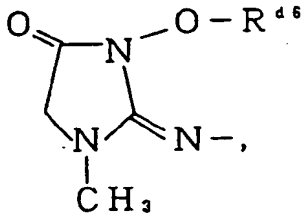

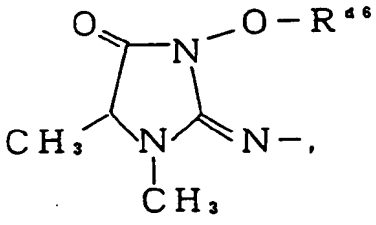

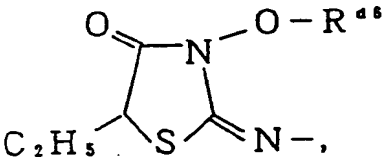

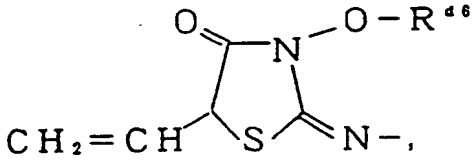

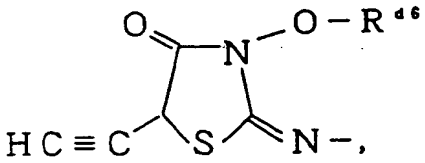

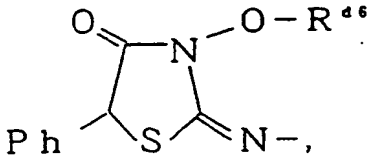

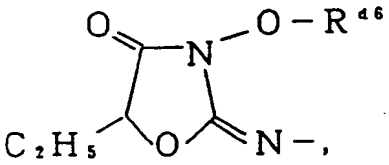

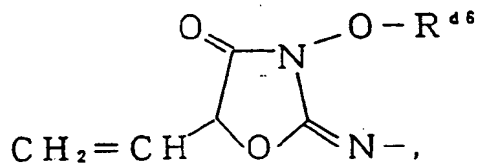

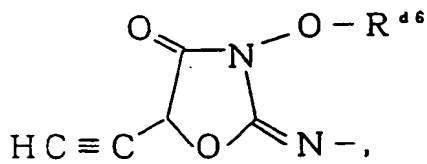

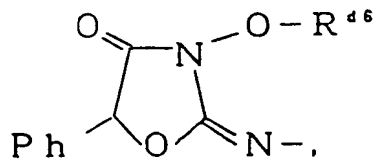

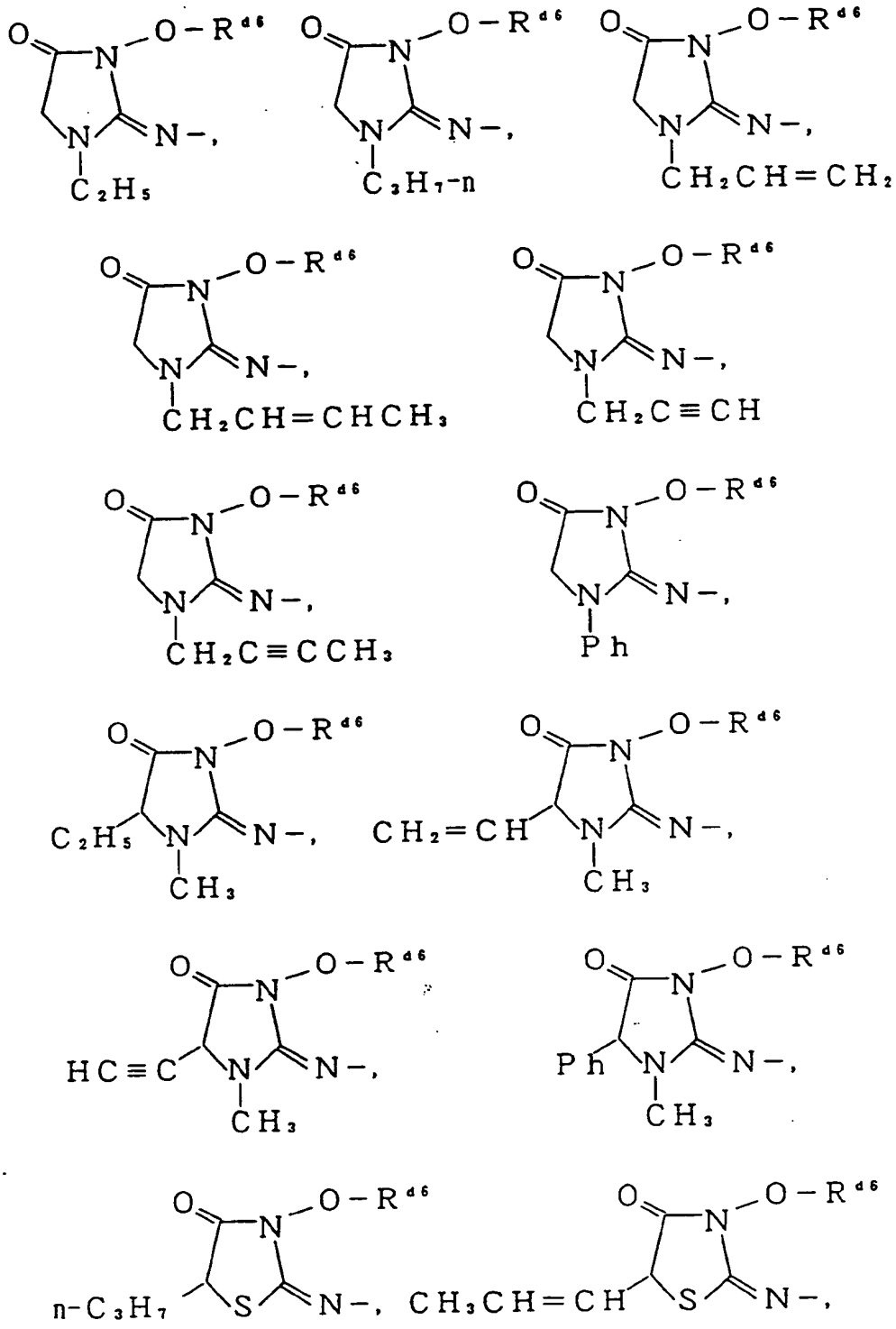

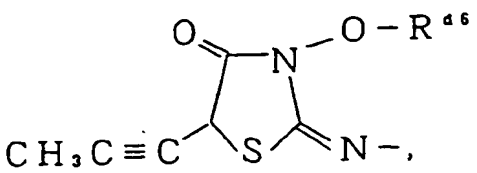

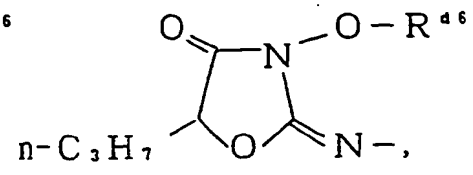

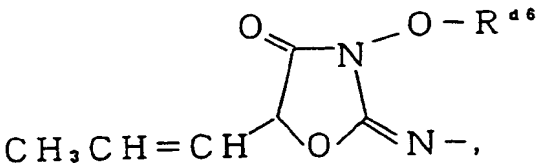

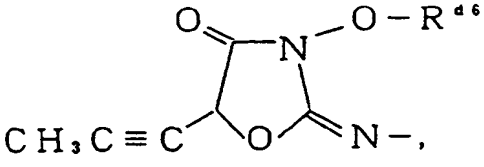

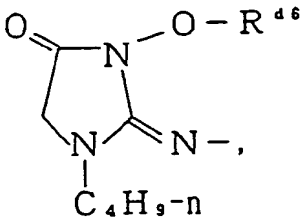

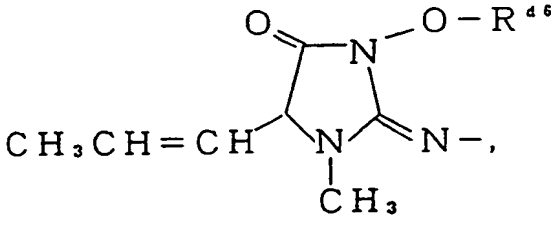

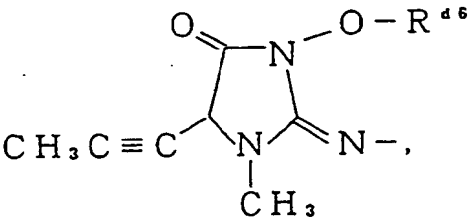

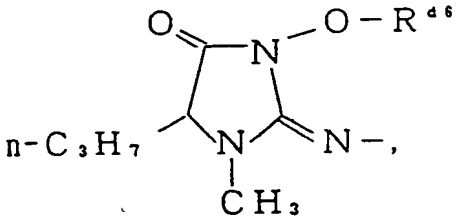

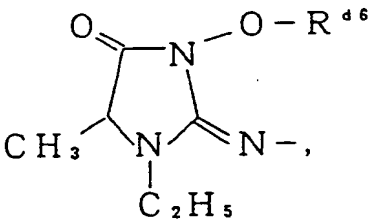

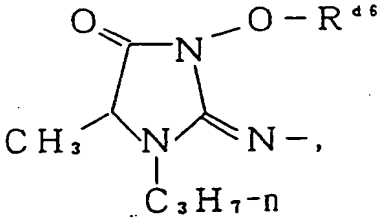

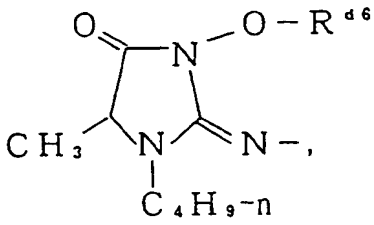

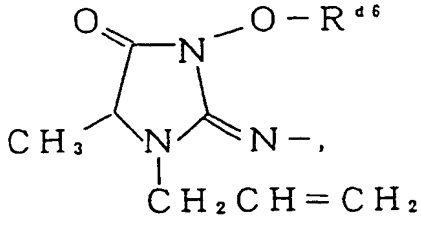

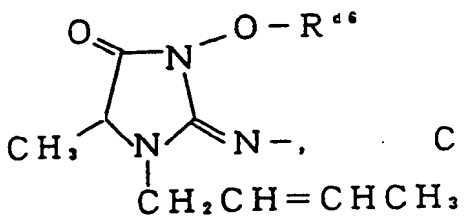

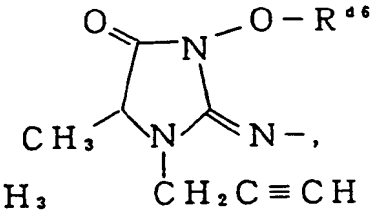

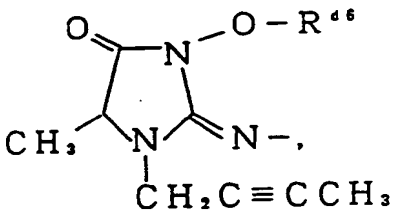

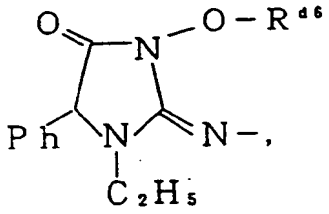

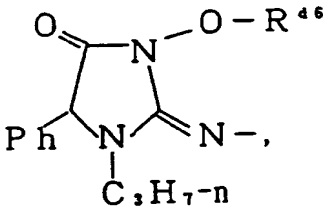

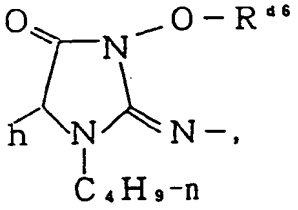

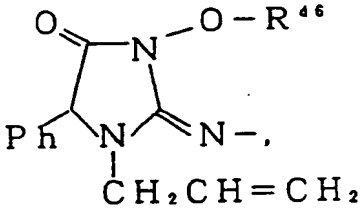

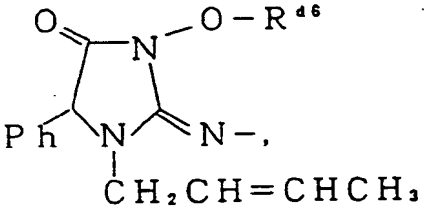

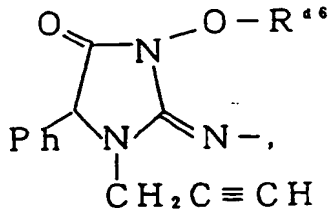

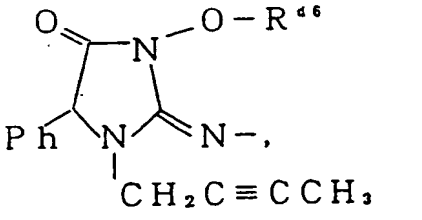

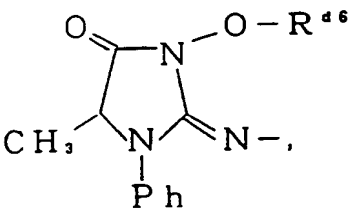

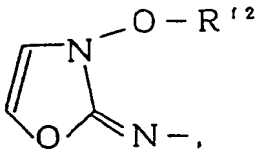

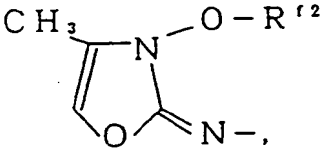

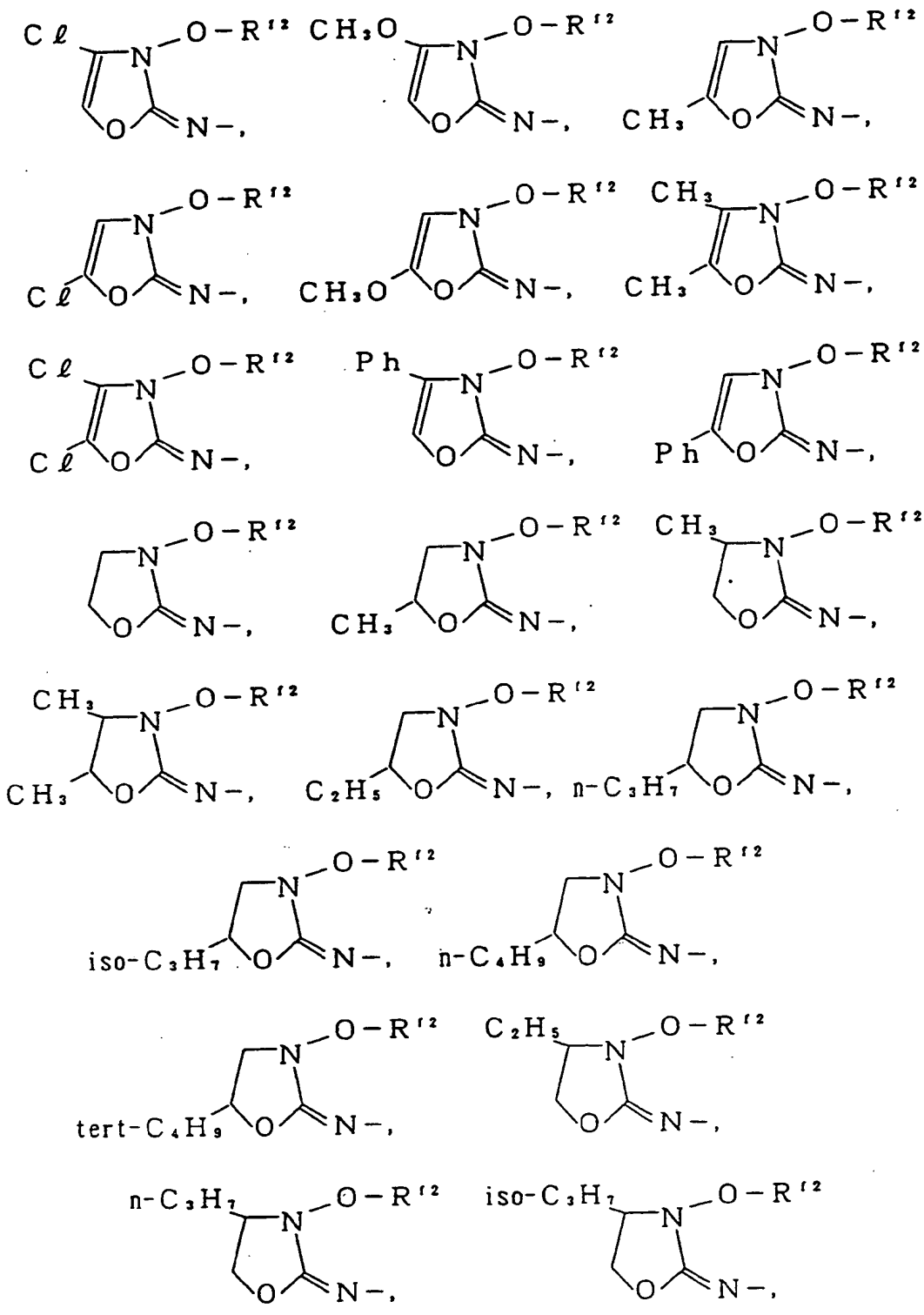

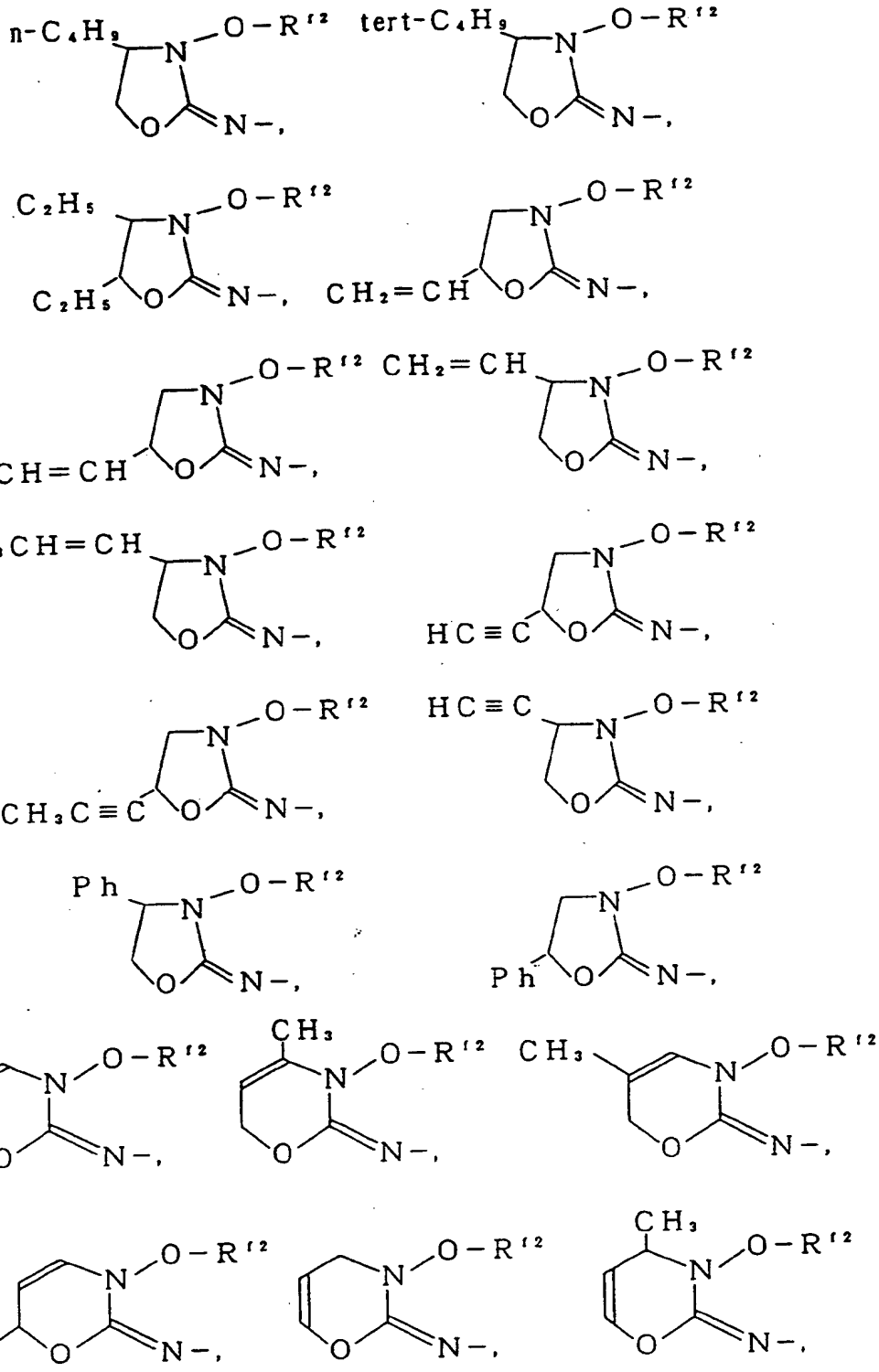

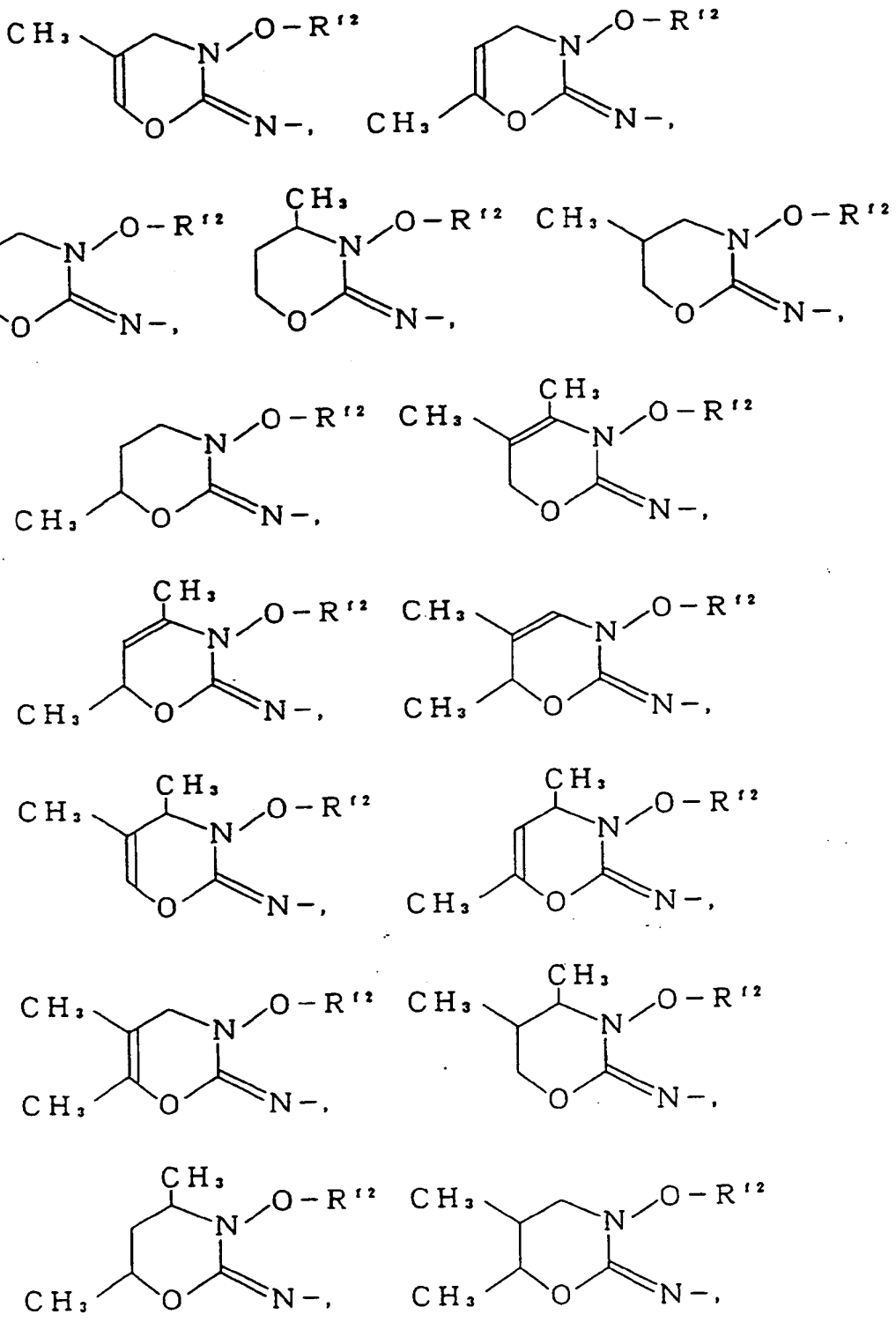

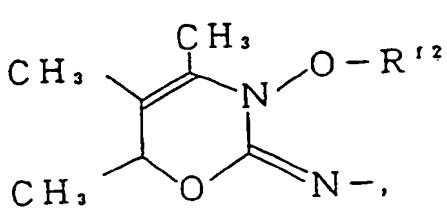

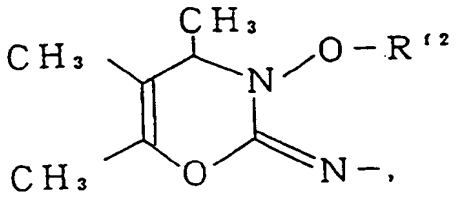

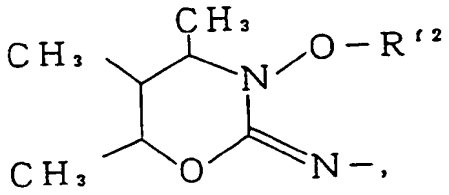

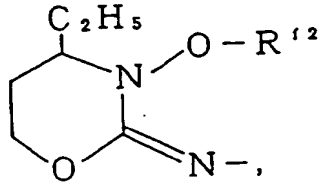

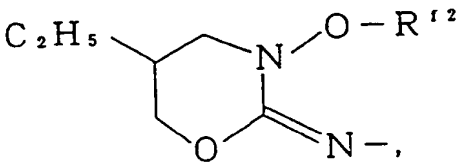

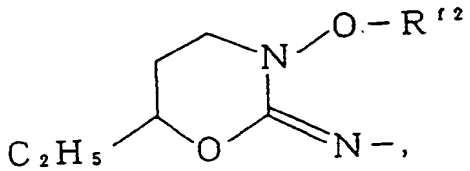

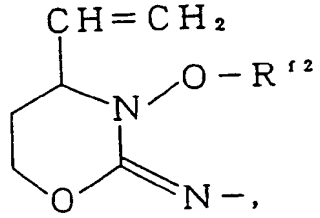

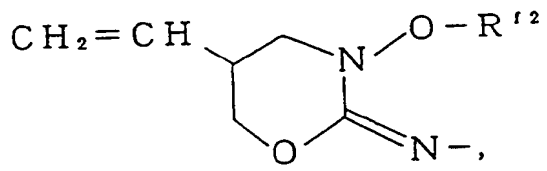

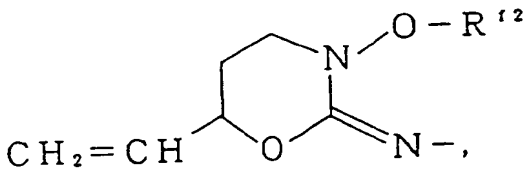

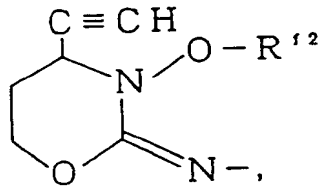

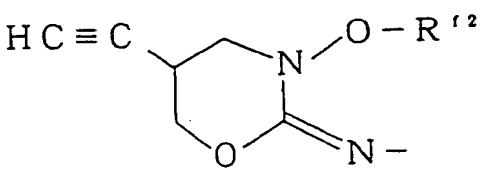

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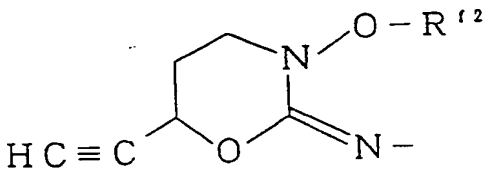


R^n represents R^{d6} or R^{f2}.

R^n	Gn
Me	Ga
Et	Ga
Pr-n	Ga
Pr-iso	Gb
Bu-n	Gb
Pen-n	Gb
Hex-n	Gb
$CH_2CH=CH_2$	Ga
$CH_2CH=CHMe$	Ga
$CH_2CH=CMe_2$	Gb
$CHMeCH=CH_2$	Gb
$CH_2C\equiv CH$	Ga
$CH_2C\equiv CMe$	Ga
$CHMeC\equiv CH$	Gb
CH_2SMe	Ga
CH_2SEt	Ga
CH_2SPr-n	Gb
CH_2CH_2SMe	Ga
CH_2CH_2SEt	Ga
CH_2SOMe	Gb
CH_2SOEt	Gb
CH_2CH_2SOMe	Gb
CH_2CH_2SOEt	Gb
CH_2SO_2Me	Ga

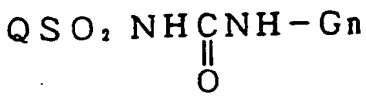
Table 3 continued

R^n	Gn
CH_2SO_2Et	Ga
CH_2SO_2Pr-n	Gb
$CH_2CH_2SO_2Me$	Ga
$CH_2CH_2SO_2Et$	Ga
CH_2OMe	Ga
CH_2OEt	Ga
CH_2OPr-n	Gb
CH_2CH_2OMe	Ga
CH_2CH_2OEt	Ga
CH_2CH_2OPr-n	Gb
CH_2CO_2Me	Ga
CH_2CO_2Et	Ga
$CHMeCO_2Me$	Ga
$CHMeCO_2Et$	Ga
CH_2COMe	Ga
CH_2COEt	Ga
$CH_2COPr-n$	Gb
CH_2CH_2COMe	Ga
CH_2CH_2COEt	Ga
CH_2CN	Ga
CH_2CH_2CN	Ga
$CH_2CH_2CH_2CN$	Ga

Table 3 continued

R^n	Gn
Ph	Ga
CH_2 Ph	Ga
CH_2 CH_2 Ph	Ga
CHMePh	Ga

Table 4A



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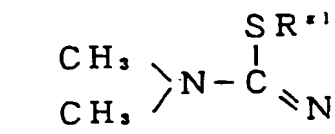
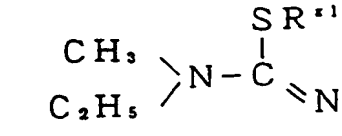
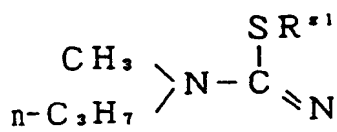
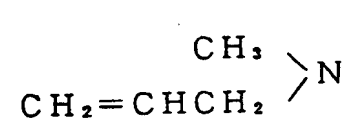
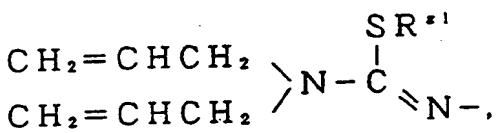
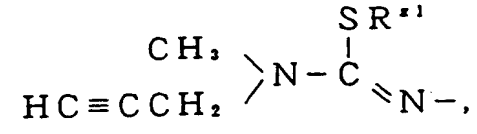


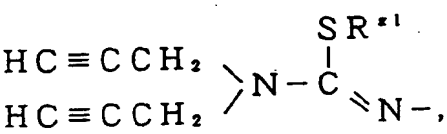


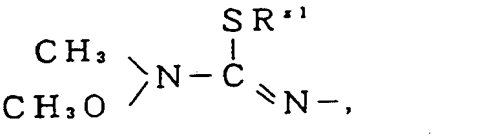


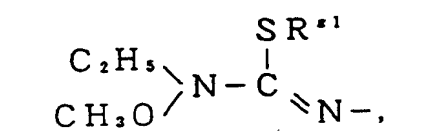


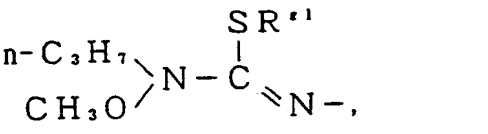


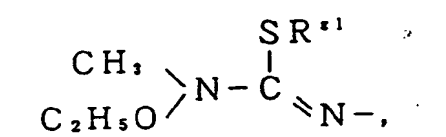


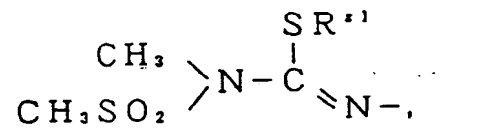


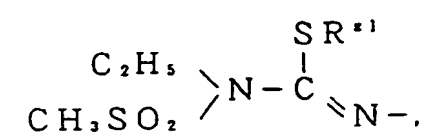


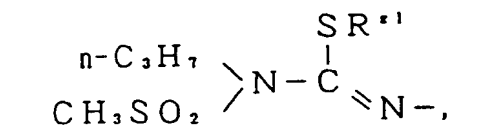


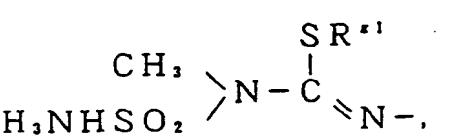


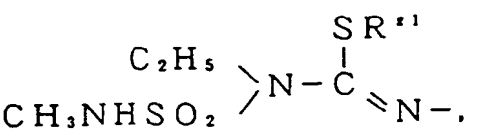


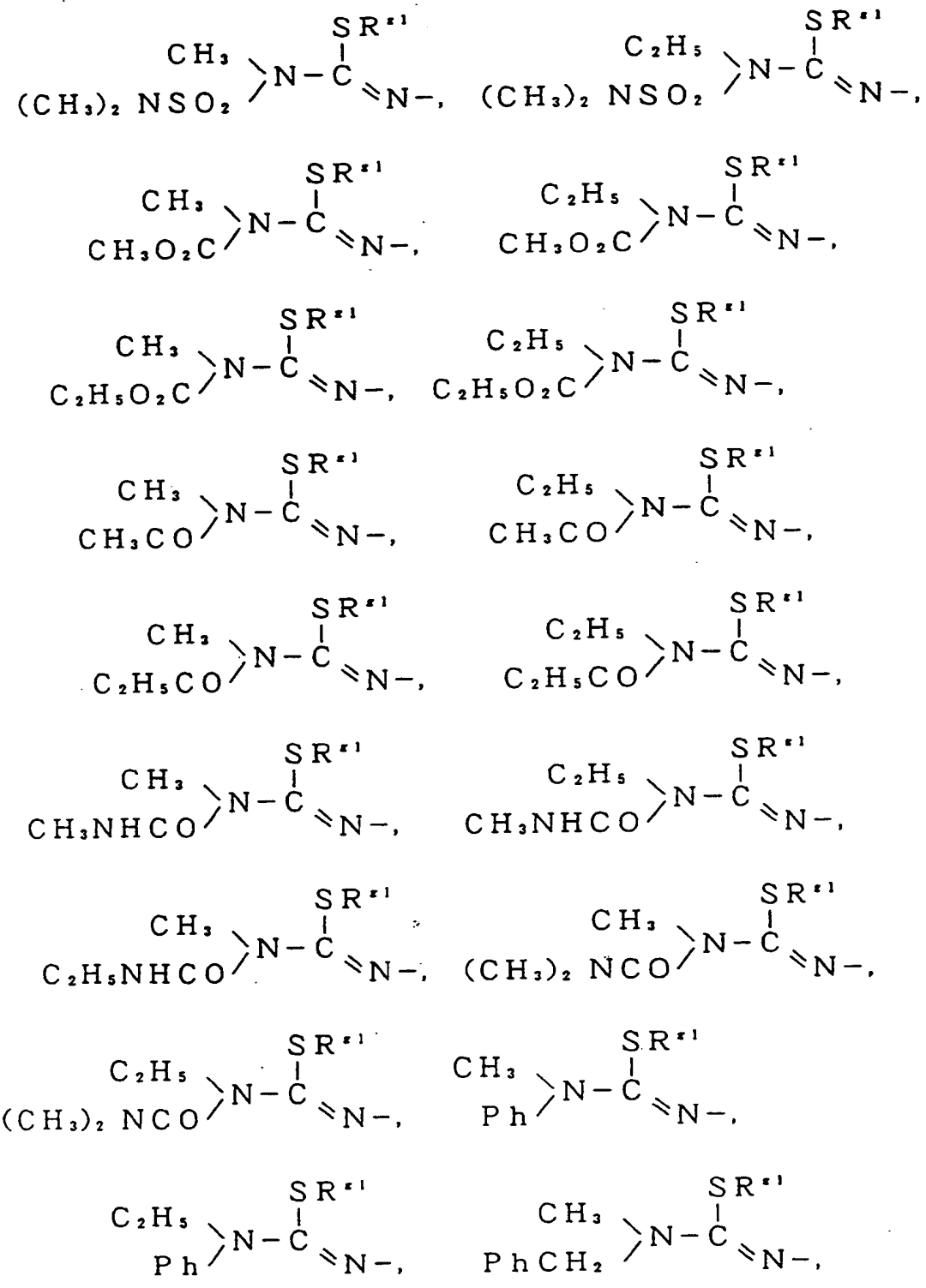


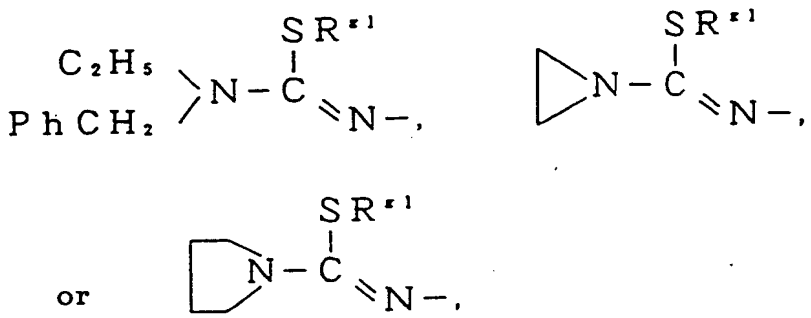












R^{z1}	Gn
Me	Ga
Et	Ga
Pr-n	Ga
Pr-iso	Ga
Bu-n	Ga
Bu-iso	Ga
Bu-sec	Gb
Bu-tert	Gb
Pen-n	Ga
Hex-n	Gb
Hep-n	Gb
Pr-cyc	Ga
Hex-cyc	Ga
CH_2 Pr-cyc	Ga
CH_2 CH_2 Pr-cyc	Ga
CH_2 Bu-cyc	Gb
CH_2 Pen-cyc	Gc
Hexen-cyc	Gb
CH_2 Penten-cyc	Gb
CH_2 CH=CH_2	Ga
CH_2 CH=CHMe	Ga
CH_2 CH=CHEt	Ga

Table 4A continued

R^{a1}	Gn
$CH_2CH=CMe_2$	Gb
$CH_2CMe=CH_2$	Gb
$CH_2CMeCH=CHMe$	Gc
$CH_2CH_2CH=CH_2$	Gb
$CH_2CH_2CH=CHMe$	Gb
$CH_2C\equiv CH$	Ga
$CH_2C\equiv CMe$	Ga
$CH_2C\equiv CEt$	Ga
$CH_2CH_2C\equiv CH$	Gb
$CH_2CH_2C\equiv CMe$	Gb
$CHMeC\equiv CH$	Gb
$CHMeC\equiv CMe$	Gc
CH_2OMe	Ga
CH_2OEt	Ga
CH_2OPr-n	Gb
CH_2CH_2OMe	Ga
CH_2CH_2OEt	Ga
CH_2CH_2OPr-n	Gb
$CH_2CHMeOMe$	Gb
$CH_2CH_2CH_2OMe$	Ga
$CH_2CH_2CH_2OEt$	Ga
$CH_2OCH_2CH=CH_2$	Ga
$CH_2OCH_2CH=CHMe$	Ga

Table 4A continued

R^{a1}	Gn
$CH_2CH_2OCH_2CH=CH_2$	Ga
$CH_2CH_2OCH_2CH=CHMe$	Ga
$CH_2OCH_2C\equiv CH$	Ga
$CH_2OCH_2C\equiv CMe$	Ga
$CH_2CH_2OCH_2C\equiv CH$	Ga
$CH_2CH_2OCH_2C\equiv CMe$	Ga
CH_2OCHF_2	Ga
CH_2OCF_3	Ga
$CH_2CH_2OCHF_2$	Ga
$CH_2CH_2OCF_3$	Ga
$CH_2OCH_2CF_3$	Ga
$CH_2CH_2OCH_2CF_3$	Ga
$CH_2OCH_2CH_2F$	Ga
$CH_2OCH_2CH_2Cl$	Ga
$CH_2CH_2OCH_2CH_2F$	Ga
$CH_2CH_2OCH_2CH_2Cl$	Ga
$CH_2OCH_2CH=CHCl$	Gb
$CH_2CH_2OCH_2CH=CHCl$	Gb
$CH_2OCH_2CH=CHBr$	Gb
$CH_2CH_2OCH_2CH=CHBr$	Gb
$CH_2OCH_2CH=CF_2$	Gb
$CH_2CH_2OCH_2CH=CF_2$	Gb
$CH_2OCH_2CH=CHCF_3$	Gb

Tabl 4A continued

R^{*1}	Gn
$CH_2CH_2OCH_2CH=CHCF_3$	Gb
$CH_2OCH_2C\equiv Cl$	Gb
$CH_2CH_2OCH_2C\equiv Cl$	Gb
$CH_2OCH_2C\equiv CCF_3$	Gb
$CH_2CH_2OCH_2C\equiv CCF_3$	Gb
CH_2SMe	Ga
CH_2SEt	Ga
CH_2SPr-n	Gb
CH_2CH_2SMe	Ga
CH_2CH_2SEt	Ga
CH_2CH_2SPr-n	Gb
CH_2SOMe	Gb
CH_2SOEt	Gb
CH_2CH_2SOMe	Gb
CH_2CH_2SOEt	Gb
CH_2SO_2Me	Ga
CH_2SO_2Et	Ga
CH_2SO_2Pr-n	Gb
$CH_2CH_2SO_2Me$	Ga
$CH_2CH_2SO_2Et$	Ga
$CH_2CH_2SO_2Pr-n$	Gb
CH_2CH_2F	Ga
CH_2CHF_2	Ga

Table 4A continued

R^{*1}	Gn
CH_2CF_3	Ga
CH_2CH_2Cl	Ga
CH_2CH_2Br	Ga
$CH_2CH_2CF_3$	Ga
$CH_2CF_2CF_3$	Ga
$CH_2CH=CHCl$	Gb
$CH_2CH=CHBr$	Gb
$CH_2CH=CF_2$	Gb
$CH_2CH=CHCF_3$	Gb
$CH_2C\equiv Cl$	Gb
$CH_2C\equiv CCF_3$	Gb
CH_2CN	Ga
CH_2CH_2CN	Ga
$CHMeCN$	Ga
$CH_2CH=CHCN$	Ga
$CH(CN)C\equiv CH$	Gb
CH_2NO_2	Ga
$CH_2CH_2NO_2$	Ga
$CH_2CH=CHNO_2$	Gb
$CH_2CH(NO_2)CH=CH_2$	Gc
$CH_2CH(NO_2)C\equiv CH$	Gc
CH_2CO_2Me	Gb
CH_2CO_2Et	Gb

Table 4A continued

R^{a1}	Gn
CH_2CO_2Pr-n	Gb
$CHMeCO_2Me$	Gb
$CHMeCO_2Et$	Gb
$CH_2CH_2CO_2Me$	Ga
$CH_2CH_2CO_2Et$	Ga
$CH_2CH_2CH_2CO_2Me$	Gb
$CH_2CH=CHCO_2Me$	Ga
$CH_2CH=CHCO_2Et$	Ga
$CHMeCH=CHCO_2Me$	Ga
$CHMeCH=CHCO_2Et$	Ga
$CH_2C\equiv CCO_2Me$	Ga
$CH_2C\equiv CCO_2Et$	Ga
CH_2COMe	Ga
CH_2COEt	Ga
$CH_2COPr-n$	Gb
CH_2CH_2COMe	Ga
CH_2CH_2COEt	Ga
CH_2COCF_3	Ga
$CH_2CH_2COCF_3$	Ga
$CH_2COCH_2CF_3$	Gb
CH_2COCH_2F	Gb
$CH_2COCH=CH_2$	Ga
$CH_2COCH=CHMe$	Ga

Table 4A continued

R^{a1}	Gn
$CH_2COCH_2CH=CH_2$	Gb
$CH_2CH_2COCH=CH_2$	Gb
$CH_2CH_2COCH=CHMe$	Gb
$CH_2COC\equiv CH$	Ga
$CH_2COC\equiv CMe$	Ga
CH_2COCH_2OMe	Ga
CH_2COCH_2OEt	Ga
$CH_2COCH_2CH_2OMe$	Ga
$CH_2COCH_2CH_2OEt$	Ga
CH_2COCH_2SMe	Ga
CH_2COCH_2SEt	Ga
$CH_2COCH_2CH_2SMe$	Ga
$CH_2COCH_2CH_2SEt$	Ga
CH_2COCH_2SOMe	Gb
$CH_2COCH_2CH_2SOMe$	Gb
$CH_2COCH_2SO_2Me$	Ga
$CH_2COCH_2SO_2Et$	Ga
$CH_2COCH_2CH_2SO_2Me$	Ga
$CH_2COCH_2CH_2SO_2Et$	Ga
$CH_2CH=CHCOMe$	Ga
$CH_2CH=CHCOEt$	Ga
$CHMeCH=CHCOMe$	Ga
$CHMeCH=CHCOEt$	Ga

Table 4A continued

R^{a1}	Gn
$CH_2C{\equiv}CCOMe$	Ga
$CH_2C{\equiv}CCOEt$	Ga
CH_2SO_2NHMe	Ga
CH_2SO_2NHEt	Ga
$CH_2CH_2SO_2NHMe$	Ga
$CH_2CH_2SO_2NHEt$	Ga
CH_2SO_2NHOMe	Ga
CH_2SO_2NHOEt	Ga
$CH_2CH_2SO_2NHOMe$	Ga
$CH_2CH_2SO_2NHOEt$	Ga
$CH_2SO_2NMe_2$	Ga
CH_2SO_2NMeEt	Ga
$CH_2SO_2NEt_2$	Ga
$CH_2CH_2SO_2NMe_2$	Ga
$CH_2CH_2SO_2NMeEt$	Ga
$CH_2CH_2SO_2NEt_2$	Ga
$CH_2SO_2N(OMe)Me$	Ga
$CH_2SO_2N(OMe)Et$	Ga
$CH_2SO_2N(OEt)Me$	Ga
$CH_2CH_2SO_2N(OMe)Me$	Ga
$CH_2CH_2SO_2N(OMe)Et$	Ga
$CH_2CH_2SO_2N(OEt)Me$	Ga
$CH_2CONHMe$	Ga

Table 4A continued

R^{a1}	Gn
$CH_2CONHEt$	Ga
$CH_2CONHPr\text{-}n$	Gb
$CH_2CH_2CONHMe$	Ga
$CH_2CH_2CONHEt$	Ga
$CH_2CH_2CONHPr\text{-}n$	Gb
CH_2CONMe_2	Ga
$CH_2CONMeEt$	Ga
CH_2CONEt_2	Ga
$CH_2CONHOMe$	Ga
$CH_2CONHOEt$	Ga
$CH_2CONHOPr\text{-}n$	Gb
$CH_2CON(OMe)Me$	Ga
$CH_2CON(OMe)Et$	Ga
$CH_2CON(OEt)Me$	Ga
$CH_2CON(OEt)Et$	Ga
CH_2NHMe	Ga
CH_2NHEt	Ga
$CH_2NHPr\text{-}n$	Gb
CH_2CH_2NHMe	Ga
CH_2CH_2NHEt	Ga
$CH_2CH_2NHPr\text{-}n$	Gb
CH_2NHOMe	Ga
CH_2NHOEt	Ga

Table 4A continued

R^{a1}	Gn
CH_2CH_2NHOMe	Ga
CH_2CH_2NHOEt	Ga
CH_2NMe_2	Ga
CH_2NMeEt	Ga
$CH_2CH_2NMe_2$	Ga
CH_2CH_2NMeEt	Ga
$CH_2N(OMe)Me$	Ga
$CH_2N(OMe)Et$	Ga
$CH_2N(OEt)Me$	Ga
$CH_2CH_2N(OMe)Me$	Ga
$CH_2CH_2N(OMe)Et$	Ga
$CH_2CH_2N(OEt)Me$	Ga
$CH_2NMeCOMe$	Ga
$CH_2NEtCOMe$	Ga
$CH_2NMeCOEt$	Ga
$CH_2CH_2NMeCOMe$	Ga
$CH_2CH_2NEtCOMe$	Ga
$CH_2CH_2NMeCOEt$	Ga
$CH_2N(OMe)COMe$	Ga
$CH_2N(OEt)COMe$	Ga
$CH_2N(OMe)COEt$	Ga
$CH_2CH_2N(OMe)COMe$	Ga
$CH_2CH_2N(OEt)COMe$	Ga

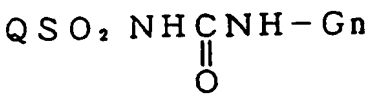
Table 4A continued

R^{a1}	Gn
$CH_2CH_2N(OMe)COEt$	Ga
CH_2NMeSO_2Me	Ga
CH_2NEtSO_2Me	Ga
CH_2NMeSO_2Et	Ga
$CH_2CH_2NMeSO_2Me$	Ga
$CH_2CH_2NEtSO_2Me$	Ga
$CH_2CH_2NMeSO_2Et$	Ga
$CH_2N(OMe)SO_2Me$	Ga
$CH_2N(OEt)SO_2Me$	Ga
$CH_2N(OMe)SO_2Et$	Ga
$CH_2CH_2N(OMe)SO_2Me$	Ga
$CH_2CH_2N(OEt)SO_2Me$	Ga
$CH_2CH_2N(OMe)SO_2Et$	Ga
CH_2Ph	Gb
CH_2CH_2Ph	Gb
$CH_2CH_2CH_2Ph$	Gb
$CHMePh$	Gb
$CH_2CH{=}CHPh$	Ga
$CHMeCH{=}CHPh$	Ga
$CH_2C{\equiv}CPh$	Ga
$CHMeC{\equiv}CPh$	Ga
CH_2CH_2OPh	Ga
CH_2OPh	Ga

Table 4A continu d

R^{a1}	Gn
CH_2CH_2SPh	Ga
CH_2SPh	Ga
CH_2CH_2SOPh	Gb
$CH_2CH_2SO_2Ph$	Ga
CH_2OCH_2Ph	Ga
$CH_2CH_2OCH_2Ph$	Ga
CH_2SCH_2Ph	Ga
$CH_2CH_2SCH_2Ph$	Ga
CH_2SOCH_2Ph	Gb
$CH_2CH_2SOCH_2Ph$	Gb
$CH_2SO_2CH_2Ph$	Ga
$CH_2CH_2SO_2CH_2Ph$	Ga
CH_2COPh	Ga
CH_2CH_2COPh	Ga
$CHMeCOPh$	Ga
CH_2COCH_2Ph	Gb
$CHMeCOCH_2Ph$	Gb
$CH_2CH_2CH_2F$	Ga
$CH_2CH_2CH_2Cl$	Ga

Table 4B



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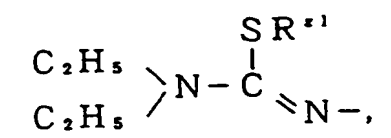
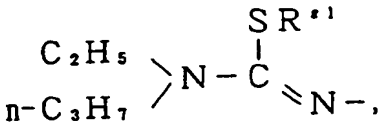
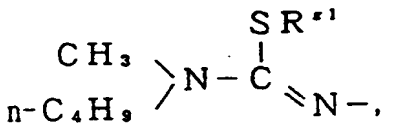
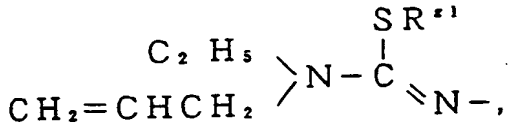
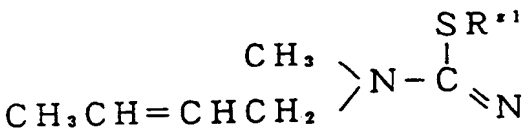
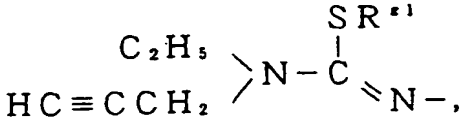


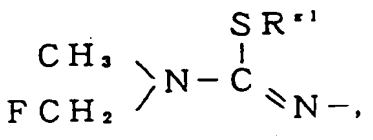


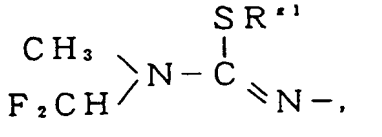


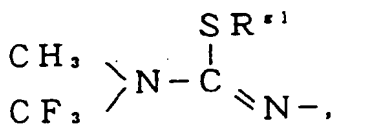


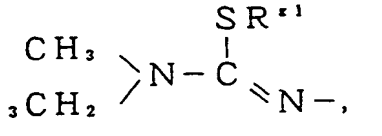


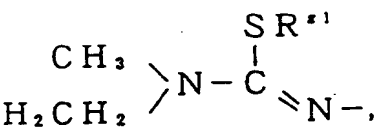


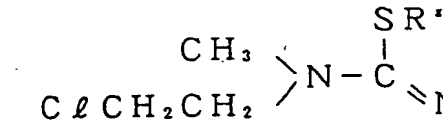


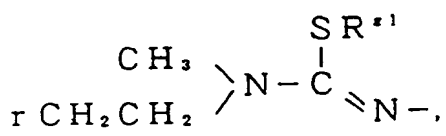


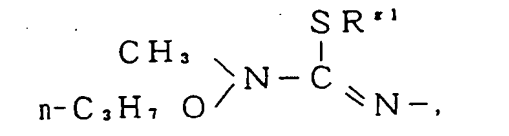


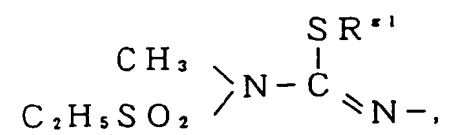


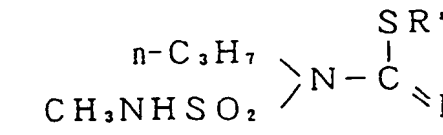


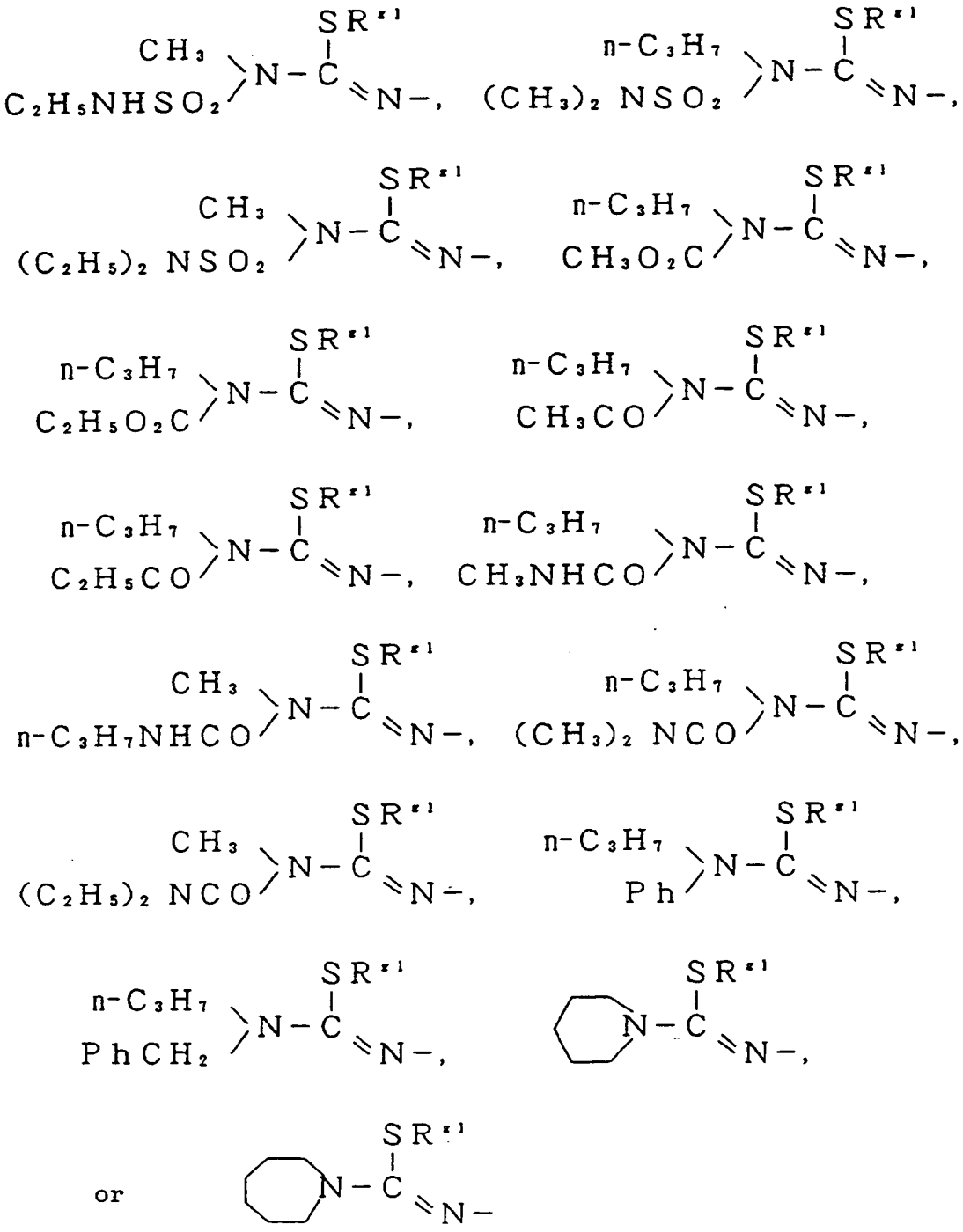












R^{a1}	Gn
Me	Ga
Et	Ga
Pr-n	Ga
Pr-iso	Ga
Bu-n	Ga
Bu-iso	Gb
Pen-n	Gb
Hex-n	Gb
CH_2 Pr-cyc	Ga
CH_2 CH_2 Pr-cyc	Ga
CH_2 CH=CH_2	Ga
CH_2 CH=CHMe	Ga
CH_2 C≡CH	Ga
CH_2 C≡CMe	Ga
CH_2 OMe	Ga
CH_2 OEt	Ga
CH_2 CH_2 OMe	Ga
CH_2 CH_2 OEt	Ga
CH_2 OCH_2 CH=CH_2	Ga
CH_2 CH_2 OCH_2 CH=CH_2	Ga
CH_2 OCH_2 C≡CH	Ga
CH_2 CH_2 OCH_2 C≡CH	Ga
CH_2 OCH_2 CF_3	Ga

Table 4B continued

R^{a1}	Gn
CH_2 CH_2 OCH_2 CF_3	Ga
CH_2 SMe	Ga
CH_2 SEt	Ga
CH_2 CH_2 SMe	Ga
CH_2 CH_2 SEt	Ga
CH_2 SO_2 Me	Ga
CH_2 SO_2 Et	Ga
CH_2 CH_2 SO_2 Me	Ga
CH_2 CH_2 SO_2 Et	Ga
CH_2 CH_2 F	Ga
CH_2 CF_3	Ga
CH_2 CN	Ga
CH_2 CH_2 CN	Ga
CHMeCN	Ga
CH_2 CH=CHCN	Ga
CH_2 NO_2	Ga
CH_2 CH_2 NO_2	Ga
CH_2 CO_2 Me	Gb
CH_2 CO_2 Et	Gb
CHMeCO_2 Me	Gb
CHMeCO_2 Et	Gb
CH_2 CH_2 CO_2 Me	Ga
CH_2 CH_2 CO_2 Et	Ga

Table 4B continued

R^{a1}	Gn
$CH_2CH=CHCO_2Me$	Gga
$CH_2CH=CHCO_2Et$	Gga
$CHMeCH=CHCO_2Me$	Gga
CH_2COMe	Gga
CH_2COEt	Gga
$CH_2COPr-n$	Ggb
CH_2COCF_3	Gga
$CH_2COCH=CH_2$	Gga
$CH_2COCH=CHMe$	Gga
CH_2COCH_2OMe	Gga
CH_2COCH_2OEt	Gga
$CH_2COCH_2CH_2OMe$	Gga
$CH_2COCH_2CH_2OEt$	Gga
CH_2COCH_2SMe	Gga
$CH_2COCH_2CH_2SMe$	Gga
$CH_2COCH_2SO_2Me$	Gga
$CH_2COCH_2CH_2SO_2Me$	Gga
$CH_2CH=CHCOMe$	Gga
$CHMeCH=CHCOMe$	Gga
CH_2SO_2NHMe	Ggb
$CH_2CH_2SO_2NHMe$	Ggb
CH_2SO_2NHOMe	Ggb
$CH_2CH_2SO_2NHOMe$	Gb

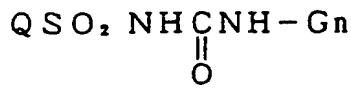
Table 4B continued

R^{a1}	Gn
$CH_2SO_2NMe_2$	Gga
$CH_2CH_2SO_2NMe_2$	Gga
$CH_2SO_2N(OMe)Me$	Gga
$CH_2CH_2SO_2N(OMe)Me$	Gga
$CH_2CONHMe$	Ggb
$CH_2CH_2CONHMe$	Ggb
CH_2CONMe_2	Gga
$CH_2CH_2CONMe_2$	Gga
$CH_2CONHOMe$	Ggb
$CH_2CH_2CONHOMe$	Ggb
$CH_2CON(OMe)Me$	Gga
$CH_2CH_2CON(OMe)Me$	Gga
CH_2NHMe	Ggb
CH_2CH_2NHMe	Ggb
CH_2NHOMe	Ggb
CH_2CH_2NHOMe	Ggb
CH_2NMe_2	Gga
$CH_2CH_2NMe_2$	Gga
$CH_2N(OMe)Me$	Gga
$CH_2CH_2N(OMe)Me$	Gga
$CH_2NMeCOMe$	Gga
$CH_2CH_2NMeCOMe$	Gga
$CH_2N(OMe)COMe$	Ga

Table 4B continued

R^{a1}	Gn
$CH_2CH_2N(OMe)COMe$	Ga
CH_2NMeSO_2Me	Ga
$CH_2CH_2NMeSO_2Me$	Ga
$CH_2N(OMe)SO_2Me$	Ga
$CH_2CH_2N(OMe)SO_2Me$	Ga
CH_2Ph	Gb
CH_2CH_2Ph	Gb
$CH_2CH_2CH_2Ph$	Gb
$CHMePh$	Gb
$CH_2CH=CHPh$	Gb
$CHMeCH=CHPh$	Gb
CH_2CH_2OPh	Gb
CH_2OPh	Gb
CH_2CH_2SPh	Gb
CH_2SPh	Gb
$CH_2CH_2SO_2Ph$	Gb
CH_2COPh	Gb
CH_2CH_2COPh	Gb
CH_2COCH_2Ph	Gb
$CH_2CH_2COCH_2Ph$	Gb

Table 4C



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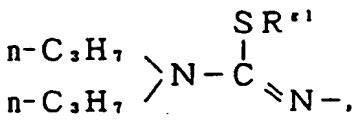

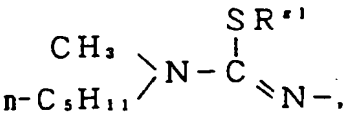

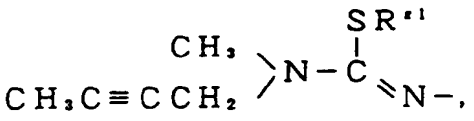

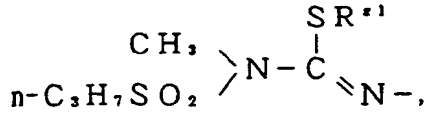

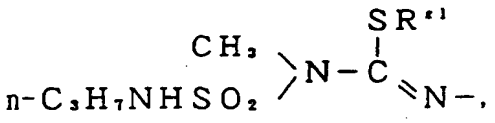

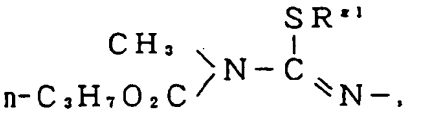

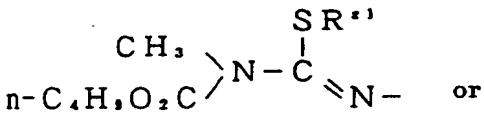

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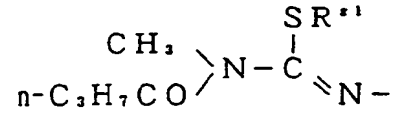
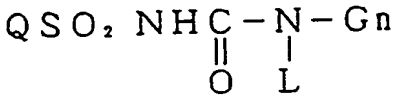


R^{a1}	Gn
Me	Ga
Et	Ga
Pr-n	Ga
Pro-iso	Gb
Bu-n	Ga
Bu-iso	Ga
Pen-n	Gb
CH_2 Pr-cyc	Ga
CH_2 CH_2 Pr-cyc	Gb
CH_2 CH=CH_2	Ga
CH_2 CH=CHMe	Ga
CH_2 C≡CH	Ga
CH_2 C≡CMe	Ga
CH_2 CH_2 OMe	Ga
CH_2 OMe	Ga
CH_2 CH_2 SMe	Ga
CH_2 SMe	Ga
CH_2 SO_2 Me	Ga
CH_2 CH_2 SO_2 Me	Ga
CH_2 CF_3	Ga
CH_2 CN	Ga
CH_2 CH_2 CN	Ga

Table 4C continued

$R^{\#1}$	Gn
CH_2NO_2	Ga
$CH_2CH_2NO_2$	Ga
CH_2COMe	Ga
CH_2COEt	Ga
$CH_2COCH=CH_2$	Ga
$CH_2CH=CHCOMe$	Ga
CH_2CONMe_2	Ga

Table 5



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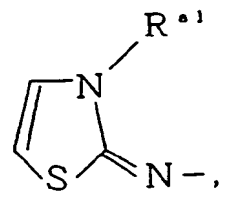
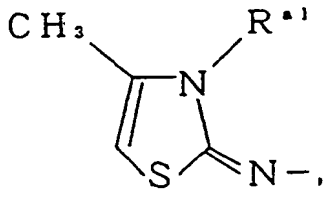
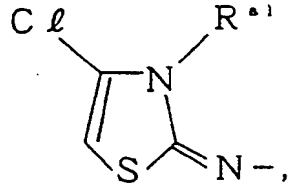
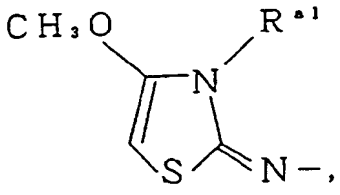
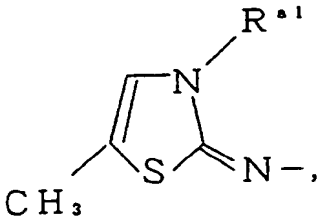
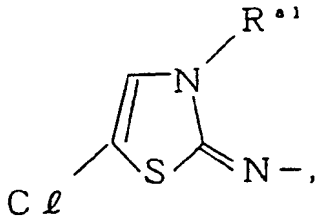
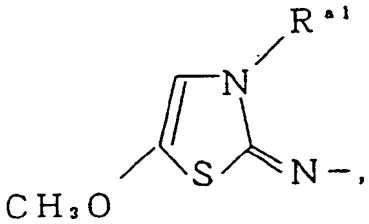
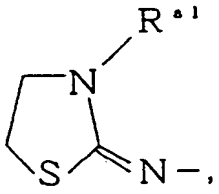
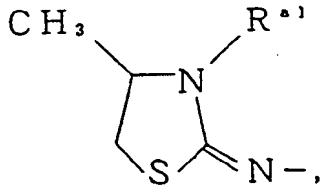
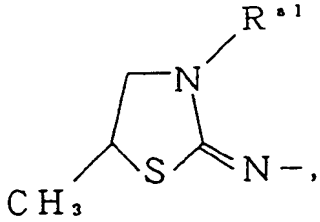
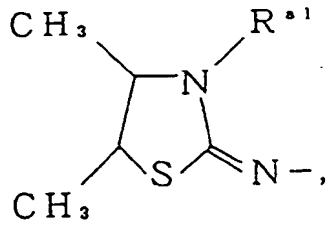
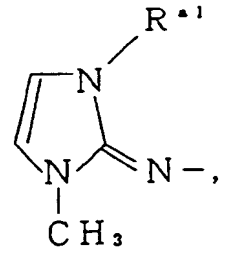
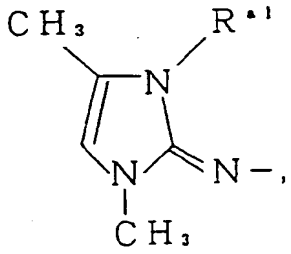
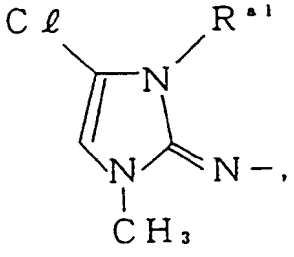
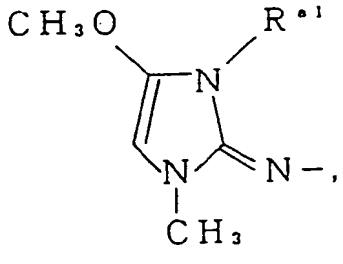
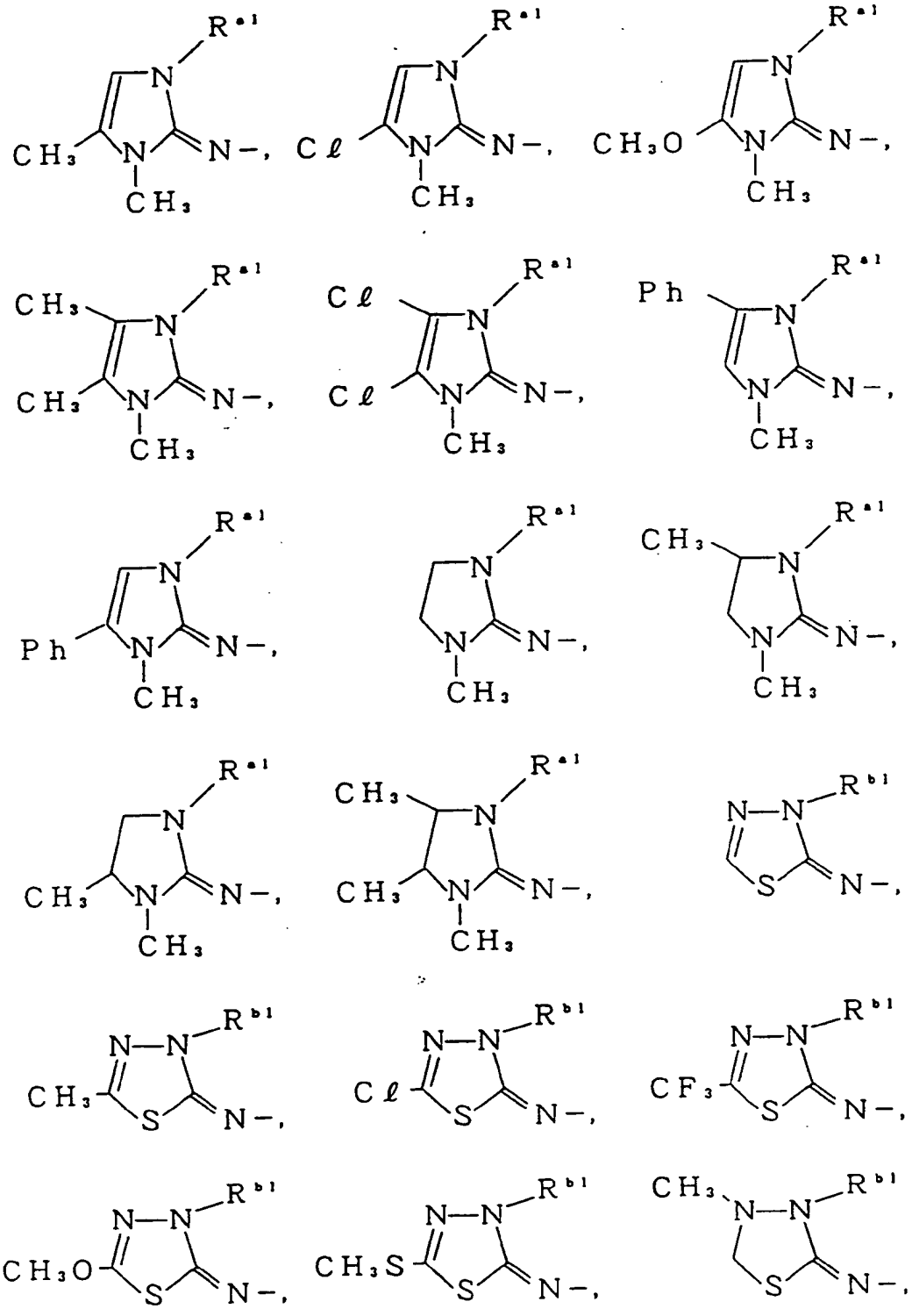
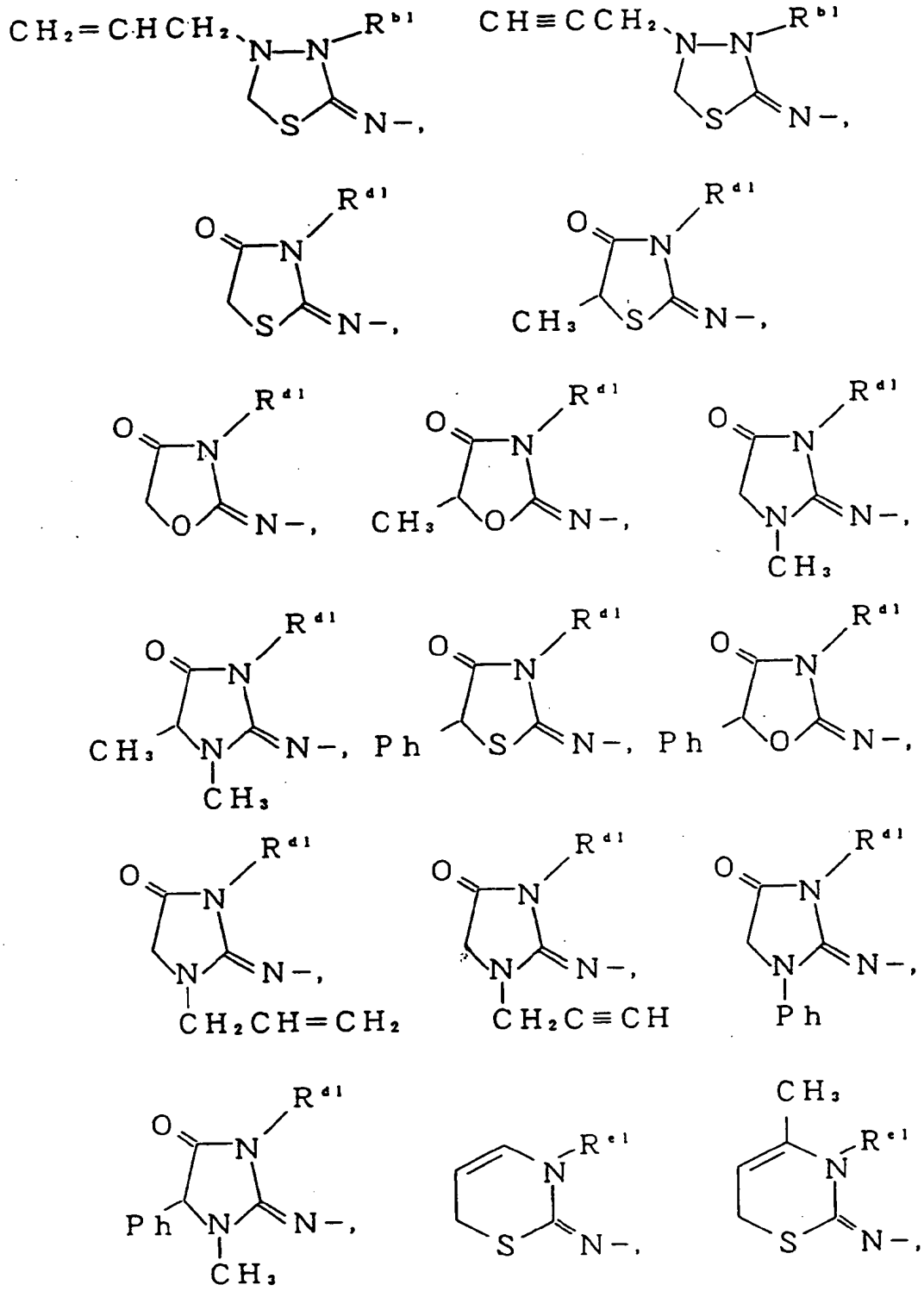
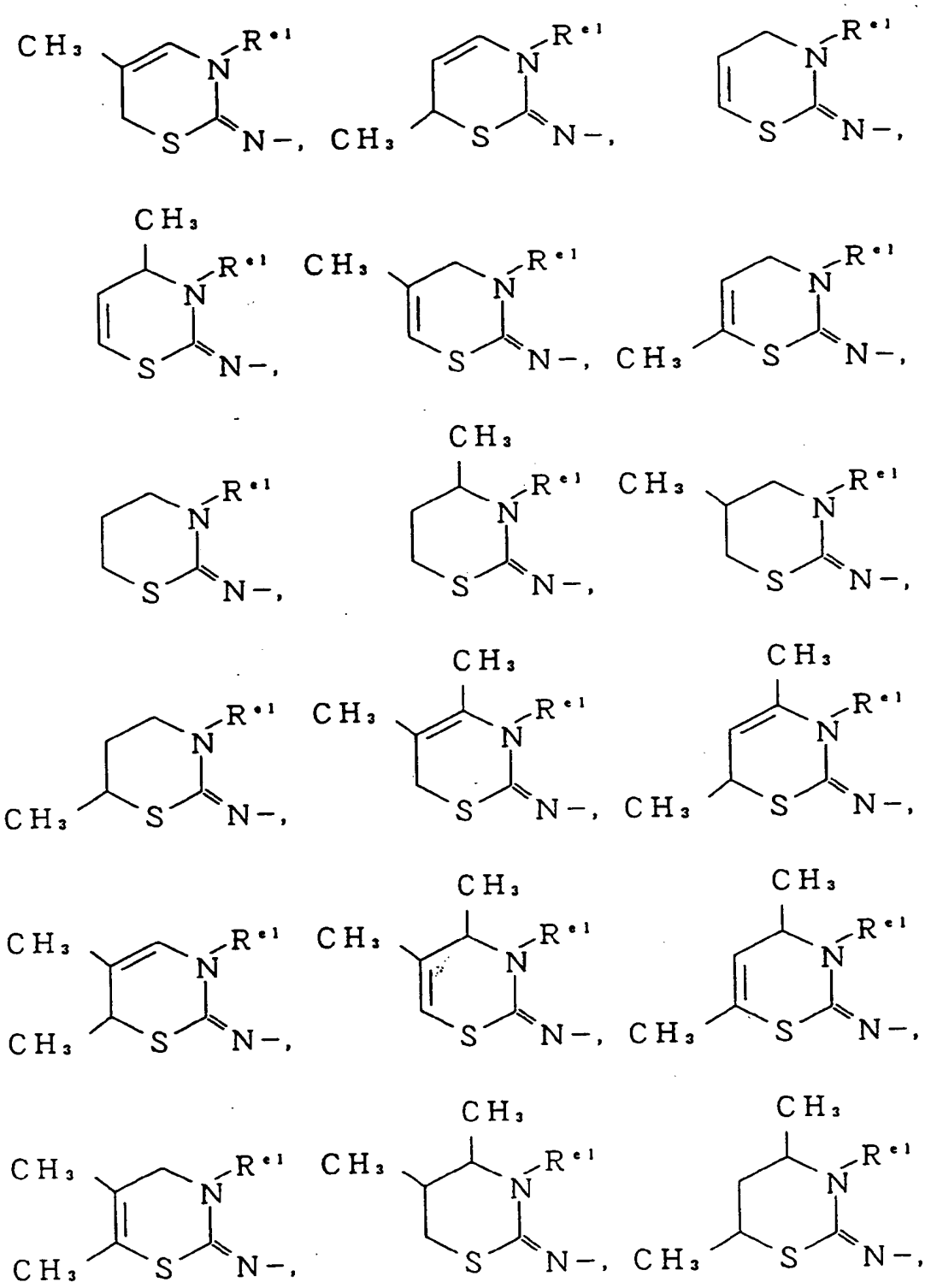
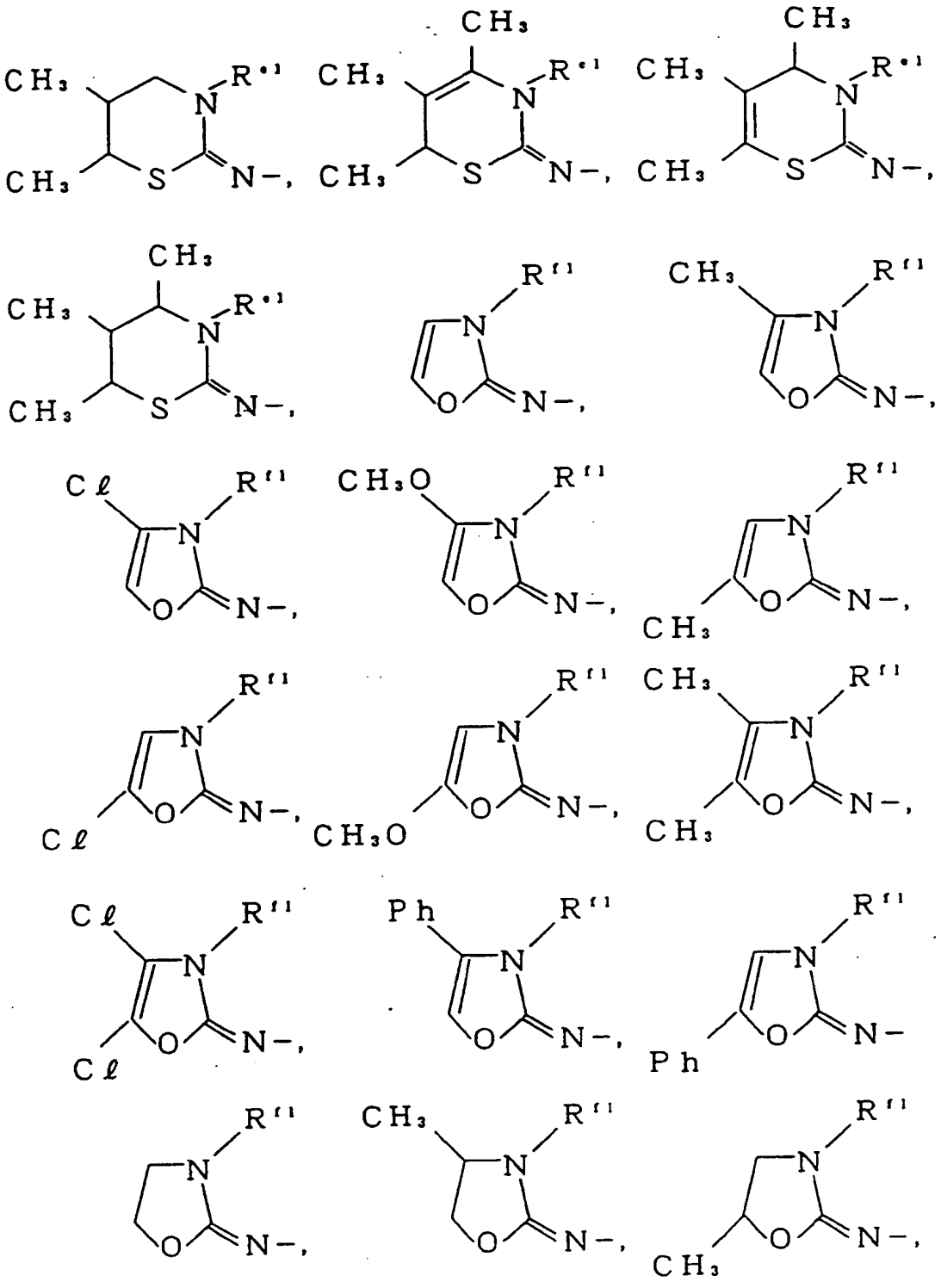
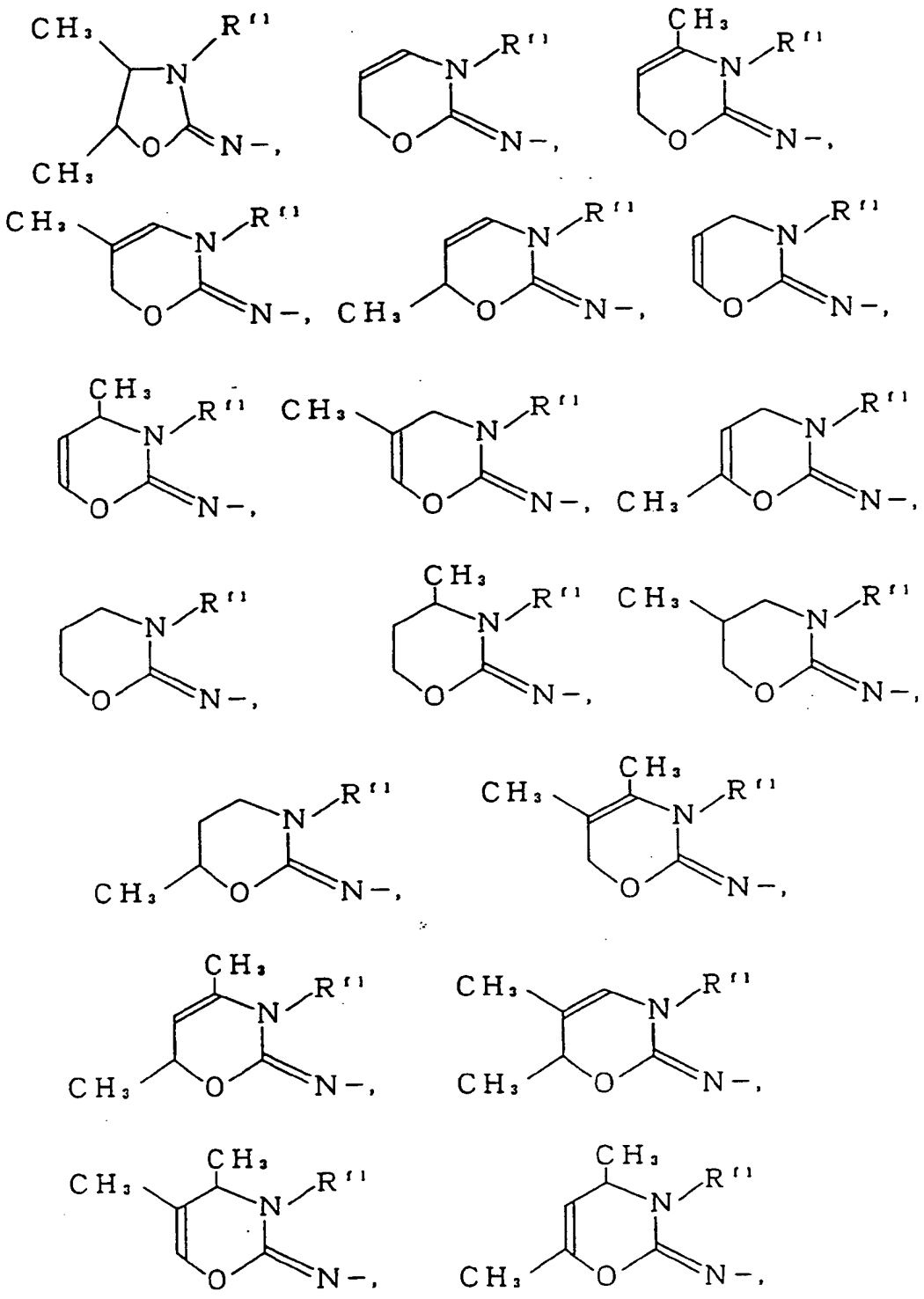
















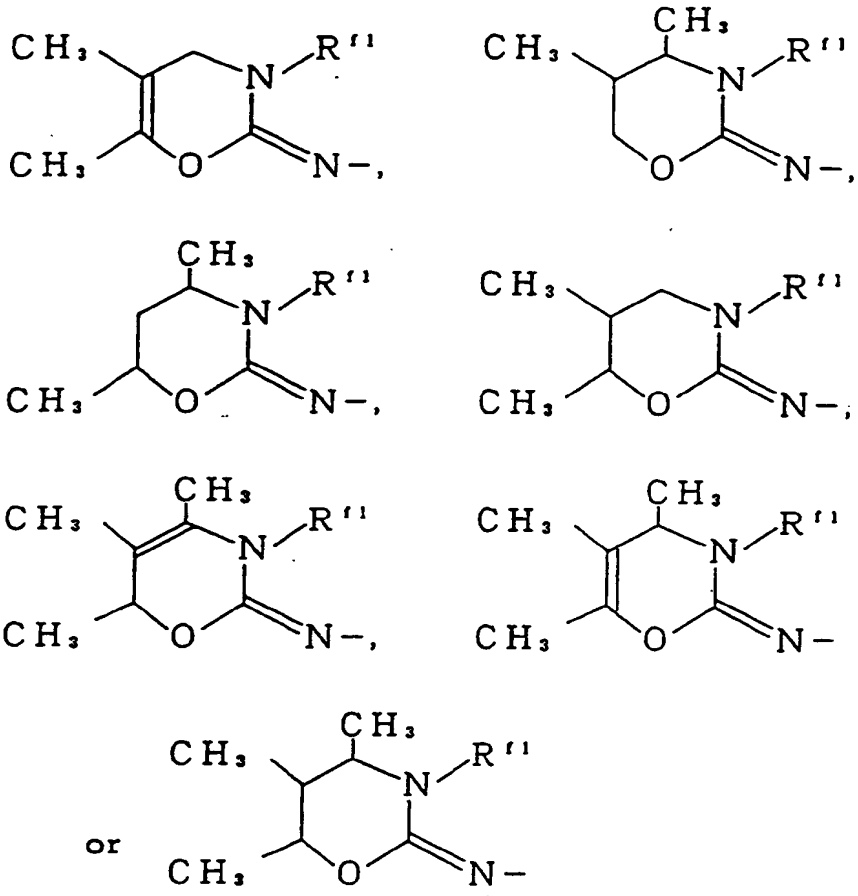












R^m represents R^{al}, R^{bl}, R^{dl}, R^{el} or R^{fl}.

R^m	L	Gn
Me	Me	Ga
Me	Et	Gb
Et	Me	Ga
Et	Et	Gb
Pr-n	Me	Ga
Pr-n	Et	Gb
Pr-n	$CH_2CH=CH_2$	Gb
Pr-n	$CH_2C\equiv CH$	Gb
Bu-n	Me	Ga
Bu-n	Et	Gb
Bu-n	$CH_2CH=CH_2$	Gb

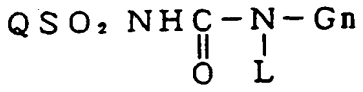
Table 5 continued

R^m	L	Gn
Bu−n	$CH_2C\equiv CH$	Gb
Pen−n	Me	Gb
$CH_2CH=CH_2$	Me	Ga
$CH_2CH=CH_2$	Et	Gb
$CH_2CH=CH_2$	$CH_2CH=CH_2$	Gb
$CH_2CH=CH_2$	$CH_2C\equiv CH$	Gb
$CH_2C\equiv CH$	Me	Gb
$CH_2C\equiv CH$	Et	Gb
$CH_2C\equiv CH$	$CH_2CH=CH_2$	Gb
$CH_2C\equiv CH$	$CH_2C\equiv CH$	Gb
CH_2CH_2OMe	Me	Gb
CH_2CH_2SMe	Me	Gb
CH_2SO_2Me	Me	Gb
CH_2CF_3	Me	Gb
CH_2CF_3	Et	Gb
CH_2CF_3	$CH_2CH=CH_2$	Gb
CH_2CF_3	$CH_2C\equiv CH$	Gb
CH_2CN	Me	Gb
CH_2COMe	Me	Gb
CH_2COMe	Et	Gb
CH_2COMe	$CH_2CH=CH_2$	Gb
CH_2COMe	$CH_2C\equiv CH$	Gb

Table 5 continued

R^m	L	Gn
$CH_2COCH=CH_2$	Me	Gb
CH_2CONMe_2	Me	Gb

Table 6



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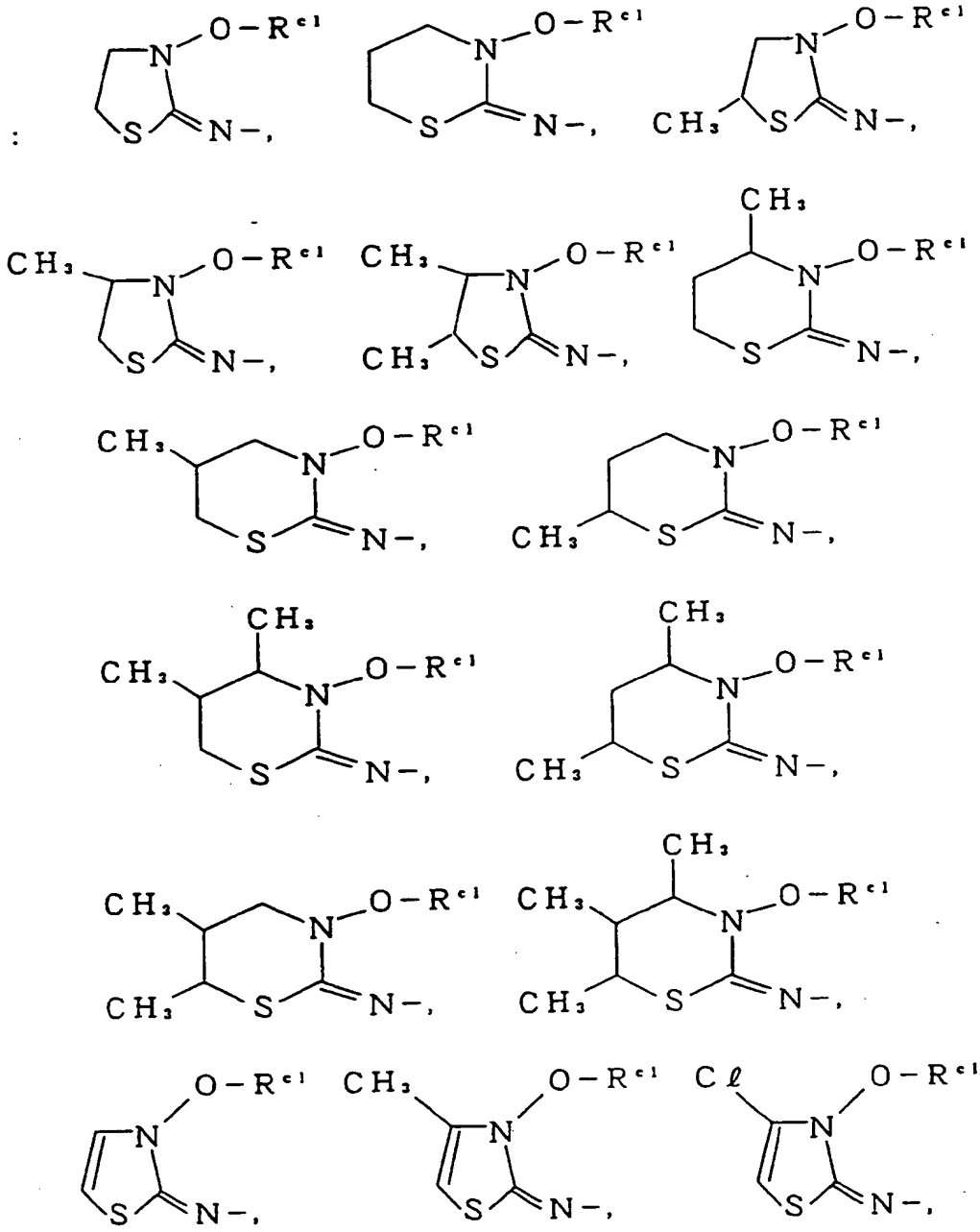
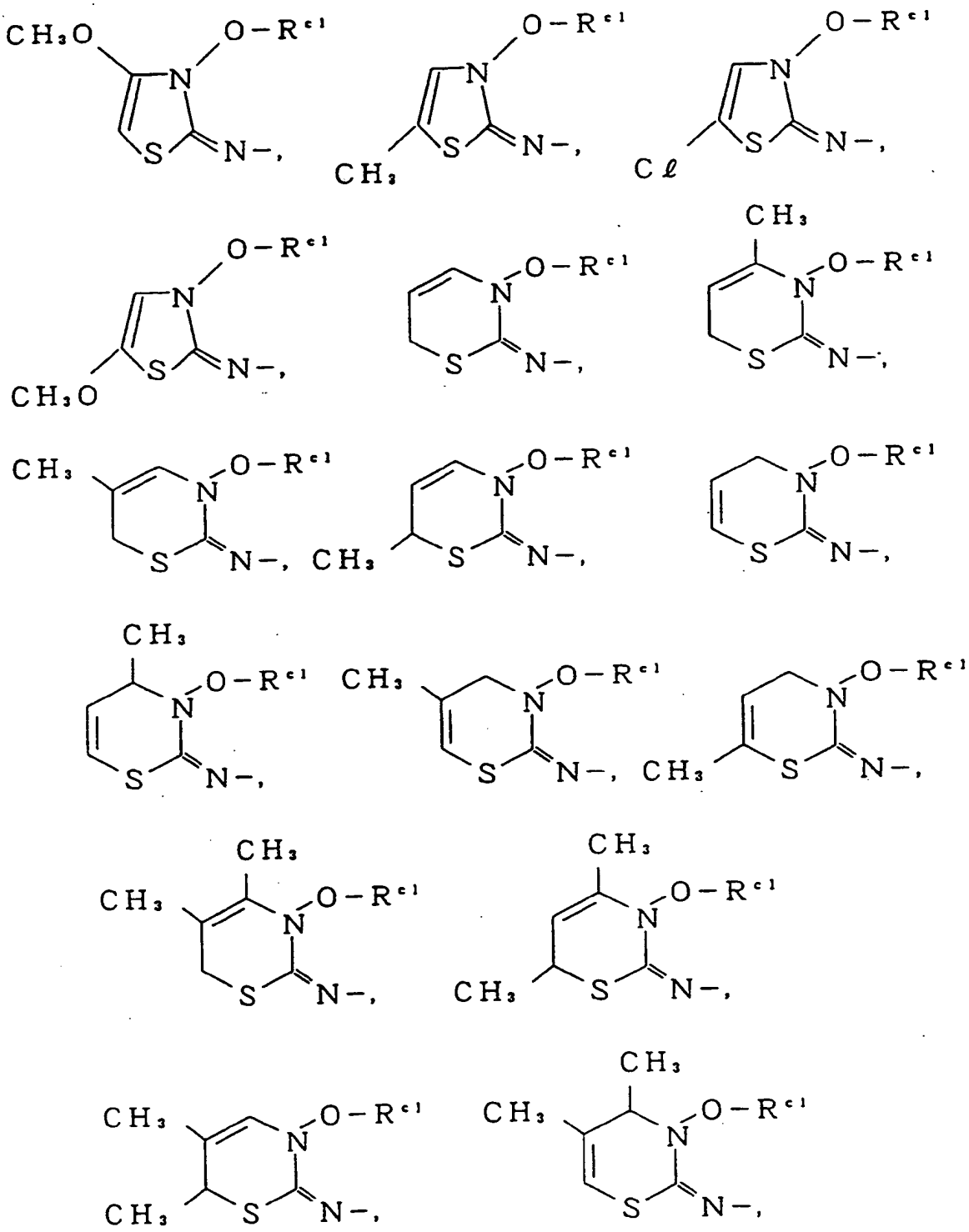
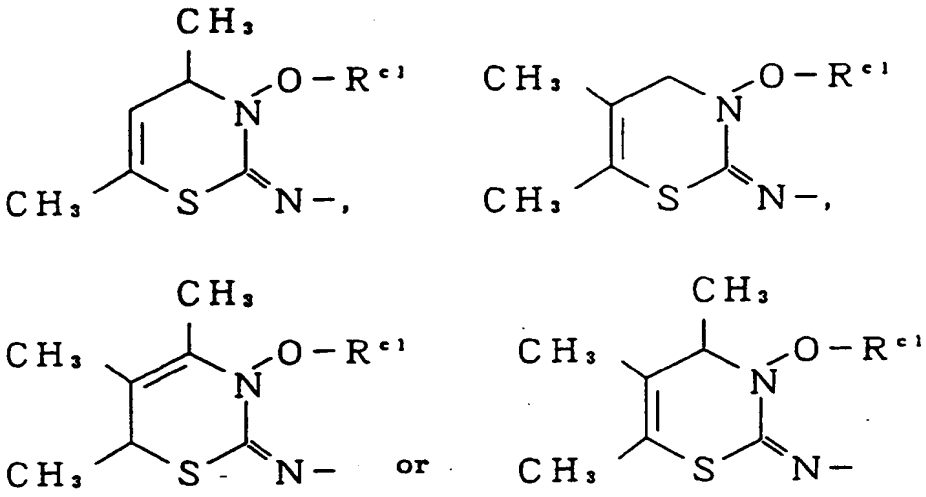




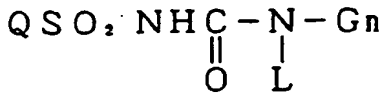


R^{c1}	L	Gn
Me	Me	Ga
Me	Et	Gb
Me	$CH_2CH=CH_2$	Gb
Me	$CH_2C\equiv CH$	Gb
Et	Me	Ga
Et	Et	Gb
Et	$CH_2CH=CH_2$	Gb
Et	$CH_2C\equiv CH$	Gb
Pr-n	Me	Ga
Pr-n	Et	Gb
Bu-n	Me	Ga
Bu-n	Et	Gb
Pen-n	Me	Gb
$CH_2CH=CH_2$	Me	Ga
$CH_2CH=CH_2$	Et	Gb
$CH_2CH=CH_2$	$CH_2CH=CH_2$	Gb
$CH_2CH=CH_2$	$CH_2C\equiv CH$	Gb
$CH_2C\equiv CH$	Me	Gb
$CH_2C\equiv CH$	Et	Gb
$CH_2C\equiv CH$	$CH_2CH=CH_2$	Gb
$CH_2C\equiv CH$	$CH_2C\equiv CH$	Gb
CH_2CH_2OMe	Me	Gb
CH_2CH_2SMe	Me	Gb
CH_2SO_2Me	Me	Gb

Table 6 continued

R^{c1}	L	Gn
CH_2CF_3	Me	Gb
CH_2CF_3	Et	Gb
CH_2CF_3	$CH_2CH=CH_2$	Gb
CH_2CF_3	$CH_2C\equiv CH$	Gb
CH_2CN	Me	Gb
CH_2COMe	Me	Gb
CH_2COMe	Et	Gb
CH_2COMe	$CH_2CH=CH_2$	Gb
CH_2COMe	$CH_2C\equiv CH$	Gb
$CH_2COCH=CH_2$	Me	Gb
CH_2CONMe_2	Me	Gb
Ph	Me	Gb

Table 7



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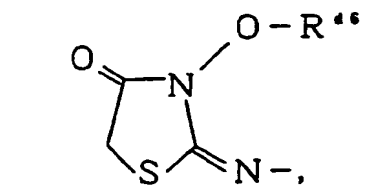
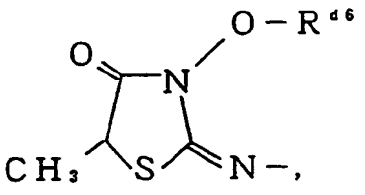
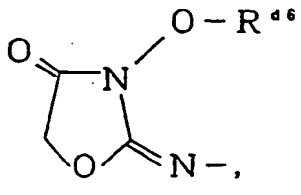
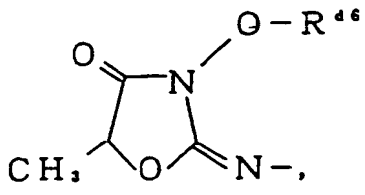
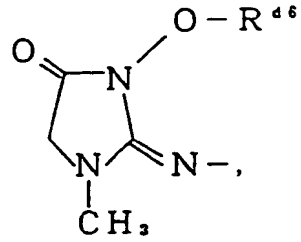
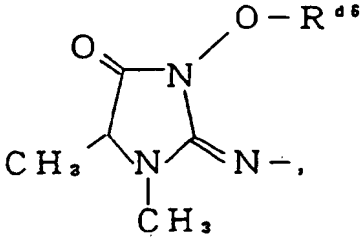
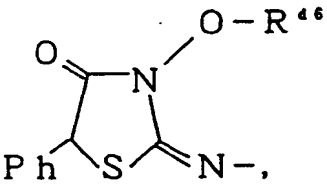
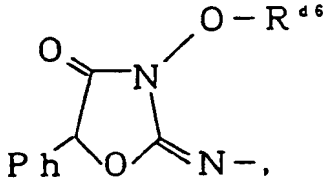
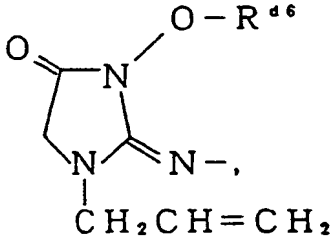
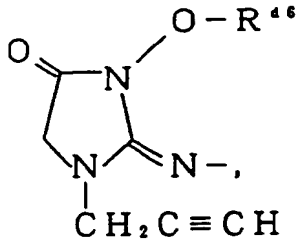
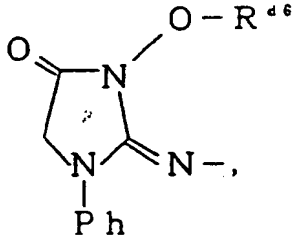
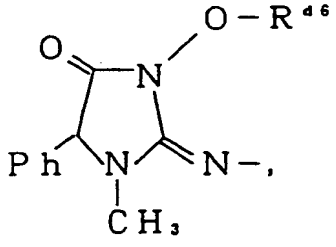
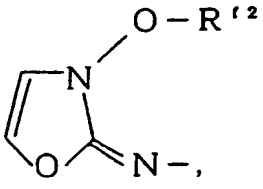
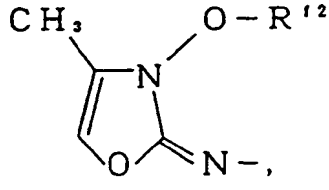
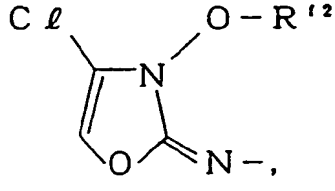
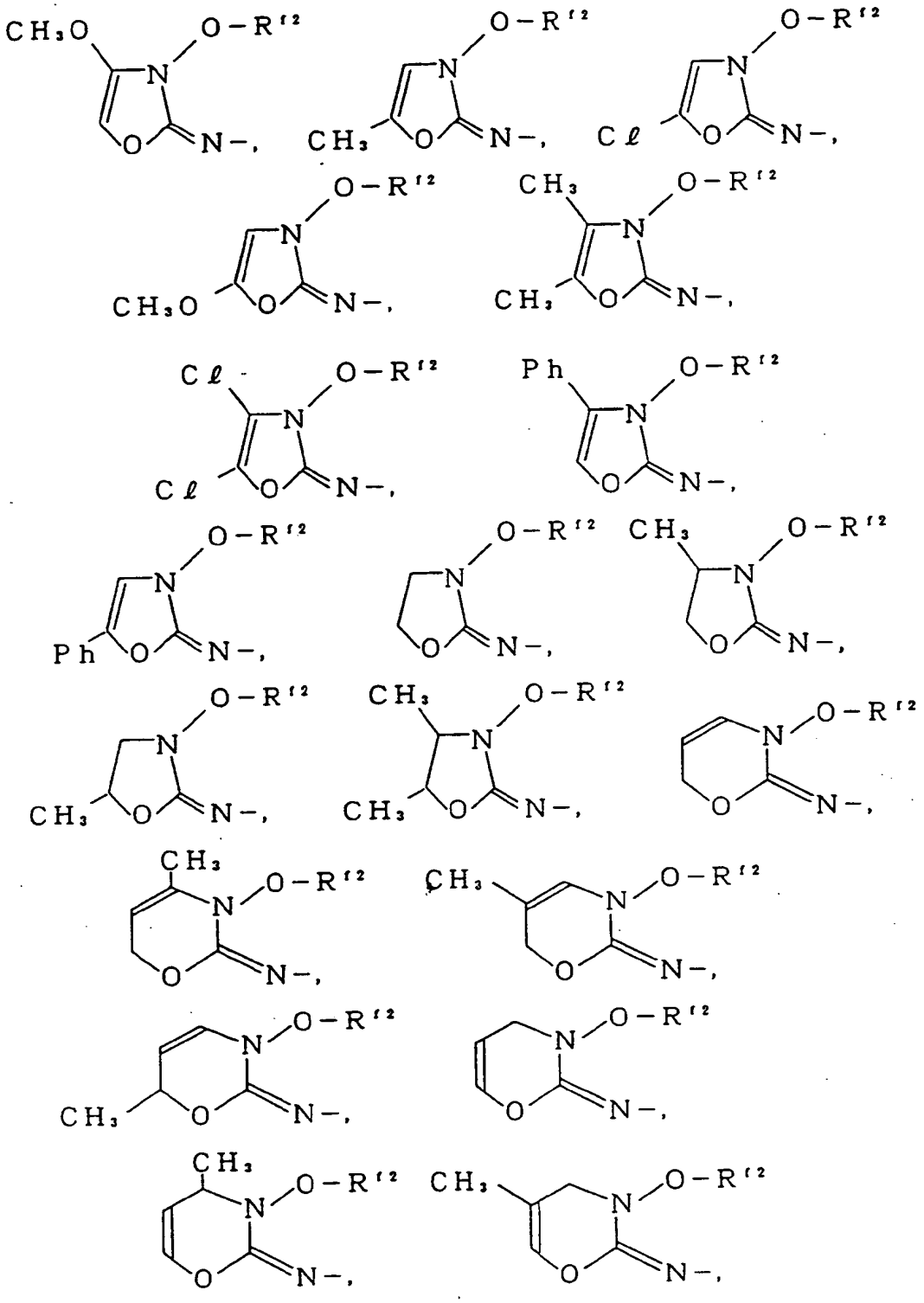
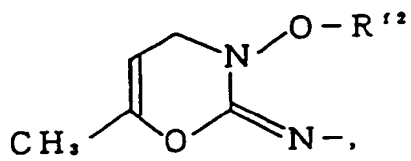
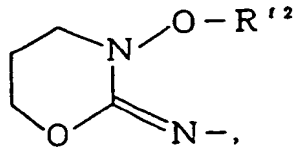
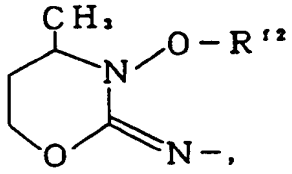
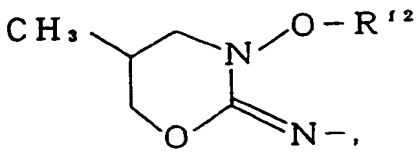
















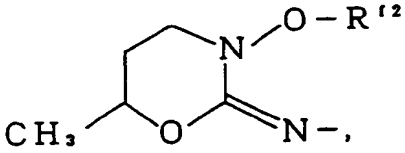


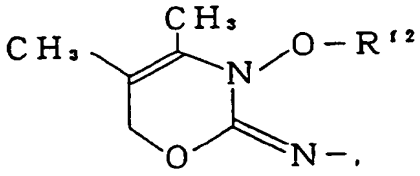


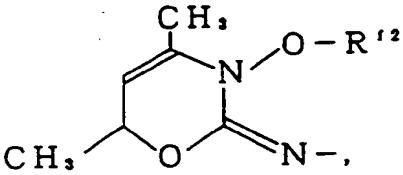


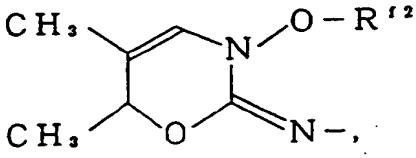


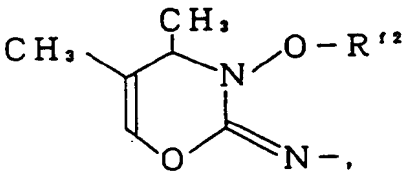


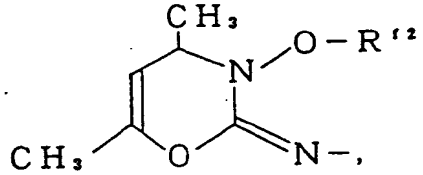


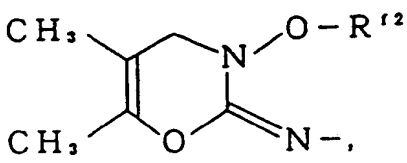


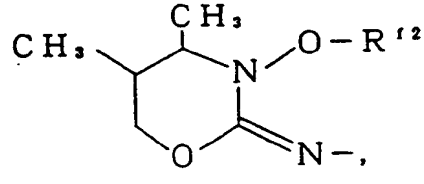


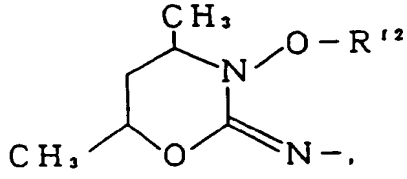


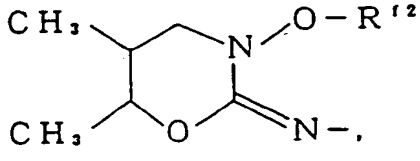


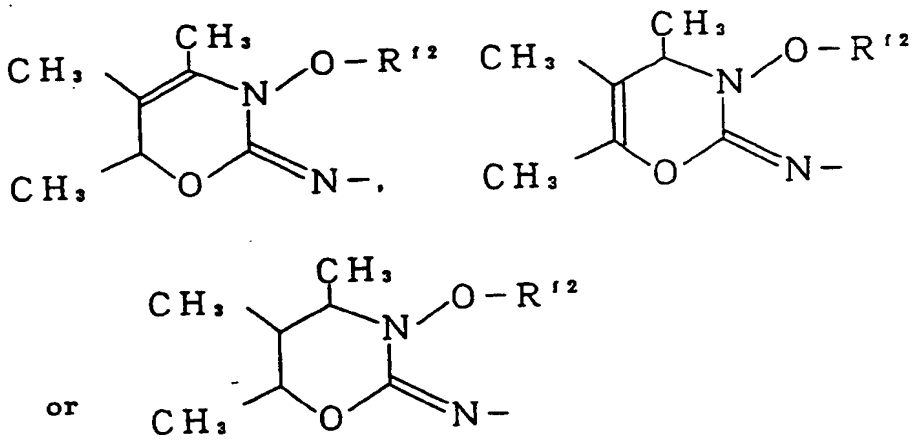












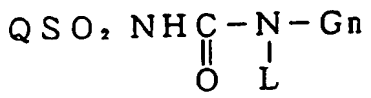
R^n represents R^{d6} or R^{f2}.

R^n	L	Gn
Me	Me	Ga
Me	Et	Gb
Me	$CH_2CH=CH_2$	Gb
Me	$CH_2C\equiv CH$	Gb
Et	Me	Ga
Et	Et	Gb
Et	$CH_2CH=CH_2$	Gb
Et	$CH_2C\equiv CH$	Gb
Pr-n	Me	Ga
Pr-n	Et	Gb
Pr-n	$CH_2CH=CH_2$	Gb
Pr-n	$CH_2C\equiv CH$	Gb
$CH_2CH=CH_2$	Me	Gb
$CH_2C\equiv CH$	Me	Gb
CH_2SMe	Me	Gb
CH_2CH_2SMe	Me	Gb
CH_2SO_2Me	Me	Gb
$CH_2CH_2SO_2Me$	Me	Gb
CH_2OMe	Me	Gb
CH_2CH_2OMe	Me	Gb
CH_2CO_2Me	Me	Gb
CH_2COMe	Me	Gb
CH_2CH_2COMe	Me	Gb
CH_2CN	Me	Gb

Table 7 continued

R*	L	Gn
CH_2CH_2CN	Me	Gb
Ph	Me	Gb
CH_2Ph	Me	Gb
CH_2CH_2Ph	Me	Gb
CHMePh	Me	Gb

Table 8



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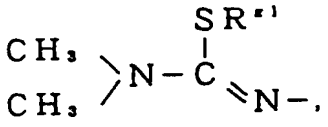
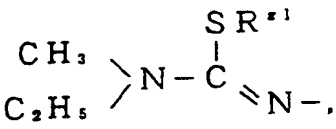
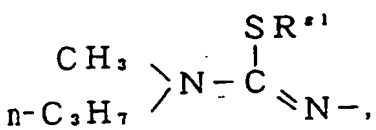
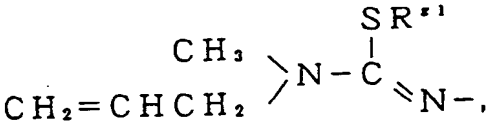
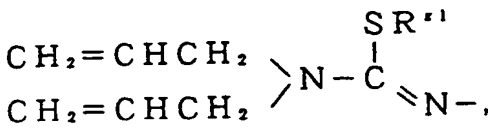
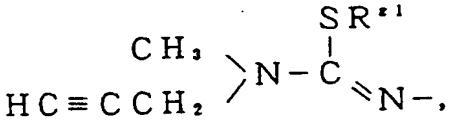


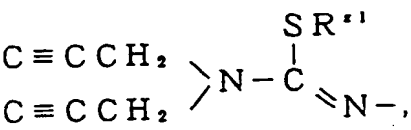


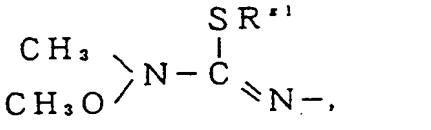


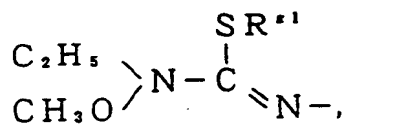


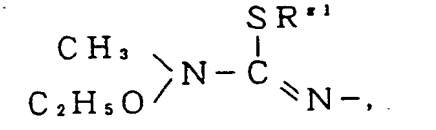


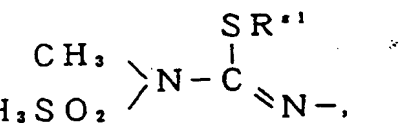


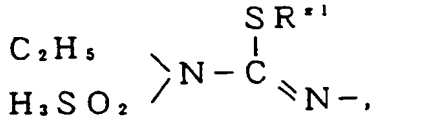


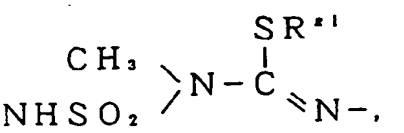


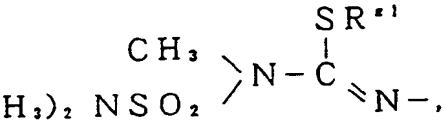


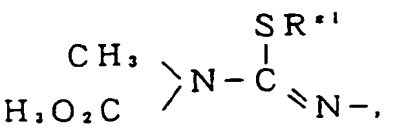


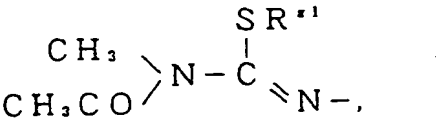


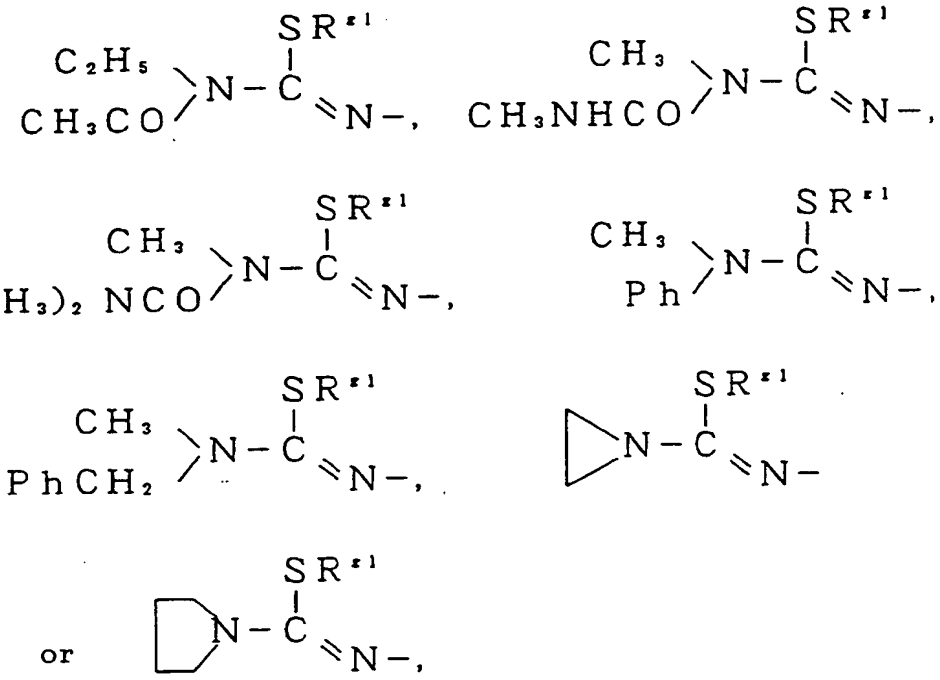












R^{z1}	L	Gn
Me	Me	Ga
Me	Et	Gb
Et	Me	Ga
Et	Et	Gb
Pr-n	Me	Ga
Pr-n	Et	Gb
Pr-n	$CH_2CH=CH_2$	Gb
Pr-n	$CH_2C\equiv CH$	Gb
Bu-n	Me	Ga
Bu-n	Et	Gb
Bu-n	$CH_2CH=CH_2$	Gb

Table 8 continued

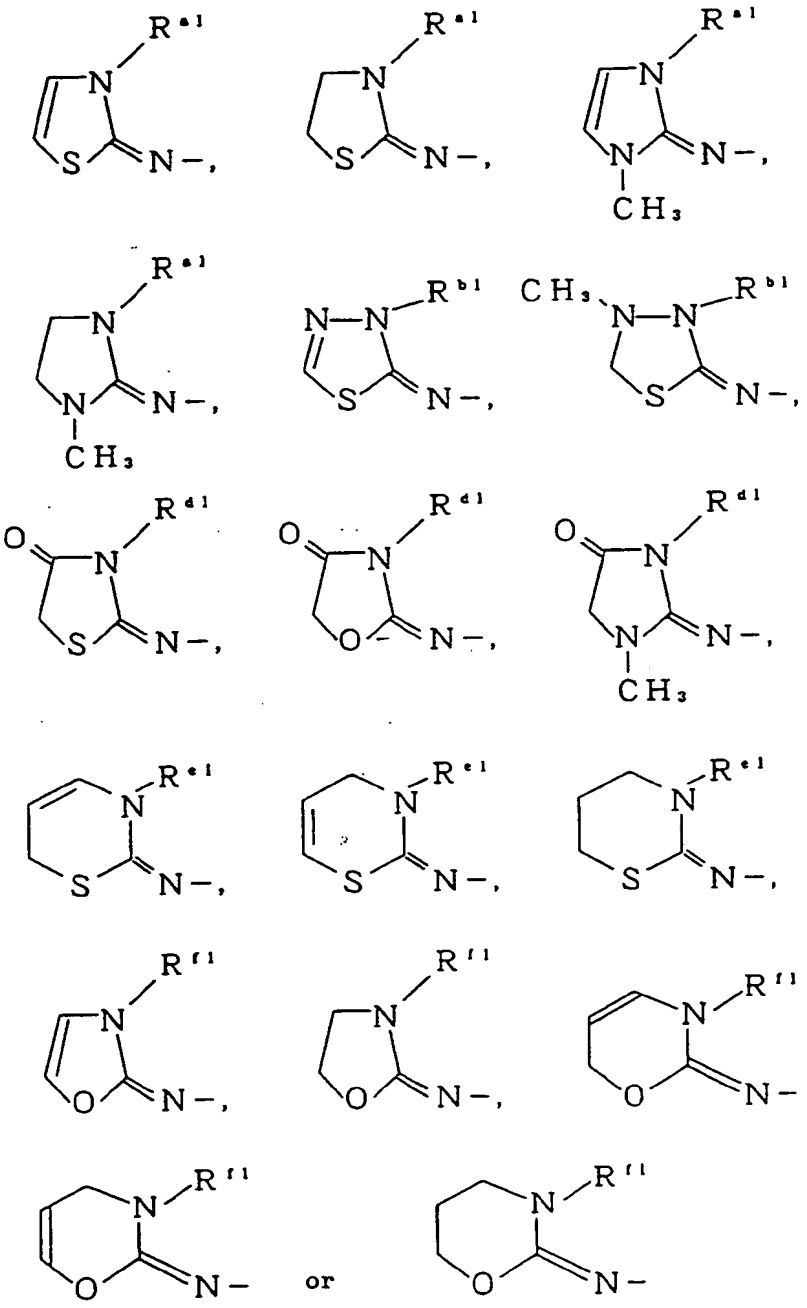
R^{z1}	L	Gn
Bu-n	$CH_2C\equiv CH$	Gb
Pen-n	Me	Gb
$CH_2CH=CH_2$	Me	Ga
$CH_2CH=CH_2$	Et	Gb
$CH_2CH=CH_2$	$CH_2CH=CH_2$	Gb
$CH_2CH=CH_2$	$CH_2C\equiv CH$	Gb
$CH_2C\equiv CH$	Me	Gb
$CH_2C\equiv CH$	Et	Gb
$CH_2C\equiv CH$	$CH_2CH=CH_2$	Gb
$CH_2C\equiv CH$	$CH_2C\equiv CH$	Gb
CH_2CH_2OMe	Me	Gb
CH_2CH_2SMe	Me	Gb
CH_2SO_2Me	Me	Gb
CH_2CF_3	Me	Gb
CH_2CF_3	Et	Gb
CH_2CF_3	$CH_2CH=CH_2$	Gb
CH_2CF_3	$CH_2C\equiv CH$	Gb
CH_2CN	Me	Gb
CH_2COMe	Me	Gb
CH_2COMe	Et	Gb
CH_2COMe	$CH_2CH=CH_2$	Gb
CH_2COMe	$CH_2C\equiv CH$	Gb

Table 8 continued

R^{z1}	L	Gn
$CH_2COCH=CH_2$	Me	Gb
CH_2CONMe_2	Me	Gb

Table 9

Q :



R^m represents R^{a1}, R^{b1}, R^{d1}, R^{e1} or R^{f1}.

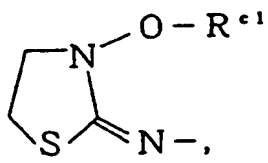
R^m	Gn
Me	Gc
Et	Gc
Pr-n	Gc
Pr-iso	Gc
Bu-n	Gc
Bu-iso	Gc
Pen-n	Gc
CH_2 Pr-cyc	Gc
CH_2 CH_2 Py-cyc	Gc
CH_2 CH=CH_2	Gc
CH_2 CH=CHMe	Gc
CH_2 C≡CH	Gc
CH_2 C≡CMe	Gc
CH_2 CH_2 OMe	Gc
CH_2 OMe	Gc
CH_2 CH_2 SMe	Gc
CH_2 SMe	Gc
CH_2 SO_2 Me	Gc
CH_2 CH_2 SO_2 Me	Gc
CH_2 CF_3	Gc
CH_2 CN	Gc
CH_2 CH_2 CN	Gc
CH_2 NO_2	Gc
CH_2 CH_2 NO_2	Gc

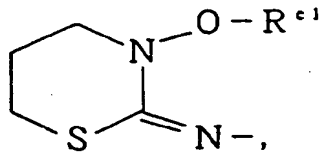
Table 9 continued

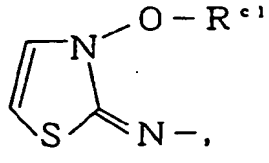
R^m	Gn
CH_2 COMe	Gc
CH_2 COEt	Gc
CH_2 COCH=CH_2	Gc
CH_2 CH=CHCOMe	Gc
CH_2 $CONMe_2$	Gc

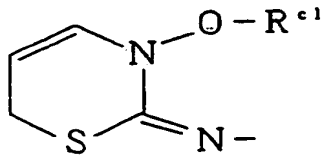
Table 10

Q :









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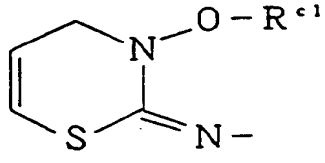


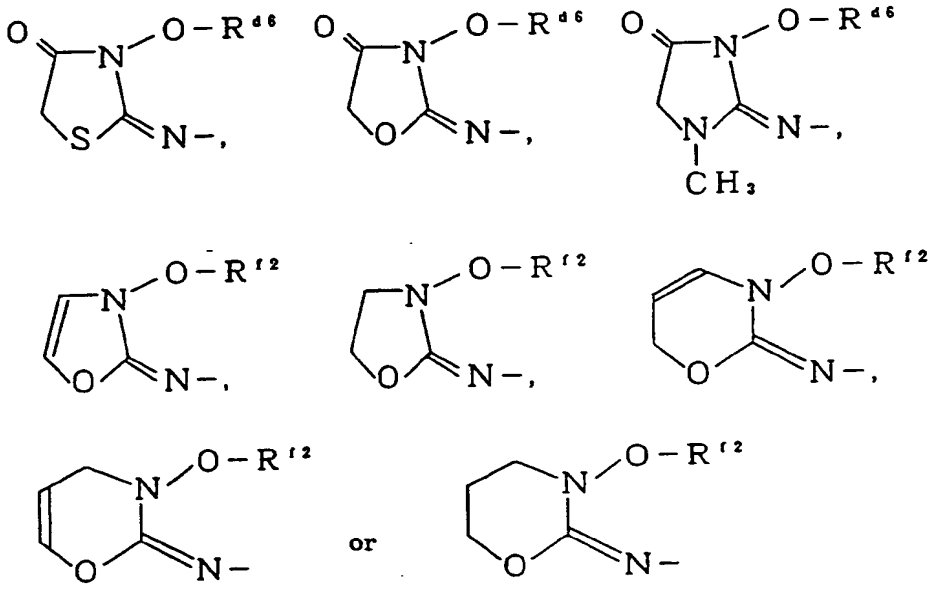
R^{c1}	Gn
Me	Gcc
Et	Gcc
Pr-n	Gcc
Pr-iso	Gcc
Bu-n	Gcc
Bu-iso	Gcc
Pen-n	Gcc
CH_2 Pr-cyc	Gcc
CH_2 CH_2 Py-cyc	Gcc
CH_2 CH=CH_2	Gcc
CH_2 CH=CHMe	Gcc
CH_2 C≡CH	Gcc
CH_2 C≡CMe	Gcc
CH_2 CH_2 OMe	Gcc
CH_2 OMe	Gcc
CH_2 CH_2 SMe	Gcc
CH_2 SMe	Gcc
CH_2 SO_2 Me	Gcc
CH_2 CH_2 SO_2 Me	Gcc
CH_2 CF_3	Gcc
CH_2 CN	Gcc
CH_2 CH_2 CN	Gcc
CH_2 NO_2	Gcc
CH_2 CH_2 NO_2	Gc

Table 10 continued

R^{c1}	Gn
CH_2COMe	Gc
CH_2COEt	Gc
$CH_2COCH=CH_2$	Gc
$CH_2CH=CHCOMe$	Gc
CH_2CONMe_2	Gc
Ph	Gc

Table 11

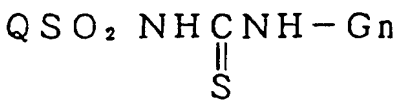
Q :



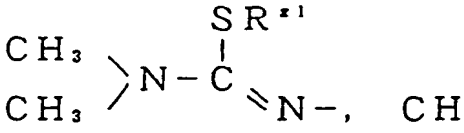
R^n represents R^{d6} or R^{f2}.

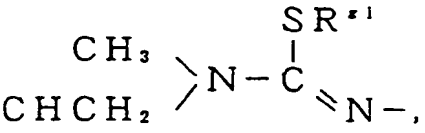
R^n	Gn
Me	Gc
Et	Gc
Pr-n	Gc
$CH_2CH=CH_2$	Gc
$CH_2C\equiv CH$	Gc
CH_2SMe	Gc
CH_2CH_2SMe	Gc
CH_2SO_2Me	Gc
$CH_2CH_2SO_2Me$	Gc
CH_2OMe	Gc
CH_2CH_2OMe	Gc
CH_2CO_2Me	Gc
CH_2COMe	Gc
CH_2CH_2COMe	Gc
CH_2CN	Gc
CH_2CH_2CN	Gc
Ph	Gc
CH_2Ph	Gc

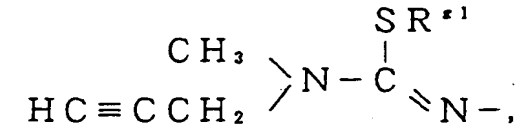
Table 12

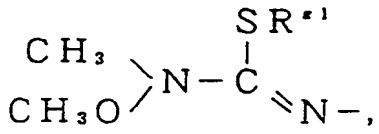


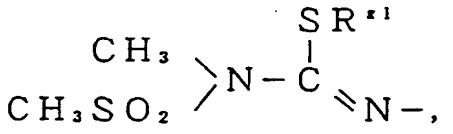
Q:

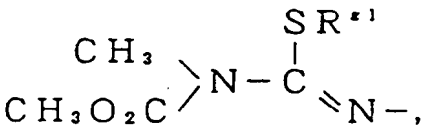


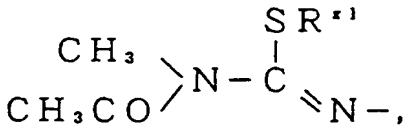


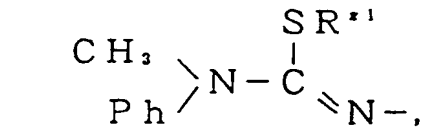


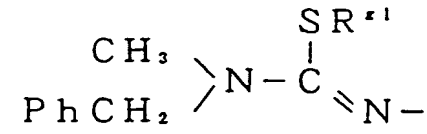


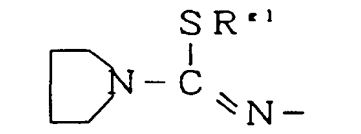












or

R^{z1}	Gn
Me	Gc
Et	Gc
Pr-n	Gc
Pr-iso	Gc
Bu-n	Gc
Bu-iso	Gc
Pen-n	Gc
CH_2 Pr-cyc	Gc
CH_2 CH_2 Py-cyc	Gc
CH_2 CH=CH_2	Gc
CH_2 CH=CHMe	Gc
CH_2 C≡CH	Gc
CH_2 C≡CMe	Gc
CH_2 CH_2 OMe	Gc
CH_2 OMe	Gc
CH_2 CH_2 SMe	Gc
CH_2 SMe	Gc
CH_2 SO_2 Me	Gc
CH_2 CH_2 SO_2 Me	Gc
CH_2 CF_3	Gc
CH_2 CN	Gc
CH_2 CH_2 CN	Gc
CH_2 NO_2	Gc
CH_2 CH_2 NO_2	Gc

Table 12 continued

R^{z1}	Gn
CH_2 COMe	Gc
CH_2 COEt	Gc
CH_2 COCH=CH_2	Gc
CH_2 CH=CHCOMe	Gc
CH_2 $CONMe_2$	Gc

The compound of the present invention can be used as a herbicide for upland fields by any treating method such as soil treatment, soil admixing treatment or foliage treatment.

The dose of the compound of the present invention varies depending upon the application site, the season for application, the manner of application, the type of weeds to be controlled, the type of crop plants, etc. However, the dose is usually within a range of from 0.0001 to 10 kg, preferably from 0.005 to 5 kg, per hectare (ha), as the amount of the active ingredient.

Further, the compound of the present invention may be combined with other herbicides, various insecticides, fungicides, plant growth regulating agents, synergism agents and safeners at the time of the preparation of the formulations or at the time of the application, as the case requires.

Particularly, by the combined application with other herbicide, it can be expected to reduce the cost due to a decrease of the dose or to enlarge the herbicidal spectrum or obtain higher herbicidal effects due to a synergistic effect of the combined herbicides. In such a case, a plurality of known herbicides may be simultaneously combined. The herbicides of the type which can be used in combination with the compound of the present invention, may, for example, be compounds disclosed in Farm Chemicals Handbook (1990).

When the compound of the present invention is to be used as a herbicide, it is usually mixed with a suitable carrier, for instance, a solid carrier such as clay, talc, bentonite, diatomaceous earth or fine silica

powder, or a liquid carrier such as water, an alcohol (such as isopropanol, butanol, benzyl alcohol or furfuryl alcohol), an aromatic hydrocarbon (such as toluene or xylene), an ether (such as anisole), a ketone (such as cyclohexanone or isophorone), an ester (such as butyl acetate), an acid amide (such as N-methylpyrrolidone), or a halogenated hydrocarbon (such as chlorobenzene). If desired, a surfactant, an emulsifier, a dispersing agent, a penetrating agent, a spreader, a thickener, an antifreezing agent, a coagulation preventing agent or a stabilizer may be added to prepare an optional formulation such as a liquid formulation, an emulsifiable concentrate, a wettable powder, a dry flowable, a flowable, a dust or a granule.

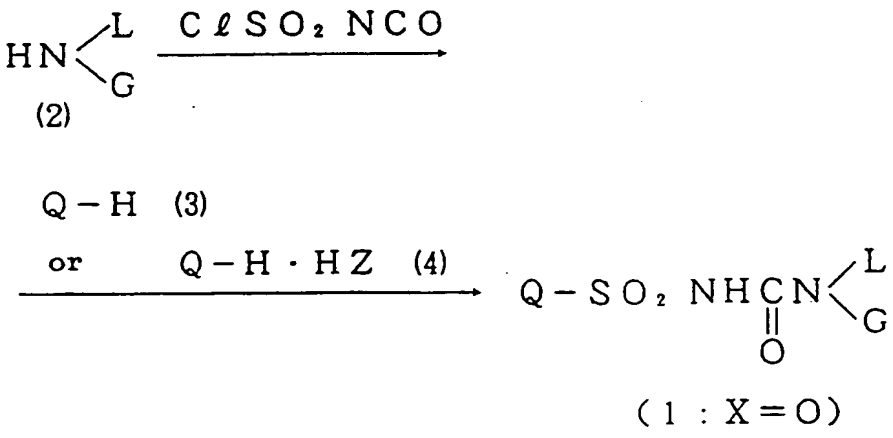
Cropland weeds to be controlled by the compound of the present invention include, for example, Solanaceae weeds such as Solanum nigrum and Datura stramonium, Malvaceae weeds such as Abutilon theophrasti and Side spinosa, Convolvulaceae weeds such as Ipomoea spps. e.g. Ipomoea purpurea, and Calystegia spps., Amaranthaceae weeds such as Amaranthus lividus and Amaranthus viridis, Compositae weeds such as Xanthium strumarium, Ambrosia artemisiaefolia, Helianthus annuu, Galinsoga ciliat, Cirsium arvense, Senecio vulgaris and Erigeron annus, Cruciferae weeds such as Rorippa indica, Sinapis arvensis and Capsella Bursapastris, Polygonaceae weeds such as Polygonum Blumei and Polygonum convolvulus, Portulacaceae weeds such as Portulaca oleracea, Chenopodiaceae weeds such as Chenopodium album, Chenopodium ficifolium and Kochia scoparis, Caryophyllaceae weeds such as Stellaria media, Scrophulariaceae weeds such as Veronica persica, Commelinaceae weeds such as Commelina communis, Labiatae weeds such as Lamium amplexicaule and Lamium purpureum, Euphorbiaceae weeds such as Euphorbia supina and Euphorbia maculata, Rubiaceae weeds such as Galium spurium, Galium aparine and Rubia akane, Violaceae weeds such as Viola arvensis, Leguminosae weeds such as Sesbania exaltata and Cassia obtusifolia, Graminaceous weeds such as Sorgham bicolor, Panicum dichotomiflorum, Sorghum halepense, Echinochloa crus-galli, Digitaria adscendens, Avena fatua, Eleusine indica, Setaria viridis and Alopecurus aegualis, and Cyperaceous weeds such as Cyperus rotundus and Cyperus esculentus.

Further, the compound of the present invention can be used as a paddy field herbicide by any treating method such as irrigated soil treatment or foliage treatment. Paddy weeds include, for example, Alismataceae weeds such as Alisma canaliculatum, Sagittaria trifolia and Sagittaria pygmaea, Cyperaceae weeds such as Cyperus difformis, Cyperus serotinus, Scirpus juncoides and Eleocharis kuroguwai, Scrothulariaceae weeds such as Lindernia pyxidaria, Potenderiaceae weeds such as Monochoria vaginalis, Potamogetonaceae weeds such as Potamogeton distinctus, Lythraceae weeds such as Rotala indica, and Gramineae weeds such as Echinochloa crus-galli.

The compound of the present invention can be applied to control various weeds not only in the agricultural and horticultural fields such as upland fields, paddy fields or orchards, but also in non-agricultural fields such as play grounds, non-used vacant fields or railway sides.

The compound of the present invention can easily be produced by selecting any one of the following reaction schemes 1 to 5.

Reaction Scheme 1

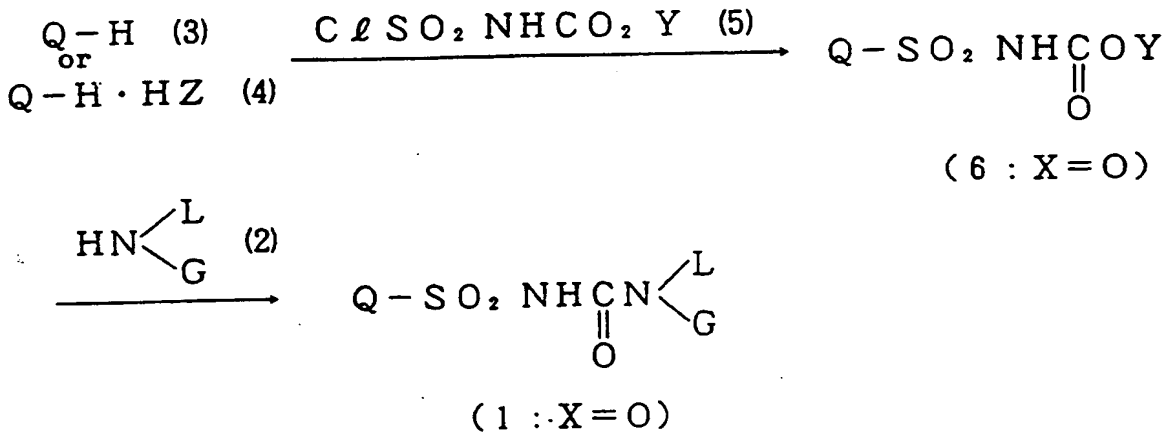


In the above formulas, Q, G and L are as defined above, and Z is a halogen atom.

Namely, the amine (2) is reacted with chlorosulfonyl isocyanate in a solvent such as tetrahydrofuran, dimethoxyethane, acetonitrile, propionitrile, dimethylformamide, dichloromethane, dichloroethane, benzene or toluene and then reacted with the imine (3) or (4) in the presence of a base such as triethylamine,

pyridine, sodium hydride, sodium methoxide, sodium ethoxide, sodium hydroxide, potassium hydroxide or potassium carbonate, to obtain the compound of the pres nt invention (1:X = O).

Reaction Scheme 2



In the above formulas, Q, G, L and Z are as defined above, and Y is a C_{1-6} alkyl group or a phenyl group.

Namely, the reaction of the imine (3) or (4) with phenyl N-chlorosulfonyl carbamate (5:Y = phenyl group) or an alkyl N-chlorosulfonyl carbamate (5:Y = lower alkyl group), is conducted by using the carbamate derivative (5) in an amount of from 0.5 to 3.0 mols, per mol of the imine (3) or (4). Preferably, the amount is within a range of from 0.9 to 1.2 mols.

The reaction temperature may be selected optionally within a range of from -50 °C to 100 °C, but it is preferably within a range of from -20 °C to 30 °C.

This reaction can be carried out by using various bases. The amount of the base is from 0.5 to 4.0 mols per mol of the imine (3) or (4).

A suitable base may, for example, be an organic base such as triethylamine or pyridine, a metal hydride such as sodium hydride, an inorganic base such as sodium hydroxide, potassium hydroxide or potassium carbonate, or a metal alkoxide such as sodium methoxide or sodium ethoxide.

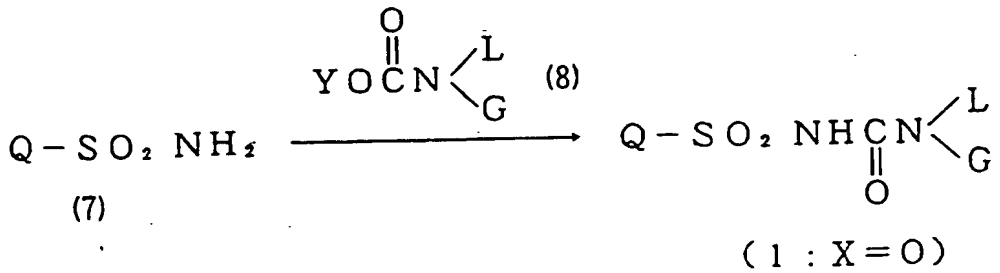
A suitable solvent for this reaction is a solvent inert to this reaction, for example, an aromatic hydrocarbon such as benzene, toluene or xylene, a halogenated hydrocarbon such as dichloromethane, chloroform or carbon tetrachloride, an ether such as ethyl ether, isopropyl ether, dioxane or tetrahydrofuran, a nitrile such as acetonitrile or propionitrile, a hydrocarbon such as petroleum ether, petroleum benzine or n-hexane, a ketone such as acetone or methyl ethyl ketone, an ester such as ethyl acetate, or an amide such as dimethylformamide, dimethylacetamide or hexamethyl phosphorous triamide.

These solvents may be used alone or in combination as a mixture.

Particularly preferred is an ether or an amide.

Then, the phenyl N-substituted iminosulfonyl carbamate (6:X = O, Y = phenyl group) or the alkyl N-substituted iminosulfonyl carbamate (6:X = O, Y = lower alkyl group) and the compound (2) are heated in a solvent such as benzene, toluene or dioxane to obtain the compound of the present invention (1:X = O).

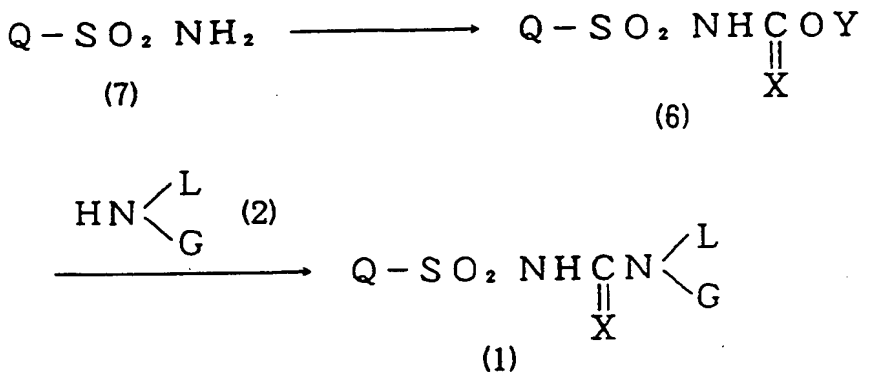
Reaction Scheme 3



In the above formulas, Q, G, L and Y are as defined above.

Namely, the substituted iminosulfonamide derivative (7) is reacted with the carbamate derivative (8) in a solvent such as acetone, acetonitrile or dioxane in the pres nce of an inorganic base such as potassium carbonate or an organic base such as triethylamine or 1,8-diazabicyclo[5.4.0]-7-undecene (DBU) to obtain the compound of the present invention (1:X = O).

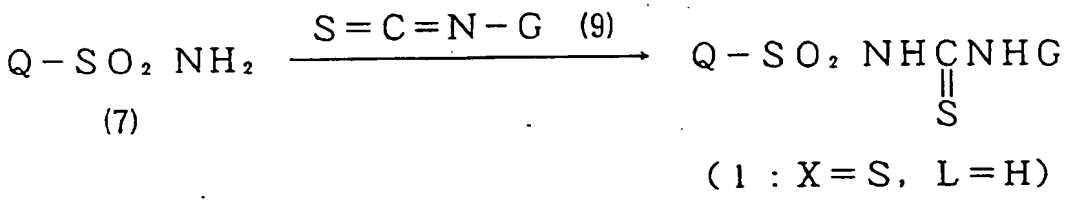
Reaction Scheme 4



In the above formulas, Q, G, L, X and Y are as defined above.

Namely, the substituted iminosulfonamide derivative (7) is reacted with chloroformic acid (thio)ester or carbonic acid (thio)ester in a solvent such as acetone, methyl ethyl ketone, acetonitrile, dioxane or tetrahydrofuran in the presence of a base such as potassium carbonate, triethylamine or pyridine to obtain the compound (6), which is then heated together with the compound (2) in a solvent such as toluene, benzene or dioxane to obtain the compound of the present invention (1).

Reaction Scheme 5



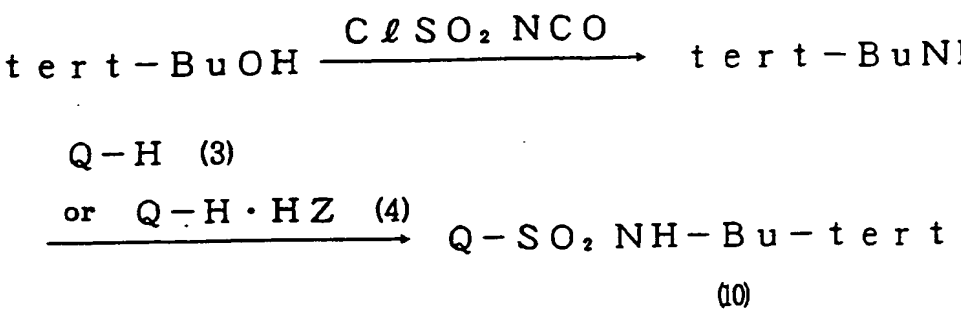
In the above formulas, Q and G are as defined above.

Namely, the substituted iminosulfonamide derivative (7) is reacted with the isothiocyanate derivative (9) in a solvent such as acetone, acetonitrile, dioxane or tetrahydrofuran in the presence of an inorganic base such as potassium carbonate or an organic base such as triethylamine or DBU, to obtain the compound of the present invention (1:X = S, L = H).

The intermediates to be used in the present invention, i.e. the substituted iminosulfonamide derivative (7), the phenyl N-substituted iminosulfonyl(thio)carbamate (6:Y = phenyl group) and the alkyl N-substituted iminosulfonyl(thio)carbamate (6: Y = C_{1-6} alkyl group) are also novel compounds.

The substituted iminosulfonamide derivative (7) can be synthesized from an imine (3) or (4) by the methods of the following Reaction Schemes 6 and 7.

Reaction Schem 6



In the above formulas, Q and Z are as defined above.

In the Reaction Scheme 6, the reaction of tert-butanol with chlorosulfonyl isocyanate can be conducted by a method per se known, for example, in accordance with Japanese unexamined Patent Publication No. 101323/1975.

The reaction of the imine (3) or (4) with tert-butylsulfamoyl chloride is carried out by using tert-butylsulfamoyl chloride in an amount of from 0.5 to 3.0 mols per mol of the imine (3) or (4). Preferably the amount is within a range of from 0.9 to 1.2 mols.

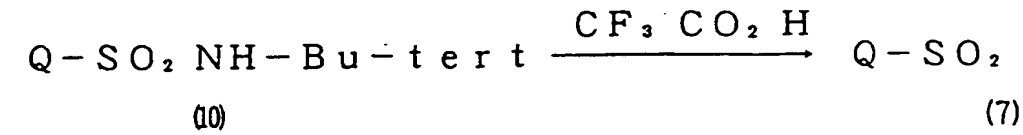
The reaction temperature may be selected optionally within a range of from -50 °C to 100 °C. However, the temperature is preferably within a range of from -20 °C to 30 °C.

This reaction can be conducted by using various bases. The amount of the base is from 0.5 to 4.0 mols per mol of the imine (3) or (4). Preferably, the amount is within a range of from 0.8 to 2.5 mols. A suitable base may, for example, be a metal hydride such as sodium hydride, an organic base such as triethylamine or pyridine, an inorganic base such as sodium hydroxide, potassium hydroxide or potassium carbonate, or a metal alkoxide such as sodium methoxide or sodium ethoxide.

A suitable solvent for the reaction is a solvent inert to this reaction, for example, an aromatic hydrocarbon such as benzene, toluene or xylene, a halogenated hydrocarbon such as dichloromethane, chloroform or carbon tetrachloride, an ether such as ethyl ether, isopropyl ether, dioxane or tetrahydrofuran, a nitrile such as acetonitrile or propionitrile, a hydrocarbon such as petroleum ether, petroleum benzine or n-hexane, a ketone such as acetone or methyl ethyl ketone, an ester such as ethyl acetate, or an amide such as dimethylformamide, dimethylacetamide or hexamethylphosphorous triamide.

These solvents may be used alone or in combination as a mixture. Particularly preferred is an ether or an amide.

Reaction Scheme 7



In the above formulas, Q is as defined above.

In the Reaction Scheme 7, removal of the tert-butyl group is carried out by using trifluoroacetic acid.

The amount of trifluoroacetic acid may be selected optionally within a range of an equimolar amount to an excess amount. Trifluoroacetic acid may be used as a solvent without any particular problem.

The reaction temperature may be selected optionally within a range of from -50 °C to 80 °C. The temperature is preferably within a range of from -20 °C to 30 °C.

When a solvent is used for this reaction, a solvent inert to this reaction, for example, an aromatic hydrocarbon such as benzene, toluene or xylene, a halogenated hydrocarbon such as dichloromethane, chloroform or carbon tetrachloride, an ether such as ethyl ether, isopropyl ether, dioxane or tetrahydrofuran, a nitrile such as acetonitrile or propionitrile, a hydrocarbon such as petroleum ether, petroleum benzine or

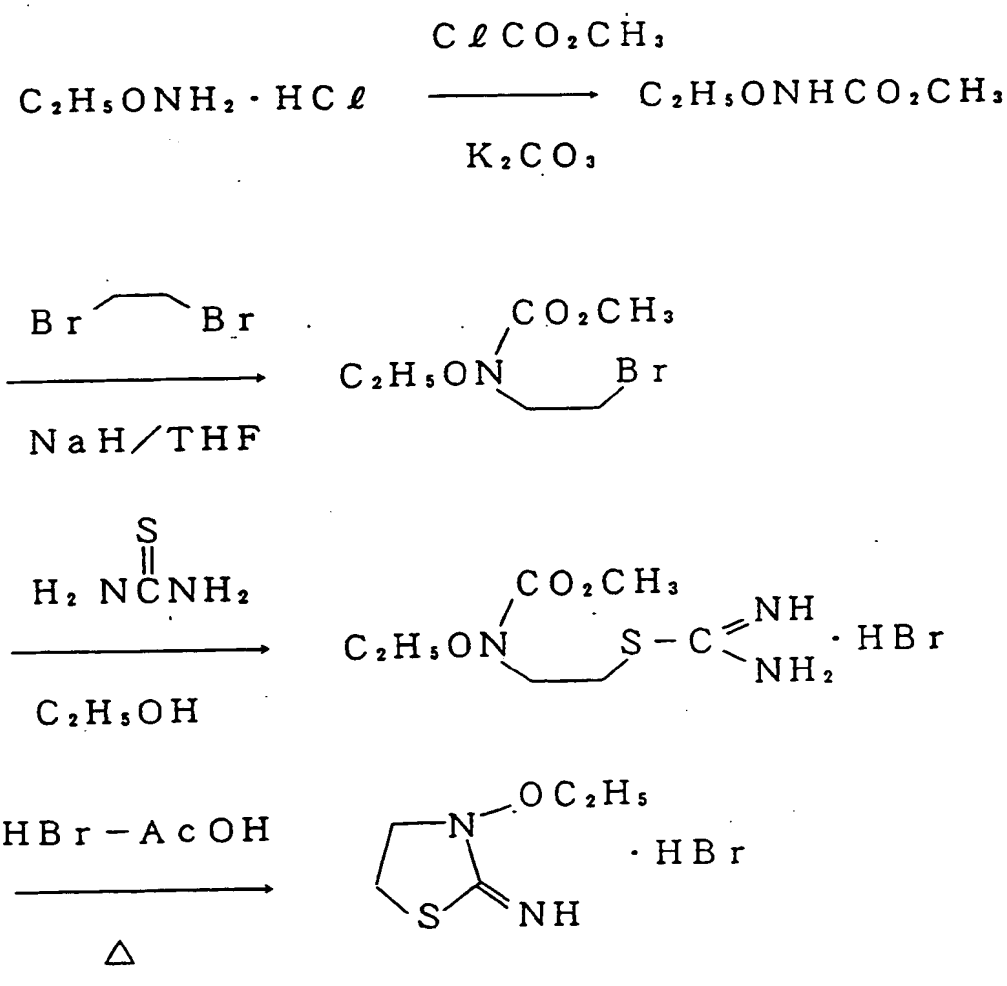
n-hexane, a ketone such as acetone or methyl ethyl ketone, an ester such as ethyl acetate, or an amide such as dimethylformamide, dimethylacetamide or hexamethylphosphorous triamide, may be used. These solvents may be used alone or in combination as a mixture.

In Reaction Scheme 2, the phenyl N-chlorosulfonyl carbamate (5:Y = phenyl group) and the alkyl N-chlorosulfonyl carbamate (5:Y = lower alkyl group) can be synthesized by a method known per se, for example, in accordance with Chemische Berichte, vol. 96, p. 56 (1963).

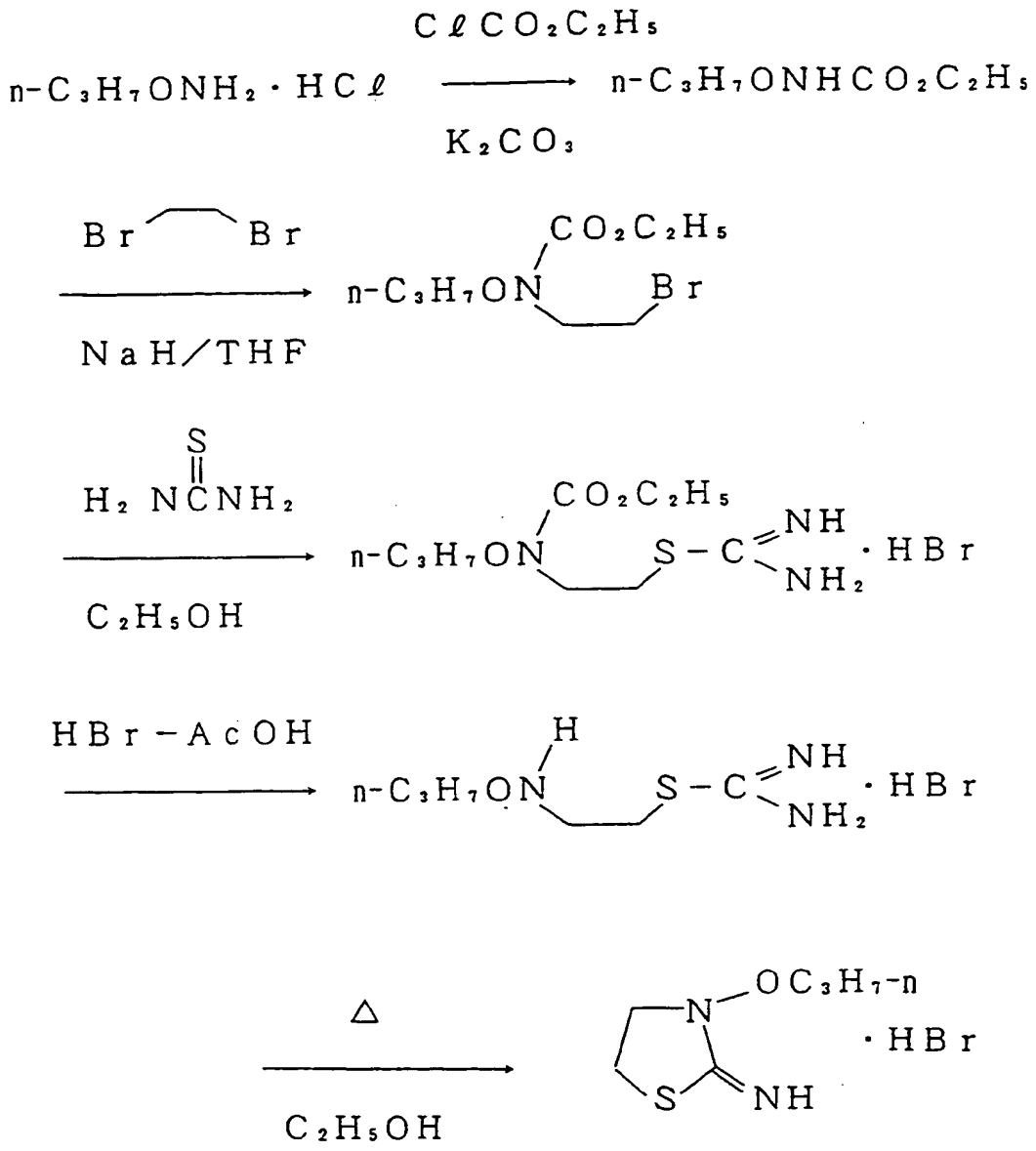
The imines (3) and (4) to be used as the starting materials for the above reaction, can be synthesized, for example, in accordance with U.S. Patent 4,237,302, Journal of Chemical Society, p. 307 (1956), Chemical and Pharmaceutical Bulletin, vol. 26, p. 3658 (1978), Journal of Organic Chemistry, vol. 30, p. 4298 (1965), East German Patent 291,757, Journal of American Chemical Society, vol. 93, p. 5552 (1971), U.S. Patent 4,054,652, British Patent 752,003, Chemische Berichte, vol. 92, p. 1928 (1959), Journal of Medicinal Chemistry, vol. 6, p. 266 (1963), Chemical Abstracts, vol. 64, 14171e (1966), and Belgian Patent 654,416.

As representative examples, synthetic schemes for 2-imino-3-ethoxythiazolidine hydrobromide, 2-imino-3-n-propoxythiazolidine hydrobromide and 2-imino-3-methoxy-4,5-dimethylthiazoline hydrochloride will be shown as Reaction Schemes 8, 9 and 10.

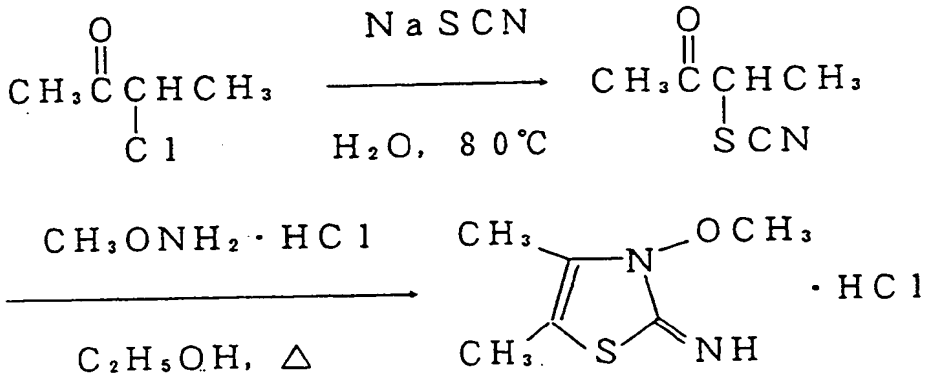
Reaction Scheme 8



Reaction Scheme 9



Reaction Scheme 10

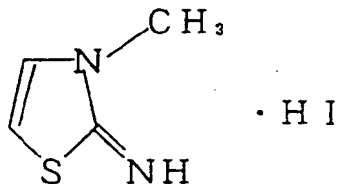


THE BEST MODE FOR CARRYING OUT THE INVENTION

Now, syntheses of the compounds of the present invention will be described in detail as Reference Examples and working Examples. However, the present invention is by no means restricted to such specific Examples.

REFERENCE EXAMPLE a-1

Preparation of 2-imino-3-methylthiazol-4-ine hydroiodide



In 125 ml of dimethylformamide, 50 g (0.5 mol) of 2-aminothiazole was dissolved, and 90 g (0.63 mol) of methyl iodide was added thereto at room temperature. The mixture was further stirred at room temperature for 48 hours. Then, 1000 ml of ethyl acetate was added to the reaction mixture. Formed crystals were collected by filtration, washed with ethyl acetate and then dried to obtain 105 g of 2-imino-3-methylthiazol-4-ine hydroiodide.

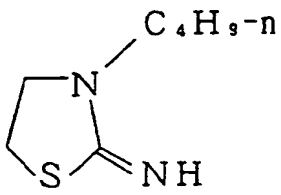
Melting point: 181 - 183 °C

The 2-imino-3-methylthiazol-4-ine hydroiodide was neutralized with potassium carbonate to obtain 2-imino-3-methylthiazol-4-ine.

Boiling point 55 - 60 °C/1mmHg

REFERENCE EXAMPLE a-2

Preparation of 2-imino-3-n-butylthiazolidine

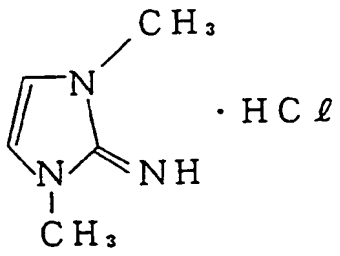


In 25 ml of dimethylformamide, 8.2 g (80 mmol) of 2-amino-2-thiazolidine was dissolved, and 18.4 g (100 mmol) of n-butyl iodide was added thereto at room temperature. The reaction mixture was heated and stirred at 60 °C for 10 hours and then left to cool to room temperature. The reaction mixture was added to 300 ml of ethyl acetate, and the mixture was stirred at room temperature for 10 minutes. A formed oily substance was separated by decantation from the ethyl acetate solution, and the same operation was repeated twice. Then, ethyl acetate contained in the oily substance was distilled off under reduced pressure to obtain 2-imino-3-n-butylthiazolidine hydroiodide as a crude product.

Then, the 2-imino-3-n-butylthiazolidine hydroiodide was stirred together with 5.28 g (80 mmol) of 85% potassium hydroxide in 300 ml of methanol at room temperature for one hour. Methanol was distilled off under reduced pressure. Then, 200 ml of chloroform was added to the residue, and precipitated insoluble matters were removed by filtration. Chloroform was distilled off under reduced pressure, and 6.9 g of 2-imino-3-n-butylthiazolidine was obtained by distillation under reduced pressure.
Boiling point: 85 - 89 °C/0.26 torr

REFERENCE EXAMPLE a-3

Preparation of 2-imino-1,3-dimethylimidazol-4-ine hydrochloride



16.9 g (150 mmol) of creatinine was dissolved in 100 ml of N,N-dimethylformamide. Then, 27.6 g (194 mmol) of methyl iodide was added thereto, and the mixture was heated to 50 °C and stirred at that temperature for 2 hours and further at room temperature overnight. To the reaction mixture, 500 ml of ethyl acetate was added, and crystals were collected by filtration. The obtained crystals were washed with ethyl acetate and dried to obtain 26.2 g of 2-imino-1,3-dimethylimidazolidin-4-one hydroiodide as white crystals.

Then, to 150 ml of a methanol solution containing 5.17 g (78.4 mmol) of 85% potassium hydroxide, 20 g (78.4 mmol) of 2-imino-1,3-dimethylimidazolidin-4-one hydroiodide was added, and the mixture was stirred at room temperature for 20 minutes. The solvent was distilled off under reduced pressure. Then, to the residue, 200 ml of chloroform was added, and insoluble matters were filtered off. The filtrate was dried over anhydrous magnesium sulfate, and the solvent was distilled off under reduced pressure to obtain 9.0 g of 2-imino-1,3-dimethylimidazolidin-4-one.

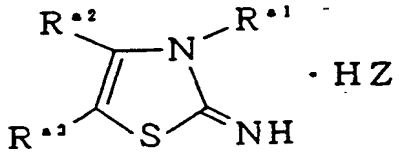
800 mg (21.0 mmol) of lithium aluminum hydride was suspended in 20 ml of dry tetrahydrofuran, and 200 ml of a dry tetrahydrofuran solution containing 1.5 g (11.8 mmol) of 2-imino-1,3-dimethylimidazolidin-4-one, was added thereto at room temperature. The mixture was stirred at the same temperature overnight.

Then, to the reaction mixture, 10 ml of ethyl acetate and then 5 ml of water wer carefully added, and insoluble matters were filt red off. Th filtrate was adjusted to pH 3 with concentrated hydrochloric acid, and then the solvent was distilled off under reduced pressure. Obtained crystals were washed with a solvent mixture of ethyl ether and ethanol to obtain 1.2 g of 2-imino-1,3-dimethylimidazol-4-ine hydrochloride.

Melting point: 168 - 171 °C

The structures and the physical property values or characteristics of the compounds prepared by the same methods as the above Reference Examples a-1 to a-3 are presented in Tables 13a-1, 13a-2 and 13a-3.

Table 13a-1



R^{a1}	R^{a2}	R^{a3}	HZ	Physical property values or characteristics
C_2H_5	H	H	HI	m.p. 113−114 °C
C_3H_7-n	H	H	HI	m.p. 99−101 °C
CH_2Ph	H	H	HBr	m.p. 153−155 °C
$CH_2CO_2CH_3$	H	H	HBr	m.p. 174−177 °C
$CH_2CH=CH_2$	H	H	HI	m.p. 113−116 °C
$CH_2C\equiv CH$	H	H	HBr	m.p. 148−153 °C
$CH_2CO_2C_2H_5$	H	H	HBr	m.p. 166−167 °C
$CH(CH_3)CO_2C_2H_5$	H	H	HBr	m.p. 138−141 °C
CH_2COCH_3	H	H	HBr	m.p. 139−141 °C
CH_3	CH_3	H	HI	m.p. 157−160 °C
CH_3	CH_3	CH_3	HI	m.p. 208−210 °C
C_3H_7-n	CH_3	H	HI	m.p. 166−168 °C
C_4H_9-n	H	H	HI	m.p. 55− 58 °C
C_5H_{11}-n	H	H	—	b.p. 97−100 °C /0.9 torr
$CH_2CH=CHCH_3$	H	H	HBr	m.p. 127−128 °C

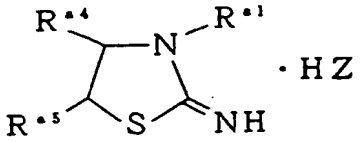
Table 13a-1 continued

R^{a1}	R^{a2}	R^{a3}	HZ	Physical property values or characteristics
$CH_2CH=CHPh$	H	H	HBr	m.p. 125−129 °C
$CH_2CH_2OCH_3$	H	H	HBr	m.p. 141−142 °C
C_3H_7-n	CH_3	CH_3	HI	m.p. 135−138 °C
CH_3	Ph	H	HI	m.p. 235−238 °C
CH_3	H	Cl	HI	m.p. 225−228 °C
CH_2COPh	H	H	HBr	m.p. 207−208 °C
CH_2OCH_2Ph	H	H	HCl	m.p. 99−104 °C
CH_3	H	Br	HI	m.p. 223−225 °C
C_3H_7-n	H	Cl	HI	m.p. 182−184 °C
$CH(CH_3)_2$	H	H	—	b.p. 75−78 °C /0.3 torr
CH_2CN	H	H	HBr	m.p. 154−155 °C
$CH_2CH(CH_3)_2$	H	H	—	b.p. 78−81 °C /0.3 torr
C_6H_{13}-n	H	H	—	b.p. 110−112 °C /0.45torr
$CH(CH_3)C_2H_5$	H	H	—	b.p. 83−90 °C /0.4 torr
CH_2OCH_3	H	H	—	b.p. 80−81 °C /0.5 torr
$CH_2C(Cl)=CH_2$	H	H	HCl	m.p. 155−159 °C
$CH_2CH=CHCl$	H	H	HCl	m.p. 60−66 °C
CH_2SCH_3	H	H	—	b.p. 82−85 °C /0.6 torr
$CH_2C(CH_3)=CH_2$	H	H	HCl	m.p. 114−118 °C
$CH_2CH=C(CH_3)_2$	H	H	—	b.p. 90−102 °C /0.3 torr
CH_3	H	CH_3	HI	m.p. 179−181 °C
C_3H_7-n	H	CH_3	HI	m.p. 152−154 °C
CH_3	H	OCH_3	HI	m.p. 158−160 °C
CH_2Ph-OMe-p	H	H	HCl	m.p. 163−165 °C
CH_2Ph-Cl-p	H	H	HCl	m.p. 157−159 °C
$CH_2C(Br)=CH_2$	H	H	HBr	m.p. 165−168 °C

Table 13a-1 continued

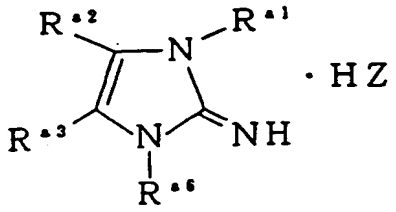
R^{a1}	R^{a2}	R^{a3}	HZ	Physical property values or characteristics
CH_2CH_2Ph	H	H	HBr	m.p. 144−145 °C
$CH_2OC_2H_5$	H	H	HCl	m.p. 95−97 °C
$CH_2C{\equiv}CCH_3$	H	H	HBr	m.p. 167−169 °C

Table 13a-2



R^{a1}	R^{a4}	R^{a5}	HZ	Physical property values or characteristics
CH_3	H	H	HI	m.p. 147−150 °C
C_3H_7-n	H	H	HI	m.p. 119−123 °C
$CH_2CH{=}CH_2$	H	H	HI	m.p. 111−116 °C
$CH_2C{\equiv}CH$	H	H	HBr	m.p. 118−122 °C
C_2H_5	H	H	—	b.p. 78−83 °C /0.9 torr
CH_2COCH_3	H	H	HBr	m.p. 233−237 °C
C_5H_{11}-n	H	H	—	b.p. 95−96 °C /0.25torr
$CH_2CH{=}CHCH_3$	H	H	—	b.p. 85−90 °C /0.2 torr
C_6H_{13}-n	H	H	—	b.p. 109−110 °C /0.4 torr
CH_3	H	CH_3	—	Pale yellow oil
C_3H_7-n	H	CH_3	—	Pale yellow oil
CH_2OCH_3	H	H	HCl	White solid
$CH_2C(Cl){=}CH_2$	H	H	HCl	m.p. 150−155 °C
$CH_2CH{=}CHCl$	H	H	HCl	m.p. 131−133 °C
Ph	H	H	HCl	m.p. 224−226 °C

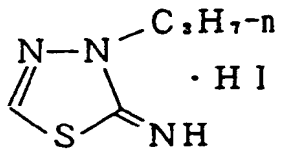
Tabl 13a-3



R^{a1}	R^{a2}	R^{a3}	R^{a6}	HZ	Physical property values or characteristics
C_2H_5	H	H	CH_3	HCl	Pale yellow solid
C_3H_7-n	H	H	CH_3	HCl	Pale yellow solid

REFERENCE EXAMPLE b-1

Preparation of 2-imino-3-n-propylthiadiazol-4-ine hydroiodide

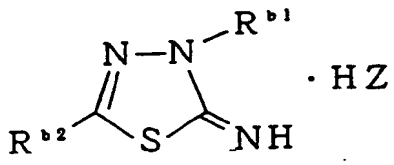


In 40 ml of dimethylformamide, 8.1 g (80 mmol) of 2-aminothiadiazole was dissolved, and 17.0 g (100 mmol) of n-propyl iodide was added thereto at room temperature. The mixture was heated at 60 °C for 30 minutes and then left to cool, and then it was stirred at room temperature for 24 hours. Then, to the reaction mixture, 500 ml of ethyl acetate was added. Formed crystals were collected by filtration, washed with ethyl acetate and then dried to obtain 13.1 g of desired 2-imino-3-n-propylthiadiazol-4-ine hydroiodide.

Melting point: 121 - 124 °C

The structures and the physical property values of the compounds prepared by the same method as in the above Reference Example b-1 are presented in Table 13b.

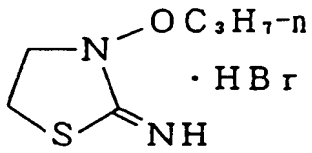
Table 13b



R^{b1}	R^{b2}	HZ	Physical property values or characteristics
CH_3	H	HI	m.p. 221−227 °C
$CH_2CH=CH_2$	H	HBr	m.p. 131−132 °C
$CH_2C\equiv CH$	H	HBr	m.p. 114−117 °C
CH_2COCH_3	H	HBr	m.p. 198−200 °C
CH_3	CH_3	HI	m.p. 117−121 °C

REFERENCE EXAMPLE c-1

Preparation of 2-imino-3-n-propoxythiazolidine hydrobromide



22 g (197 mmol) of n-propoxyamine hydrochloride was dissolved in 100 ml of water, and 200 ml of ethylene dichloride was added thereto. Then, 27.2 g (197 mmol) of potassium carbonate was added in several times under cooling, and then 21.3 g (196 mmol) of ethyl chloroformate was dropwise added thereto at a temperature of not higher than 10 °C. After raising the temperature to room temperature, the mixture was further stirred at the same temperature for 4 hours. The ethylene dichloride layer was separated, and then the aqueous layer was extracted twice with 100 ml of chloroform. The ethylene dichloride layer and the chloroform layer were put together, and washed with a saturated sodium chloride aqueous solution, and then dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. 27 g of ethyl N-n-propoxycarbamate was obtained by distillation under reduced pressure.
Boiling point: 88 °C/2.5 mmHg

8.73 g (218 mmol) of 60% sodium hydride was suspended in 200 ml of dry tetrahydrofuran, and 50 ml of a dry tetrahydrofuran solution containing 26.7 g (182 mmol) of ethyl N-n-propoxycarbamate, was dropwise added thereto under cooling with ice at a temperature of not higher than 10 °C. After raising the temperature to room temperature, the mixture was stirred at the same temperature for 20 minutes and again cooled with ice. Then, 121.4 g (646 mmol) of 1,2-dibromoethane was added all at once. The temperature was gradually raised and then the mixture was refluxed under heating for 2 hours. the mixture was left to cool to room temperature, and the solvent was partially distilled off under reduced pressure. The residue was poured into 100 ml of ice water and extracted three times with 100 ml of chloroform. The chloroform layer was washed with a saturated sodium chloride aqueous solution and then dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure, and th n 42.0 g of ethyl N-(2-bromoethyl)-N-n-propoxycarbamate was obtained by distillation under reduced pressure.
Boiling point: 97 °C/0.4 mmHg

A mixture comprising 41.7 g (164 mmol) of ethyl N-(2-bromoethyl)-N-n-propoxycarbamate, 16.2 g (213 mmol) of thiourea and 200 ml of ethanol, was refluxed under heating for 5 hours. The mixture was left to cool, and then the solvent was distilled off under reduced pressure. Then, 300 ml of chloroform was added to the r_sidue, and the mixture was stirred at room temperature for 10 minutes. After removing insoluble matters by filtration, chloroform was distilled off under reduced pressure. To the residue, ethyl ether and a small amount of water were added for crystallization. Then, the crystals were collected by filtration to obtain 50 g of S-[2-(N-ethoxycarbonyl-N-n-propoxy)aminoethyl]-isothiuronium hydrobromide.

Melting point: 74 - 76 °C

5.0 g (15.2 mmol) of S-[2-(N-ethoxycarbonyl-N-n-propoxy)aminoethyl]isothiuronium hydrobromide and 0.27 g (15.0 mmol) of water were added to 30 ml of a 30% hydrogen bromide/acetic acid solution, and the mixture was heated and stirred at 55 °C for 4 hours. The mixture was left to cool, and acetic acid was distilled off under reduced pressure. To the residue, ethyl ether and a small amount of ethanol were added for crystallization. The crystals were collected by filtration to obtain 3.8 g of S-[2-(N-n-propoxy)aminoethyl]-isothiuronium hydrobromide.

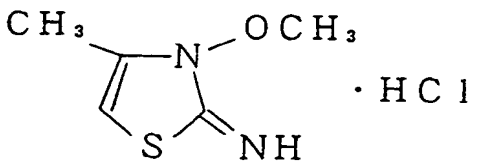
Melting point: 112 - 113 °C

3.8 g (14.7 mmol) of S-[2-(N-n-propoxy)aminoethyl]-isothiuronium hydrobromide was added to 60 ml of ethanol, and the mixture was refluxed under heating for 3 hours. The mixture was left to cool, and then ethanol was distilled off under reduced pressure. To the residue, ethyl ether and a small amount of ethanol were added for crystallization. The crystals were collected by filtration to obtain 3.2 g of 2-imino-3-n-propoxythiazolidine hydrobromide.

Melting point: 117 - 119 °C

REFERENCE EXAMPLE c-2

Preparation of 2-imino-3-methoxy-4-methylthiazol-4-ine hydrochloride



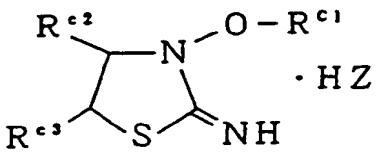
5.67 g (70 mmol) of sodium thiocyanate was dissolved in 12 ml of water, and the solution was heated to 80 °C. 5.55 g (60 mmol) of chloroacetone was dropwise added thereto over a period of one hour, and the mixture was stirred at the same temperature for 3 hours. The mixture was cooled to room temperature, and then 60 ml of ethyl ether was added thereto. The aqueous layer was separated and removed. The ethyl ether layer was washed twice with 10 ml of water, and then the solvent was distilled off under reduced pressure to obtain 6.0 g of thiocyanoacetone.

2.30 g (20 mmol) of thiocyanoacetone and 1.67 g (20 mmol) of methoxyamine hydrochloride were dissolved in 10 ml of ethanol, and the solution was refluxed under heating for 5 hours. Ethanol was distilled off under reduced pressure. Then, to the obtained residue, 50 ml of ethyl acetate was added. Precipitated crystals were collected by filtration to obtain 3.34 g of 2-imino-3-methoxy-4-methylthiazol-4-ine hydrochloride.

Melting point: 145 - 155 °C (decomposed)

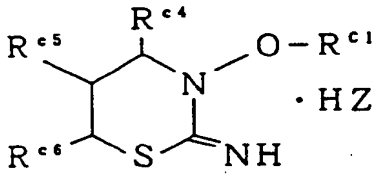
The structures and the physical property values of the compounds prepared by the same methods as in Reference Examples c-1 and c-2 are presented in Tables 13c-1, 13c-2 and 13c-3.

Table 13c-1



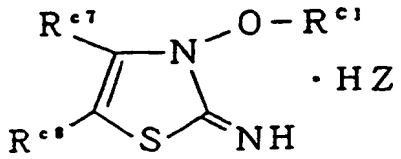
R^{c1}	R^{c2}	R^{c3}	HZ	Physical property values or characteristics
C_2H_5	H	H	HBr	m.p. 175−176 °C
$CH(CH_3)_2$	H	H	HBr	m.p. 150−152 °C
CH_3	H	H	HBr	Pale yellow glassy
C_4H_9-n	H	H	HBr	m.p. 97−98°C
$CH_2C{\equiv}CH$	H	H	HBr	m.p. 139−140 °C
$CH_2CH{=}CH_2$	H	H	HBr	m.p. 139−140 °C
$CH_2CH{=}CHCl$	H	H	HBr	m.p. 145−150 °C
$CH_2CH(CH_3)_2$	H	H	HBr	m.p. 110−111 °C
C_5H_{11}-n	H	H	HBr	Oil
$CH_2C(Cl){=}CH_2$	H	H	HBr	m.p. 169−170 °C
CH_2Ph	H	H	HBr	m.p. 167−169 °C

Table 13c-2



R^{c1}	R^{c4}	R^{c5}	R^{c6}	HZ	Physical property values or characteristics
C_2H_5	H	H	H	HBr	m.p. 209−210 °C

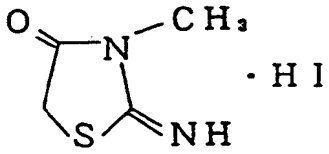
Table 13c-3



R^{c1}	R^{c7}	R^{c8}	HZ	Physical property values or characteristics
CH_3	CH_3	CH_3	HCl	m.p. 150−155 °C (decomposed)

REFERENCE EXAMPLE d-1

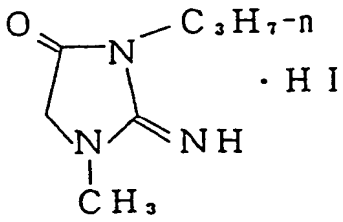
Preparation of 3-methyl-2-iminothiazolidin-4-one hydroiodide



11.6 g (0.1 mol) of pseudothiohydantoin was suspended in 150 ml of dimethylformamide, and 17 g (0.12 mol) of methyl iodide was added thereto. Then, the mixture was stirred at 60 °C for one hour. After being left to cool, the reaction mixture was poured into 1000 ml of ethyl acetate, and precipitated crystals were collected by filtration to obtain 15 g of 3-methyl-2-iminothiazolidin-4-one hydroiodide as pale yellow crystals. Melting point: 237 - 238 °C

REFERENCE EXAMPLE d-2

Preparation of 1-methyl-3-n-propyl-2-iminoimidazolidin-4-one hydroiodide



9.04 g (80 mmol) of creatinine was suspended in 50 ml of dimethylformamide, and 17.0 g (100 mmol) of n-propyl iodide was added thereto. Then, the mixture was heated and stirred within a range of from 70 °C to 80 °C until creatinine was completely dissolved. The mixture was left to cool, and 500 ml of ethyl acetate was added thereto. Precipitated crystals were collected by filtration to obtain 10.6 g of 1-methyl-3-n-propyl-2-iminoimidazolidin-4-one hydroiodide.

Melting point: 159 - 161 °C

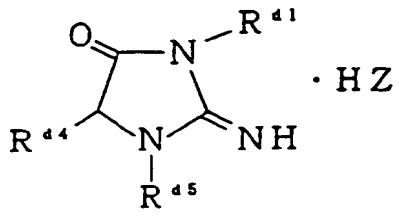
The 1-methyl-3-n-propyl-2-iminoimidazolidin-4-one hydroiodide was neutralized in accordance with the

following method to obtain 1-methyl-3-n-propyl-2-iminoimidazolidin-4-one.

2.83 g (10 mmol) of the 1-methyl-3-n-propyl-2-iminoimidazolidin-4-on hydroiodide was added to 25 ml of methanol containing 0.66 g (10 mmol) of 85% potassium hydroxide, and the mixture was stirred at room temp rature for one hour. Methanol was distilled off under reduced pressure, and chloroform was added to the residue. Precipitated crystals were removed by filtration. Chloroform was distilled off under reduced pressure to obtain 1.16 g of 1-methyl-3-n-propyl-2-iminoimidazolidin-4-one as an oily substance.

The structures and the physical property values of the compounds prepared by the same methods as in Reference Examples d-1 and d-2, are presented in Table 13d.

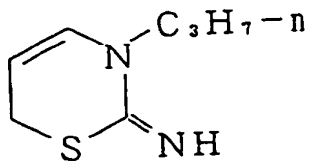
Table 13d



R^{d1}	R^{d4}	R^{d5}	HZ	Physical property values or characteristics
CH_3	H	CH_3	HI	m. p. 215−216 °C
C_2H_5	H	CH_3	HI	m. p. 220−222 °C
$CH_2CH=CH_2$	H	CH_3	HBr	m. p. 117−119 °C
$CH_2C\equiv CH$	H	CH_3	HBr	m. p. 228−230 °C
CH_2COCH_3	H	CH_3	HBr	m. p. 188−190 °C
$CH_2CO_2CH_3$	H	CH_3	HBr	m. p. 201−203 °C
CH_2OCH_3	H	CH_3	HCl	m. p. 198−199 °C
CH_2SCH_3	H	CH_3	HCl	m. p. 200−210 °C (decomposed)

REFERENCE EXAMPLE e-1

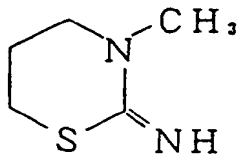
Preparation of 3,6-dihydro-3-n-propyl-2H-1,3-thiazin-2-imine



1.3 g (11.4 mmol) of 2-amino-6H-1,3-thiazine was dissolved in 4 ml of dimethylformamide, and 2.4 g (14.1 mmol) of n-propyl iodide was added thereto. The mixture was heated at 50 • C for one hour and then stirred at room temperature overnight. To the reaction solution, 100 ml of ethyl acetate was added, and the mixture was stirred and then left to stand still. Then, the ethyl acetate layer was separated and removed by decantation. Then, the residual oily substance was dissolved in 50 ml of methanol, and 30 ml of a methanol solution containing 0.75 g (11.4 mmol) of 85% potassium hydroxide, was added thereto at room temperature. The mixture was further stirred at the same temperature for one hour, and then methanol was distilled off under reduced pressure. To the residue, 60 ml of chloroform was added, and insoluble matters were removed by filtration. Then, the filtrate was concentrated under reduced pressure. The residual oily substance was purified by alumina column chromatography (eluent: chloroform) to obtain 0.4 g of 3,6-dihydro-3-n-propyl-2H-1,3-thiazin-2-imine as an oily substance.

REFERENCE EXAMPLE 2-e

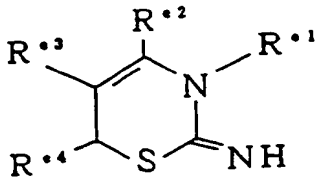
Preparation of 3,4,5,6-tetrahydro-3-methyl-2H-1,3-thiazin-2-imine



3.13 g (27 mmol) of 2-amino-4,5-dihydro-6H-1,3-thiazine was dissolved in 20 ml of isopropyl alcohol, and 4.26 g (30 mmol) of methyl iodide was added thereto. The mixture was refluxed under heating for one hour, and then left to cool. The solvent was distilled off under reduced pressure. Then, the residual oily substance was dissolved in 200 ml of methanol, and a 70 ml of a methanol solution containing 1.68 g (25.5 mmol) of 85% potassium hydroxide, was added thereto at room temperature. The mixture was stirred at the same temperature for 5 minutes, and then methanol was distilled off under reduced pressure. To the residue, 300 ml of chloroform was added and then dried over anhydrous sodium sulfate. Inorganic substances were removed by filtration, and then chloroform was distilled off under reduced pressure to obtain 3 g of 3,4,5,6-tetrahydro-3-methyl-2H-1,3-thiazin-2-imine as a pale red oily substance.

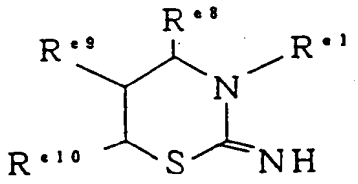
The structures and the characteristics of the compounds prepared by the same methods as in Reference Examples e-1 and e-2, are presented in Tables 13e-1 and 13e-2.

Table 13e-1



R^{e1}	R^{e2}	R^{e3}	R^{e4}	Physical property values or characteristics
CH_3	H	H	H	Oil
CH_2OCH_3	H	H	H	Oil

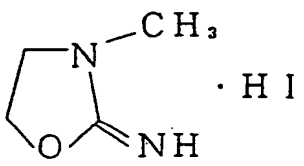
Table 13e-2



R^{e1}	R^{e8}	R^{e9}	R^{e10}	Physical property values or characteristics
C_3H_7-n	H	H	H	Oil

REFERENCE EXAMPLE f-1

Preparation of 2-imino-3-methyloxazolidine hydroiodide



15 g (122 mmol) of 2-amino-2-oxazoline hydrochloride was stirred with 8.4 g (128 mmol) of 85% potassium hydroxide in 400 ml of methanol at room temperature for one hour. Methanol was distilled off under reduced pressure, and then 500 ml of chloroform was added. Precipitated insoluble substances were removed by filtration. Chloroform was distilled off under reduced pressure to obtain 10.5 g of 2-amino-2-

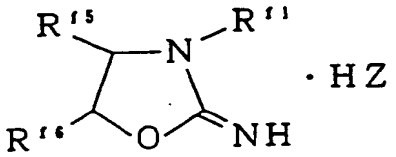
oxazoline.

Then, 10.5 g of 2-amino-2-oxazoline was dissolved in 40 ml of dimethylformamide, and 22 g (155 mmol) of methyl iodide was added thereto at room temperature. The mixture was further stirred at room temperature for 48 hours. Then, 1000 ml of thyl acetate was added to the reaction mixture. Formed crystals were collected by filtration, washed with ethyl acetate and then dried to obtain 23 g of 2-imino-3-methyloxazolidine hydroiodide.

Melting point: 165 - 169 °C

The structures and characteristics of the compounds prepared by the same method as in Reference Example f-1 are presented in Table 13f.

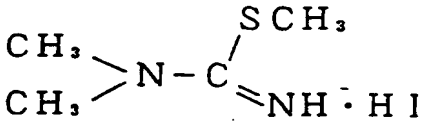
Table 13f



R^{f1}	R^{f5}	R^{f6}	HZ	Physical property values or characteristics
$CH_2CH=CH_2$	H	H	HBr	Glassy

REFERENCE EXAMPLE g-1

Preparation of N,N-dimethyl-S-methylisothiourea hydroiodide



35 g (0.5 mol) of N,N-dimethylcyanamide was dissolved in a mixed solution of 70 ml of pyridine and 70 ml of triethylamine, and the solution was heated to 60 °C. Hydrogen sulfide gas was introduced thereinto for 30 minutes. Then, the reaction mixture was left to cool to room temperature, and 300 ml of ethyl ether was added thereto. Precipitated crystals were collected by filtration and then washed with ethyl ether to obtain 48 g of N,N-dimethylthiourea as pale brown crystals.

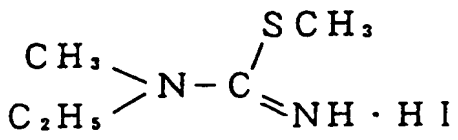
Melting point: 163 - 164 °C.

10.4 g (0.1 mol) of N,N-dimethylthiourea was suspended in 80 ml of ethanol, and 17 g (0.12 mol) of methyl iodide was added thereto. The mixture was refluxed under heating for 30 minutes. The reaction mixture was left to cool to room temperature, and then the solvent was distilled off under reduced pressure. The obtained crystals were washed with ethyl ether, collected by filtration and dried to obtain 20 g of N,N-dimethyl-S-methylisothiourea hydroiodide as yellow crystals.

Melting point: 84 - 85 °C

REFERENCE EXAMPLE g-2

Preparation of N-ethyl-N-m thyl-S-methylisothiourea hydroiodide

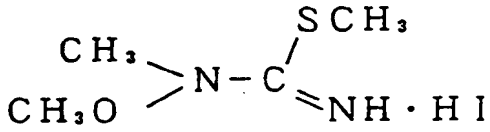


7.08 g (120 mmol) of N-ethyl-N-methylamine was dissolved in 80 ml of dry acetone, and the solution was cooled to 0 °C. 13.1 g (100 mmol) of ethoxycarbonyl isothiocyanate was dropwise added thereto. Then, the reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for 2 hours. The solvent was distilled off under reduced pressure. To the obtained residue, 80 ml of concentrated hydrochloric acid was added. The reaction temperature was raised to 80 °C, and the mixture was further stirred at the same temperature for 5 hours. Then, it was cooled to 0 °C, and then ammonium carbonate was gradually added to neutralize the reaction mixture (pH = 6 to 7). After adding a small amount of water, the mixture was extracted three times with 100 ml of ethyl acetate. The ethyl acetate layer was washed with water and then dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. Obtained crystals were washed with a solvent mixture of ethanol/n-hexane to obtain 5.8 g of N-ethyl-N-methylthiourea as white crystals. Melting point: 124 - 125 °C

5.8 g (49 mmol) of N-ethyl-N-methylthiourea was dissolved in 10 ml of N,N-dimethylformamide. 8.8 g (62 mmol) of methyl iodide was added at room temperature, and the mixture was stirred at room temperature for 15 hours. 500 ml of ethyl acetate was added to the mixture, and precipitated crystals were collected by filtration and then washed with ethyl acetate to obtain 3.1 g of N-ethyl-N-methyl-S-methylisothiourea hydroiodide as pale yellow crystals.
Melting point: 94 - 97 °C

REFERENCE EXAMPLE g-3

Preparation of N-methoxy-N-methyl-S-methylisothiourea hydroiodide



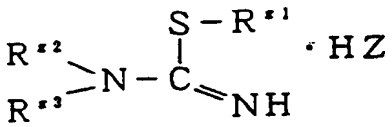
1.83 g (30 mmol) of N-methoxy-N-methylamine was dissolved in 20 ml of dichloromethane, and the solution was cooled to 0 °C. 3.93 g (30 mmol) of ethoxycarbonyl isothiocyanate was dropwise added thereto. Then, the reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for 15 hours. The solvent was distilled off under reduced pressure, and to the obtained residue, 20 ml of concentrated hydrochloric acid was added. The reaction temperature was raised to 80 °C, and the mixture was further stirred at the same temperature for 5 hours. Then, the mixture was cooled to 0 °C, and then ammonium carbonate was gradually added to neutralize the reaction mixture (pH = 6 to 7). After adding 10 ml of water, the mixture was extracted three times with 50 ml of ethyl acetate. The ethyl acetate layer was washed with water and then dried over anhydrous magnesium sulfate. Then, the solvent was distilled off under reduced pressure to obtain 2.0 g of N-methoxy-N-methylthiourea as pale yellow crystals. Melting point: 30 - 32 °C

1.76 g (14.7 mmol) of N-methoxy-N-methylthiourea was dissolved in 5 ml of N,N-dimethylformamide. 2.09 g (14.7 mmol) of methyl iodide was added thereto at room temperature, and the mixture was stirred at room temperature for 15 hours. 500 ml of ethyl acetate was added thereto, and precipitated crystals were collected by filtration and then washed with ethyl acetate to obtain 2.7 g of N-methoxy-N-methyl-S-methylisothiourea hydroiodide as pale yellow crystals.

Melting point: 122 - 124 °C

The structures and physical property values or characteristics of the compounds prepared by the same methods as in Reference Examples g-1 to g-3 are presented in Table 13g.

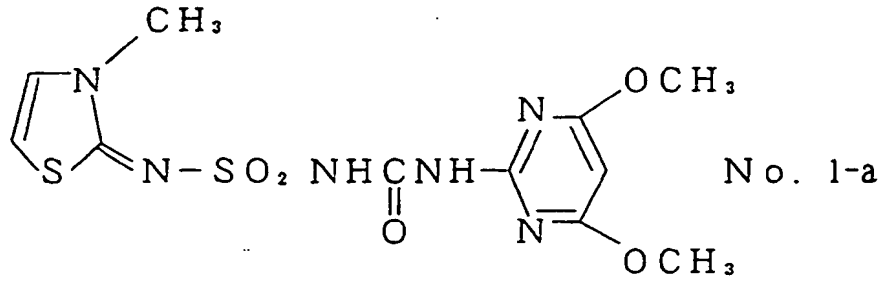
Table 13g



R^{g1}	R^{g2}	R^{g3}	HZ	Physical property values or characteristics
C_2H_5	CH_3	CH_3	HI	m.p. 93−94°C
C_3H_7-n	CH_3	CH_3	HI	m.p. 54−55°C
$CH_2CH=CH_2$	CH_3	CH_3	HBr	m.p. 148−149 °C
$CH_2C\equiv CH$	CH_3	CH_3	HBr	m.p. 113−114 °C
CH_3	CH_3CO	CH_3	—	Glassy
CH_3	$-(CH_2)_4-$		HI	m.p. 121−123 °C
CH_3	C_3H_7-n	CH_3	HI	m.p. 126−127 °C
CH_3	Ph	CH_3	HI	m.p. 170−173 °C
CH_3	C_2H_5O	CH_3	HI	m.p. 114−115 °C
CH_3	n-C_3H_7O	CH_3	HI	m.p. 75−76°C
CH_3	$CH_2=CHCH_2$	CH_3	HI	m.p. 116−118 °C

EXAMPLE a-1

Preparation of 1-(3-methyl-4-thiazoline-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea

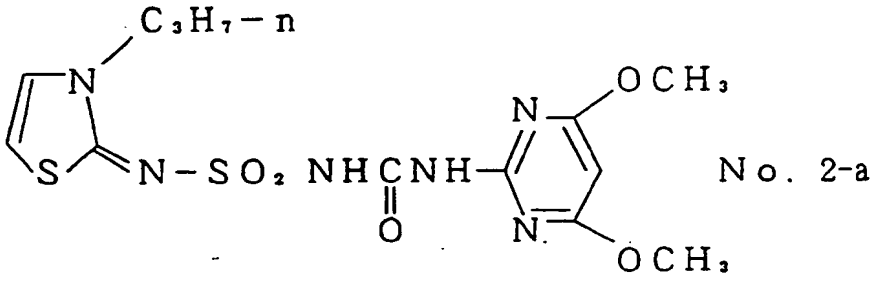


No. 1-a

1.55 g (10 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 20 ml of dry tetrahydrofuran, and 1.42 g (10 mmol) of chlorosulfonyl isocyanate was dropwise added thereto within a range of from -10 °C to -5 °C. The reaction temperature was raised to 0 °C, and the mixture was stirred for 5 minutes. The reaction mixture was again cooled to -30 °C, and 1.14 g (10 mmol) of 2-imino-3-methylthiazol-4-ine and 1.11 g (11 mmol) of triethylamine dissolved in 10 ml of dry tetrahydrofuran were dropwise added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for one hour. Then, the solvent was distilled off under reduced pressure. Then, water was added to the obtained residue. Precipitated crystals were collected by filtration and washed with acetonitrile to obtain 1.5 g of desired 1-(3-methyl-4-thiazoline-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea.
Melting point: 214 - 215 °C

EXAMPLE a-2

Preparation of 1-(3-n-propyl-4-thiazoline-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea

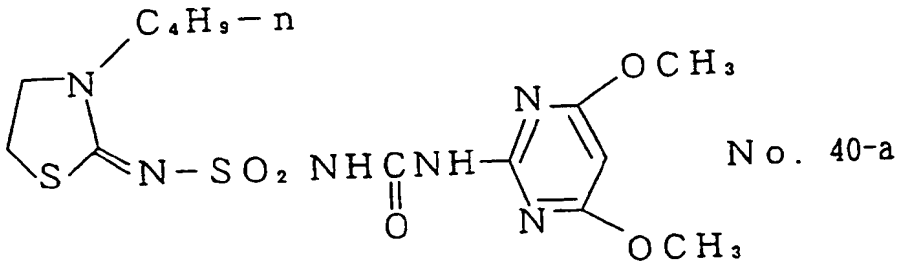


No. 2-a

1.55 g (10 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 30 ml of dry tetrahydrofuran, and 1.42 g (10 mmol) of chlorosulfonyl isocyanate was dropwise added thereto at a temperature of not higher than -20 °C. The reaction temperature was raised to 0 °C, and then the mixture was cooled again to a temperature of not higher than -20 °C. Then, 2.70 g (10 mmol) of 2-imino-3-n-propylthiazol-4-ine hydroiodide and 2.22 g (22 mmol) of triethylamine dissolved in 30 ml of dry tetrahydrofuran were dropwise added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for one hour. Then, the solvent was distilled off under reduced pressure. Then, water was added to the residue, and the mixture was extracted three times with chloroform. The chloroform layer was washed sequentially with water and a saturated sodium chloride aqueous solution and then dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. The obtained crystals were washed with ethyl ether to obtain 3 g of desired 1-(3-n-propyl-4-thiazoline-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea.
M lting point: 166 - 167 °C

EXAMPLE a-3

Preparation of 1-(3-n-butylthiazolidine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea

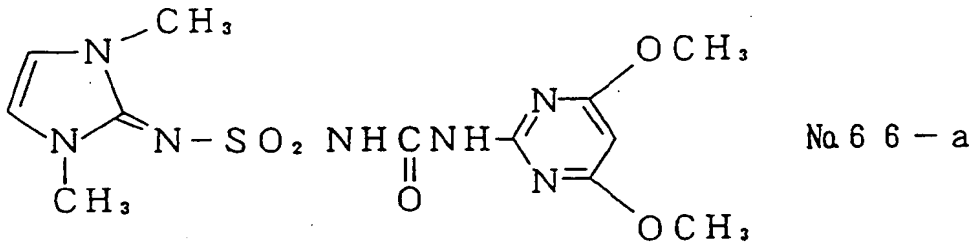


No. 40-a

1.55 g (10 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 40 ml of dry tetrahydrofuran, and 1.42 g (10 mmol) of chlorosulfonyl isocyanate was dropwise added thereto at -40 °C. The reaction temperature was raised to 0 °C, and then the reaction mixture was cooled again to - 60 °C. Then, 1.90 g (12 mmol) of 2-imino-3-n-butylthiazolidine suspended in 40 ml of dry tetrahydrofuran containing 1.33 g (13 mmol) of triethylamine, was added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for one hour. Then, the solvent was distilled off under reduced pressure. Then, water was added to the residue, and the mixture was extracted three times with chloroform. The chloroform layer was washed sequentially with water and a saturated sodium chloride aqueous solution, and then dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. The obtained crystals were washed with ethyl ether to obtain 2.8 g of desired 1-(3-n-butylthiazolidine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea.
Melting point: 139 - 140 °C

EXAMPLE a-4

Preparation of 1-(1,3-dimethyl-4-imidazoline-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea

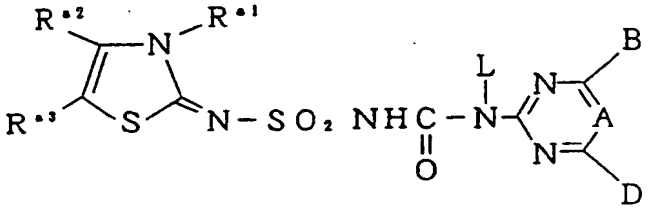


No. 66-a

540 mg (3.46 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 30 ml of dry tetrahydrofuran, and 490 mg (3.46 mmol) of chlorosulfonyl isocyanate was dropwise added in a range of from -20 °C to -15 °C. The reaction temperature was raised to 0 °C, and then the mixture was cooled again to -20 °C. Then, a mixture comprising 600 mg (4.07 mmol) of 2-imino-1,3-dimethylimidazol-4-ine hydrochloride, 820 mg (8.13 mmol) of triethylamine and 30 ml of dry tetrahydrofuran, was added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for 3 hours. Then, the solvent was distilled off under reduced pressure. Then, 60 ml of water was added to the obtained residue, and crystals were collected by filtration. The obtained crystals were washed with a solvent mixture of ethyl ether, acetonitrile and acetone to obtain 200 mg of desired 1-(1,3-dimethyl-4-imidazoline-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea.
Melting point: 201 - 203 °C

The structures and the physical property values of the compounds prepared by the same methods as in Examples a-1 to a-4 are presented in Tables 14a-1, 14a-2 and 14a-3.

Table 14a-1



Compound No.	R^{a1}	R^{a2}	R^{a3}	L	A	B	D	m.p. (°C)
4-a	$CH_2CO_2CH_3$	H	H	H	CH	OCH_3	OCH_3	166-167
5-a	CH_2Ph	H	H	H	CH	OCH_3	OCH_3	177-178
6-a	C_2H_5	H	H	H	CH	OCH_3	OCH_3	189-190
7-a	$CH_2CH=CH_2$	H	H	H	CH	OCH_3	OCH_3	165-166
8-a	$CH_2C\equiv CH$	H	H	H	CH	OCH_3	OCH_3	183-184
9-a	$CH_2CO_2C_2H_5$	H	H	H	CH	OCH_3	OCH_3	137-138
10-a	CH_2COCH_3	H	H	H	CH	OCH_3	OCH_3	180-181
11-a	$CH(CH_3)CO_2C_2H_5$	H	H	H	CH	OCH_3	OCH_3	131-132
12-a	C_3H_7-n	H	H	H	CH	OCH_3	CH_3	167-170
13-a	C_3H_7-n	H	H	H	CH	CH_3	CH_3	155-158
14-a	C_3H_7-n	H	H	H	CH	$OCHF_2$	$OCHF_2$	152-154
15-a	C_3H_7-n	H	H	H	CH	$OCHF_2$	CH_3	143-145
16-a	C_3H_7-n	H	H	H	N	OCH_3	CH_3	174-176
17-a	CH_3	CH_3	H	H	CH	OCH_3	OCH_3	211-213
18-a	CH_3	CH_3	CH_3	H	CH	OCH_3	OCH_3	203-205
19-a	C_3H_7-n	CH_3	H	H	CH	OCH_3	OCH_3	176-178
20-a	C_4H_9-n	H	H	H	CH	OCH_3	OCH_3	149-151
21-a	C_5H_{11}-n	H	H	H	CH	OCH_3	OCH_3	160-161
22-a	$CH_2CH=CHCH_3$	H	H	H	CH	OCH_3	OCH_3	123-125

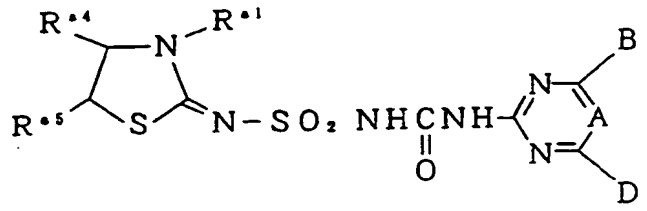
Table 14a-1 continued

Compound No.	R^{a1}	R^{a2}	R^{a3}	L	A	B	D	m.p. (°C)
23-a	$CH_2CH=CH-Ph$	H	H	H	CH	OCH_3	OCH_3	180-181
27-a	$CH_2CH_2OCH_3$	H	H	H	CH	OCH_3	OCH_3	137.5-138.5
28-a	C_3H_7-n	CH_3	CH_3	H	CH	OCH_3	OCH_3	199-201
29-a	CH_3	Ph	H	H	CH	OCH_3	OCH_3	196-198
30-a	CH_3	H	Cl	H	CH	OCH_3	OCH_3	198-201
31-a	CH_3	H	Br	H	CH	OCH_3	OCH_3	209-211
32-a	C_3H_7-n	H	Cl	H	CH	OCH_3	OCH_3	139-142
33-a	CH_2OCH_2Ph	H	H	H	CH	OCH_3	OCH_3	168-169
35-a	C_6H_{13}-n	H	H	H	CH	OCH_3	OCH_3	173-175
36-a	$CH_2CH(CH_3)_2$	H	H	H	CH	OCH_3	OCH_3	177-179
37-a	$CH(CH_3)_2$	H	H	H	CH	OCH_3	OCH_3	175.5-176.5
38-a	CH_2OCH_3	H	H	H	CH	OCH_3	OCH_3	173-174
39-a	$CH(CH_3)C_2H_5$	H	H	H	CH	OCH_3	OCH_3	81-82
43-a	CH_2SCH_3	H	H	H	CH	OCH_3	OCH_3	181-183
44-a	CH_2CN	H	H	H	CH	OCH_3	OCH_3	183-183.5
45-a	$CH_2C(Cl)=CH_2$	H	H	H	CH	OCH_3	OCH_3	174-175
46-a	C_3H_7-n	H	H	CH_3	CH	OCH_3	OCH_3	172-173
47-a	C_4H_9-n	H	H	H	CH	OCH_3	CH_3	147-149
48-a	$CH_2CH=CHCl$	H	H	H	CH	OCH_3	OCH_3	165-167
49-a	$CH_2C(CH_3)=CH_2$	H	H	H	CH	OCH_3	OCH_3	177-179
52-a	CH_3	H	CH_3	H	CH	OCH_3	OCH_3	206-208
53-a	C_3H_7-n	H	CH_3	H	CH	OCH_3	OCH_3	152-154
54-a	CH_3	H	OCH_3	H	CH	OCH_3	OCH_3	187-190
55-a	$CH_2CH=C(CH_3)_2$	H	H	H	CH	OCH_3	OCH_3	170-171
57-a	CH_2CH_2Ph	H	H	H	CH	OCH_3	OCH_3	182-184

Table 14a-1 continued

Compound No.	R^{*1}	R^{*2}	R^{*3}	L	A	B	D	m.p. (°C)
58-a	CH_2Ph-OMe-p	H	H	H	CH	OCH_3	OCH_3	109-110
60-a	CH_2Ph-Cl-p	H	H	H	CH	OCH_3	OCH_3	182-183
61-a	$CH_2C(Br)=CH_2$	H	H	H	CH	OCH_3	OCH_3	167-168
63-a	$CH_2OC_2H_5$	H	H	H	CH	OCH_3	OCH_3	177-178
65-a	$CH_2C\equiv CCH_3$	H	H	H	CH	OCH_3	OCH_3	184-186
68-a	C_3H_7-n	H	H	H	CH	OCH_3	Cl	165-168
72-a	C_3H_7-n	H	H	H	CH	OCH_3	$OCHF_2$	193-195
73-a	C_3H_7-n	H	H	H	N	OCH_3	OCH_3	156-158
79-a	C_3H_7-n	H	H	H	N	OC_2H_5	CH_3	151-153
80-a	C_3H_7-n	H	H	H	N	OCH_3	C_2H_5	141-143
82-a	C_3H_7-n	H	H	H	N	OCH_3	CH_2Cl	144-146
83-a	C_3H_7-n	H	H	H	CH	CF_3	Cl	146-148
84-a	C_3H_7-n	H	H	H	N	OCH_3	C_3H_7-n	159-160
85-a	C_3H_7-n	H	H	H	N	OCH_3	CF_3	149-150
86-a	C_3H_7-n	H	H	H	N	OC_3H_7-n	CH_3	176-178

Table 14a-2

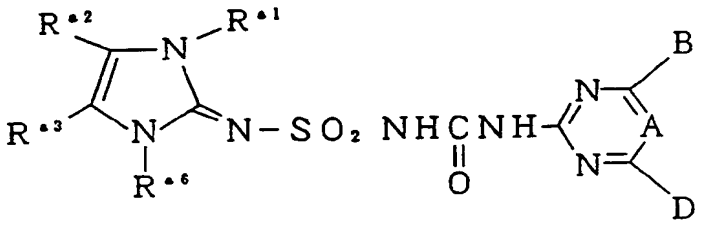


Compound No.	R^{a1}	R^{a4}	R^{a5}	A	B	D	m.p. (°C)
3-a	CH_3	H	H	CH	OCH_3	OCH_3	204-206
24-a	C_3H_7-n	H	H	CH	OCH_3	OCH_3	172-173
25-a	$CH_2CH=CH_2$	H	H	CH	OCH_3	OCH_3	177-178
26-a	$CH_2C\equiv CH$	H	H	CH	OCH_3	OCH_3	188-190
34-a	C_2H_5	H	H	CH	OCH_3	OCH_3	170-172
41-a	$CH_2CH=CHCH_3$	H	H	CH	OCH_3	OCH_3	133-134
42-a	C_5H_{11}-n	H	H	CH	OCH_3	OCH_3	132-134
50-a	CH_2COCH_3	H	H	CH	OCH_3	OCH_3	186-188
51-a	C_6H_{13}-n	H	H	CH	OCH_3	OCH_3	163-165
56-a	CH_3	H	CH_3	CH	OCH_3	OCH_3	190-191
59-a	$CH_2CH=CHCl$	H	H	CH	OCH_3	OCH_3	155-156
62-a	$CH_2C(Cl)=CH_2$	H	H	CH	OCH_3	OCH_3	190-192
64-a	CH_2OCH_3	H	H	CH	OCH_3	OCH_3	169-170
70-a	C_3H_7-n	H	H	CH	OCH_3	Cl	144-146
71-a	C_3H_7-n	H	H	CH	OCH_3	$OCHF_2$	144-145
74-a	C_3H_7-n	H	H	CH	OCH_3	CH_3	175-177
75-a	C_3H_7-n	H	H	CH	CH_3	CH_3	166-168
76-a	C_3H_7-n	H	H	CH	$OCHF_2$	$OCHF_2$	173-174
77-a	C_3H_7-n	H	H	CH	CH_3	Cl	155-158
78-a	C_3H_7-n	H	H	N	OCH_3	CH_3	184-186

Table 14a-2 continued

Compound No.	R^{a1}	R^{a4}	R^{a5}	A	B	D	m.p. (°C)
81-a	Ph	H	H	CH	OCH_3	OCH_3	178-180

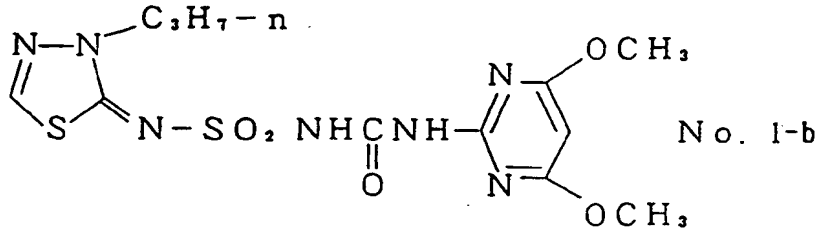
Table 14a-3



Compound No.	R^{a1}	R^{a2}	R^{a3}	R^{a6}	A	B	D	m.p. (°C)
67-a	C_2H_5	H	H	CH_3	CH	OCH_3	OCH_3	178-180
69-a	C_3H_7-n	H	H	CH_3	CH	OCH_3	OCH_3	167-169

EXAMPLE b-1

Preparation of 1-(3-n-propyl-4-thiadiazoline-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea



No. I-b

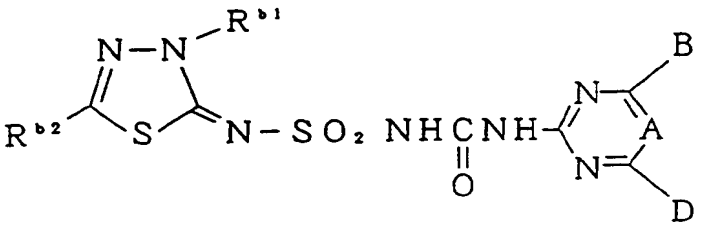
1.55 g (10 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 40 ml of dry tetrahydrofuran, and 1.42 g (10 mmol) of chlorosulfonyl isocyanate was dropwise added at -40 °C. The reaction temperature was raised to 0 °C, and then the mixture was cooled again to -40 °C. Then, 2.58 g (9.5 mmol) of 2-imino-3-n-propylthiadiazol-4-ine hydroiodide suspended in 40 ml of dry tetrahydrofuran containing 2.22 g (22 mmol) of triethylamine, was added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for one hour. Then, the solvent was distilled off under reduced pressure, and then water was added to the obtained residue. The mixture was extracted three

times with chloroform. The chloroform layer was washed sequentially with water and a saturated sodium chloride aqueous solution and then dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. Obtain d crystals were washed with acetonitrile to obtain 2.25 g of desired 1-(3-n-propyl-4-thiadiazoline-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea.

Melting point: 189 - 190 °C

The structures and the physical property values of the compounds prepared by the same method as in Example b-1 are presented in Table 14b.

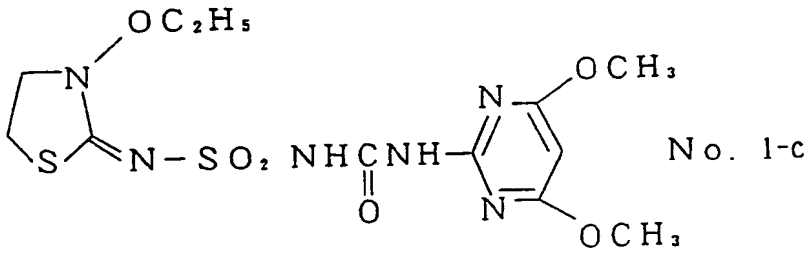
Table 14b



Compound No.	R^{b1}	R^{b2}	A	B	D	m.p. (°C)
2-b	CH_3	H	CH	OCH_3	OCH_3	216-217
3-b	$CH_2CH=CH_2$	H	CH	OCH_3	OCH_3	197-198
4-b	$CH_2C\equiv CH$	H	CH	OCH_3	OCH_3	196-198
5-b	CH_2COCH_3	H	CH	OCH_3	OCH_3	206-208
6-b	CH_3	CH_3	CH	OCH_3	OCH_3	203.5-204.5

EXAMPLE c-1

Preparation of 1-(3-ethoxythiazolidine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea



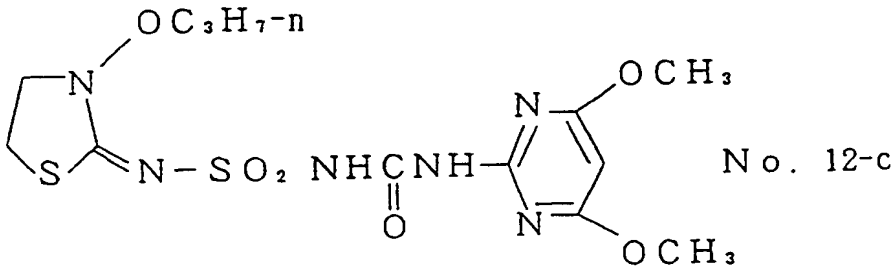
No. 1-c

1.55 g (10 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 20 ml of dry tetrahydrofuran, and 1.42 g (10 mmol) of chlorosulfonyl isocyanate was dropwise added thereto in a range of -10 °C to -5 °C. The reaction temperature was raised to 0 °C, and the mixture was stirred for 5 minutes. The reaction mixture was cooled again to -30 °C, and 2.72 g (12 mmol) of 2-imino-3-ethoxythiazolidine hydrobromide and 2.43 g (24 mmol) of triethylamine suspended in 10 ml of dry tetrahydrofuran were gradually added thereto.

The reaction temperature was rais d to room temperature, and the mixture was further stirred at the same temperature for 20 minutes. Then, the solvent was distilled off under reduced pressure, and then water was added to the obtained residue. Precipitated crystals were extracted three times with 100 ml of chloroform, and the extract was washed once with 100 ml of water and dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. Obtained crystals were washed with ethyl ether and acetonitrile to obtain 2.1 g of desired 1-(3-ethoxythiazolidine-2-sulfonylimino)-3-(4,6-dimethoxypyridimin-2-yl)urea.
Melting point: 175 - 176 °C

EXAMPLE c-2

Preparation of 1-(3-n-propoxythiazolidine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea

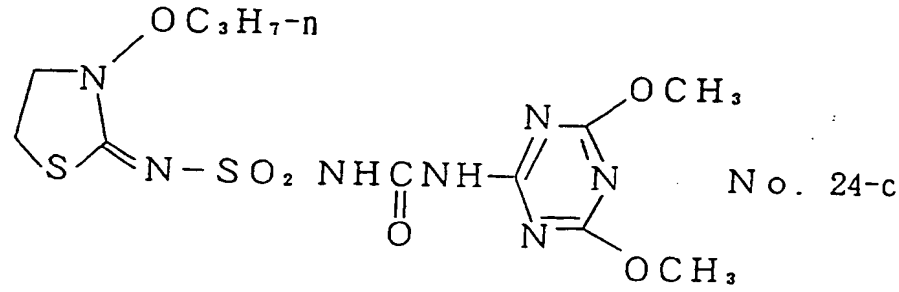


No. 12-c

0.62 g (4.0 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 30 ml of dry tetrahydrofuran, and 0.57 g (4.0 mmol) of chlorosulfonyl isocyanate was dropwise added at -50 °C. The reaction temperature was raised to room temperature, and then the reaction mixture was cooled again to -50 °C. Then, 1.2 g (5.0 mmol) of 2-imino-3-n-propoxythiazolidine hydrobromide suspended in 20 ml of dry tetrahydrofuran containing 1.01 g (10.0 mmol) of triethylamine, was added thereto. The reaction temperature was gradually raised to room temperature, and the mixture was further stirred at the same temperature for 10 minutes. Then, the solvent was distilled off under reduced pressure, and then water was added to the obtained residue. The mixture was extracted three times with 50 ml of chloroform. The chloroform layer was washed with a saturated sodium chloride aqueous solution and then dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. To the obtained residue, ethyl ether and a small amount of acetonitrile were added for crystallization. The crystals were collected by filtration to obtain 0.65 g of desired 1-(3-n-propoxythiazolidine-2-sulfonylimino)-3-(4,6-dimethoxypyridimin-2-yl)urea.
Melting point: 173 - 175 °C

EXAMPLE c-3

Preparation of 1-(3-n-propoxythiazolidine-2-sulfonylimino)-3-(4,6-dimethoxytriazin-2-yl)urea



No. 24-c

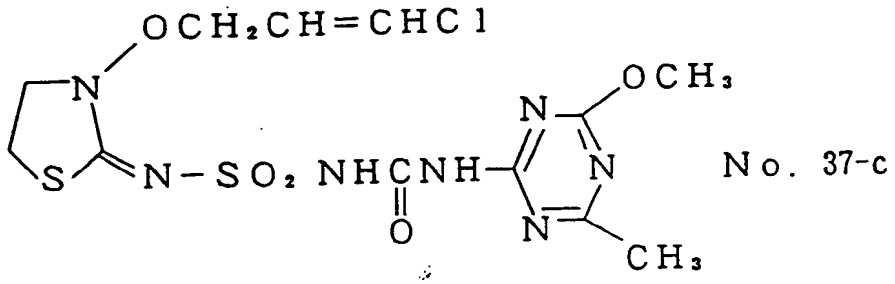
3.76 g (40.0 mmol) of phenol was dissolved in 40 ml of dry tetrahydrofuran, and 5.66 g (40.0 mmol) of chlorosulfonyl isocyanate was dropwise added thereto at -50 °C. The reaction temperature was raised to

room temperature, and then the reaction mixture was cooled again to -50 °C. Then, 10.6 g (44 mmol) of 2-imino-3-n-propoxythiazolidine hydrobromide suspended in 20 ml of dry acetonitrile containing 8.08 g (80 mmol) of triethylamine, was added thereto. The reaction temperature was gradually raised to room temperature, and the mixture was further stirred at the same temperature for 10 minutes. Then, the solvent was distilled off under reduced pressure, and then water was added to the obtained residue. The mixture was extracted three times with 70 ml of chloroform. The chloroform layer was washed with a saturated sodium chloride aqueous solution and then dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. To the obtained residue, ethyl ether and a small amount of acetonitrile were added for crystallization. Then, the crystals were collected by filtration to obtain 14 g of phenyl N-(3-n-propoxythiazolidine-2-sulfonylimino)carbamate. Then, 0.72 g (2.0 mmol) of the obtained carbamate was dissolved in 30 ml of dry dioxane, and 0.23 g (1.5 mmol) of 2-amino-4,6-dimethoxytriazine was added thereto. The mixture was refluxed under heating for 4 hours. The solvent was distilled off under reduced pressure, and ethyl ether and a small amount of acetonitrile were added for crystallization. Then, the crystals were collected by filtration to obtain 0.3 g of desired 1-(3-n-propoxythiazolidine-2-sulfonylimino)-3-(4,6-dimethoxytriazin-2-yl)urea.

Melting point: 166 - 167 °C

EXAMPLE c-4

Preparation of 1-[3-(3-chloroallyloxy)thiazolidine-2-sulfonylimino]-3-(4-methyl-6-methoxytriazin-2-yl)urea



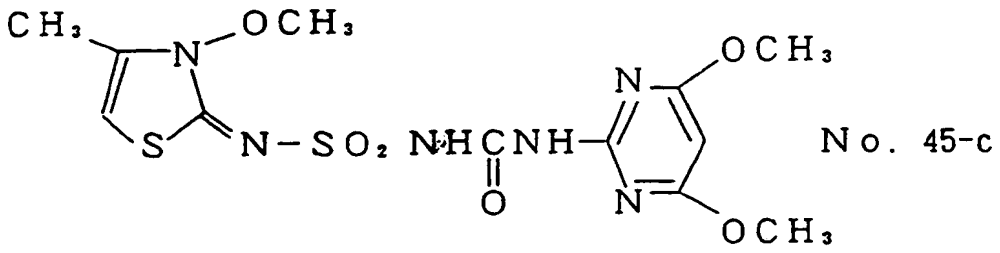
No. 37-c

0.84 g (6 mmol) of 2-amino-4-methyl-6-methoxytriazine was suspended in 20 ml of dry tetrahydrofuran, and 0.85 g (6 mmol) of chlorosulfonyl isocyanate was dropwise added thereto at room temperature. A heat was generated mildly, and the reaction mixture turned to a pale yellow solution. Then, 1.64 g (6 mmol) of 2-imino-3-(3-chloroallyloxy)thiazolidinehydrobromide and 1.43 g (14 mmol) of triethylamine suspended in 15 ml of dry tetrahydrofuran were gradually added thereto, and the mixture was further stirred at room temperature for 30 minutes. The solvent was distilled off under reduced pressure, and then water was added to the obtained residue. The resulting oily substance was extracted three times with 80 ml of chloroform. The extract solution was washed once with 100 ml of water and dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. Obtained crystals were washed with ethyl ether and acetonitrile to obtain 1.2 g of desired 1-[3-(3-chloroallyloxy)thiazolidine-2-sulfonylimino]-3-(4-methyl-6-methoxytriazin-2-yl)urea.

Melting point: 145 - 147 °C

EXAMPLE c-5

Preparation of 1-(3-methoxy-4-methyl-4-thiazoline-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea



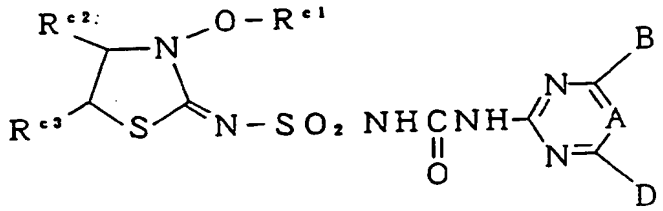
No. 45-c

0.78 g (5 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 10 ml of dry tetrahydrofuran, and 0.71 g (5 mmol) of chlorosulfonyl isocyanate was dropwise added thereto in a range of -20 °C to -15 °C. The reaction temperature was raised to 0 °C, and the mixture was stirred for 5 minutes. The mixture was cooled again to -20 °C, and 0.90 g (5 mmol) of 2-imino-3-methoxy-4-methylthiazol-4-ine hydrochloride and 1.11 g (11 mmol) of triethylamine suspended in 10 ml of dry tetrahydrofuran, was gradually added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for 20 minutes. The solvent was distilled off under reduced pressure, and then water was added to the obtained residue. Precipitated crystals were extracted three times with 50 ml of chloroform. The extract solution was washed once with 50 ml of water and dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. Obtained crystals were washed with ethyl ether and acetonitrile to obtain 1.02 g of desired 1-(3-methoxy-4-methyl-4-thiazolidine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea.

Melting point: 183 - 185 °C

The structures and the physical property values of the compounds prepared by the same methods as in Examples c-1 to c-5 are presented in Tables 14c-1, 14c-2 and 14c-3.

Table 14c-1



Compound No.	R^{c1}	R^{c2}	R^{c3}	A	B	D	m.p. (°C)
2-c	C_2H_5	H	H	CH	OCH_3	CH_3	182-183
3-c	C_2H_5	H	H	N	OCH_3	CH_3	155-156
4-c	C_2H_5	H	H	CH	CH_3	CH_3	152-153
5-c	$CH(CH_3)_2$	H	H	CH	OCH_3	OCH_3	175-178
6-c	CH_3	H	H	CH	OCH_3	OCH_3	193-194
7-c	CH_3	H	H	CH	OCH_3	CH_3	183-185
11-c	$CH(CH_3)_2$	H	H	CH	OCH_3	CH_3	150-152
13-c	C_3H_7-n	H	H	CH	OCH_3	CH_3	161.5-162.5
14-c	C_3H_7-n	H	H	N	OCH_3	CH_3	163-165
15-c	C_3H_7-n	H	H	CH	CH_3	CH_3	145.5-146.5
16-c	C_4H_9-n	H	H	CH	OCH_3	OCH_3	159-160
17-c	$CH_2C{\equiv}CH$	H	H	CH	OCH_3	OCH_3	172-173
18-c	$CH_2CH{=}CH_2$	H	H	CH	OCH_3	OCH_3	175.5-176.5
19-c	$CH_2CH{=}CH_2$	H	H	CH	OCH_3	CH_3	165-166
20-c	C_3H_7-n	H	H	CH	$OCHF_2$	$OCHF_2$	118-119
21-c	$CH_2CH{=}CH_2$	H	H	CH	CH_3	CH_3	95-96
22-c	CH_2Ph	H	H	CH	OCH_3	OCH_3	159-161
23-c	C_3H_7-n	H	H	CH	$OCHF_2$	CH_3	151-152
25-c	C_3H_7-n	H	H	CH	$OCHF_2$	Cl	225-226

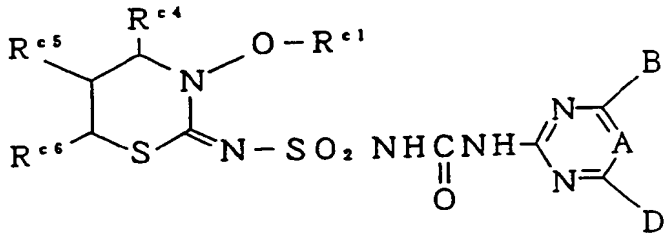
Table 14c-1 continu d

Compound No.	R^{c1}	R^{c2}	R^{c3}	A	B	D	m.p. (°C)
26-c	C_2H_5	H	H	N	OCH_3	OCH_3	159-160
27-c	C_2H_5	H	H	CH	$OCHF_2$	CH_3	148-149
28-c	C_2H_5	H	H	CH	$OCHF_2$	$OCHF_2$	161-162
29-c	C_3H_7-n	H	H	CH	OCH_3	$OCHF_2$	75-77
30-c	C_2H_5	H	H	CH	OCH_3	$OCHF_2$	149-151
31-c	C_2H_5	H	H	CH	OCH_3	Cl	141-143
32-c	C_3H_7-n	H	H	CH	OCH_3	Cl	157-158
33-c	C_2H_5	H	H	CH	CH_3	Cl	163-164
34-c	C_3H_7-n	H	H	CH	CH_3	Cl	153-156
35-c	$CH_2CH=CHCl$	H	H	CH	OCH_3	OCH_3	184-185
36-c	$CH_2CH=CHCl$	H	H	CH	OCH_3	CH_3	168-169
38-c	$CH_2CH(CH_3)_2$	H	H	CH	OCH_3	OCH_3	175.5-176.5
39-c	$CH_2CH(CH_3)_2$	H	H	CH	OCH_3	CH_3	151-152
40-c	$CH_2CH(CH_3)_2$	H	H	N	OCH_3	CH_3	148.5-149.5
41-c	$CH_2CH(CH_3)_2$	H	H	N	OCH_3	OCH_3	172-173
43-c	$CH_2CH=CHCl$	H	H	CH	CH_3	CH_3	164-165
44-c	$CH_2CH=CHCl$	H	H	N	OCH_3	OCH_3	158-163
46-c	C_5H_{11}-n	H	H	CH	OCH_3	OCH_3	121-122
47-c	$CH_2CH(CH_3)_2$	H	H	CH	CH_3	CH_3	154-155
48-c	$CH_2CH=CHCl$	H	H	CH	OCH_3	$OCHF_2$	142-143
49-c	$CH_2C(Cl)=CH_2$	H	H	CH	OCH_3	OCH_3	178-179
50-c	$CH_2C(Cl)=CH_2$	H	H	CH	OCH_3	CH_3	159-160
51-c	$CH_2C(Cl)=CH_2$	H	H	N	OCH_3	CH_3	157-158
52-c	$CH_2C(Cl)=CH_2$	H	H	N	OCH_3	OCH_3	181-182
53-c	C_3H_7-n	H	H	N	OC_2H_5	CH_3	134-135

Table 14c-1 continued

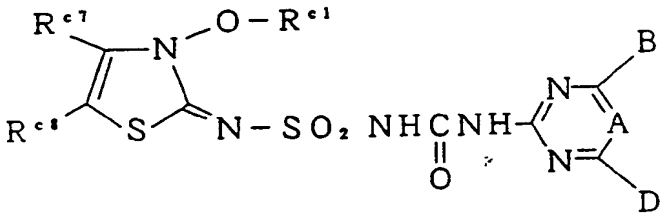
Compound No.	R^{c1}	R^{c2}	R^{c3}	A	B	D	m.p. (°C)
54-c	C_3H_7-n	H	H	N	OCH_3	C_2H_5	143.5-144.5
55-c	$CH_2CH=CHCl$	H	H	N	OC_2H_5	CH_3	131-133
56-c	$CH_2CH=CHCl$	H	H	N	OCH_3	C_2H_5	128-130
57-c	$CH_2CH=CHCl$	H	H	N	OCH_3	CH_2Cl	133-135
58-c	C_3H_7-n	H	H	N	OCH_3	CH_2Cl	154-155
59-c	$CH_2CH=CHCl$	H	H	CH	$OCHF_2$	CH_3	136-137
60-c	C_3H_7-n	H	H	CH	CF_3	Cl	133-134
61-c	C_2H_5	H	H	N	OC_2H_5	CH_3	161-162
62-c	C_3H_7-n	H	H	N	OCH_3	C_3H_7-n	157-158
63-c	C_3H_7-n	H	H	N	OC_3H_7-n	CH_3	124-125
64-c	$CH_2CH=CHCl$	H	H	CH	CF_3	Cl	183-186
65-c	$CH_2CH=CHCl$	H	H	N	OCH_3	C_3H_7-n	114-115
66-c	$CH_2CH=CHCl$	H	H	N	OC_3H_7-n	CH_3	128-130
67-c	$CH_2CH=CHCl$	H	H	N	OCH_3	CF_3	138-140
68-c	$CH_2C(Cl)=CH_2$	H	H	N	OC_2H_5	CH_3	139-140
69-c	$CH_2C(Cl)=CH_2$	H	H	N	OCH_3	C_2H_5	149-150
70-c	$CH_2C(Cl)=CH_2$	H	H	N	OCH_3	CF_3	152-154
71-c	$CH_2C(Cl)=CH_2$	H	H	CH	OCH_3	$OCHF_2$	86- 87

Table 14c-2



Compound No.	R^{c1}	R^{c4}	R^{c5}	R^{c6}	A	B	D	m.p. (°C)
8-c	C_2H_5	H	H	H	CH	OCH_3	OCH_3	189-190
9-c	C_2H_5	H	H	H	CH	OCH_3	CH_3	165-166
10-c	C_2H_5	H	H	H	CH	CH_3	CH_3	178-179

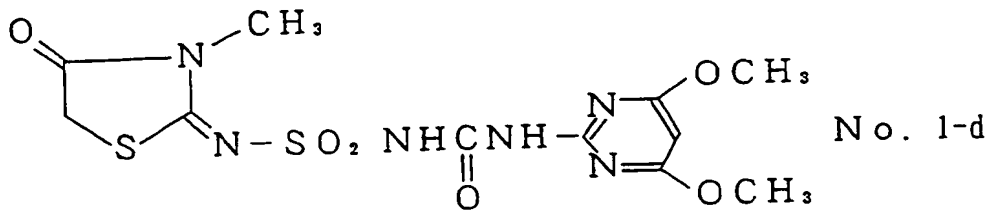
Table 14c-3



Compound No.	R^{c1}	R^{c7}	R^{c8}	A	B	D	m.p. (°C)
42-c	CH_3	CH_3	CH_3	CH	OCH_3	OCH_3	180-192 (decomposed)

EXAMPLE d-1

Preparation of 1-(3-methylthiazolidin-4-one-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea



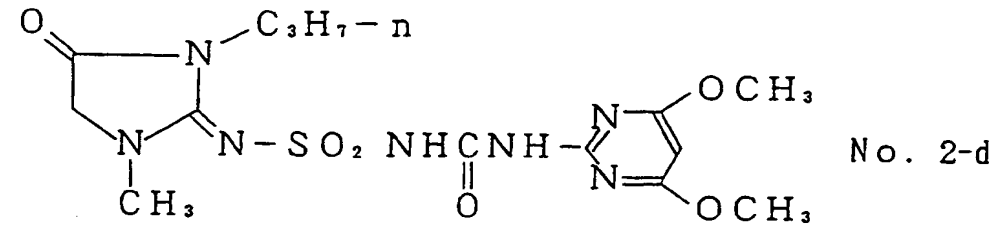
No. 1-d

1.55 g (10 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 30 ml of dry tetrahydrofuran, and 1.42 g (10 mmol) of chlorosulfonyl isocyanate was dropwise added thereto in a range of from -20 °C to -15 °C. The reaction temperature was raised to 0 °C, and the mixture was further stirred at the same temperature for 10 minutes. The reaction mixture was cooled again to -30 °C, and a mixture comprising 2.84 g (11 mmol) of 3-methyl-2-iminothiazolidin-4-one hydroiodide, 2.22 g (22 mmol) of triethylamine and 30 ml of dry tetrahydrofuran, was added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for 20 minutes. Then, the solvent was distilled off under reduced pressure, and then 100 ml of water was added to the obtained residue. Precipitated crystals were extracted three times with 100 ml of chloroform. The chloroform layer was washed with water and then dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. Obtained crystals were washed with a solvent mixture of ethyl ether/acetonitrile and collected by filtration to obtain 1.5 g of desired 1-(3-methylthiazolidin-4-one-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea as colorless crystals.

Melting point: 200 - 201 °C

EXAMPLE d-2

Preparation of 1-(1-methyl-3-n-propylimidazolidin-4-one-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea



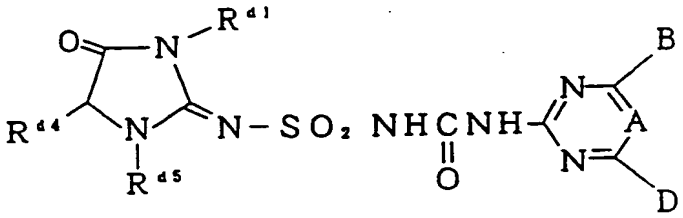
No. 2-d

1.16 g (7.5 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 20 ml of dry tetrahydrofuran, and 1.07 g (7.5 mmol) of chlorosulfonyl isocyanate was dropwise added thereto at -40 °C. The reaction temperature was raised to 0 °C, and then the reaction mixture was cooled again to -40 °C. Then, a mixture comprising 1.16 g (7.5 mmol) of 1-methyl-3-n-propyl-2-iminoimidazolidin-4-one, 0.83 g (8.2 mmol) of triethylamine and 20 ml of dry tetrahydrofuran, was added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for 2 hours. Then, the solvent was distilled off under reduced pressure, and then 100 ml of water was added to the obtained residue. The mixture was extracted three times with 100 ml of chloroform. Then, the chloroform layer was washed with water and then dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure, and precipitated crystals were washed with a solvent mixture of ethyl ether/acetonitrile and collected by filtration to obtain 0.16 g of desired 1-(1-methyl-3-n-propylimidazolidin-4-one-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea.

Melting point: 96 - 98 °C

The structures and the physical property values of the compounds prepared by the same methods as in Examples d-1 and d-2 are presented in Table 14d.

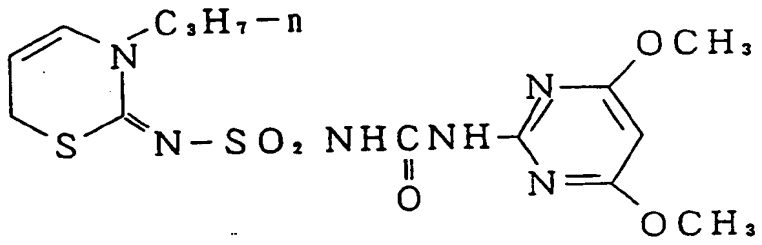
Table 14d



Compound No.	R^{d1}	R^{d4}	R^{d5}	A	B	D	m.p. (°C)
3-d	CH_3	H	CH_3	CH	OCH_3	OCH_3	188-189
4-d	C_2H_5	H	CH_3	CH	OCH_3	OCH_3	111-113
5-d	$CH_2CH=CH_2$	H	CH_3	CH	OCH_3	OCH_3	156-158
6-d	$CH_2C\equiv CH$	H	CH_3	CH	OCH_3	OCH_3	181-182
7-d	CH_2COCH_3	H	CH_3	CH	OCH_3	OCH_3	190-192
8-d	$CH_2CO_2CH_3$	H	CH_3	CH	OCH_3	OCH_3	188-190
9-d	CH_2OCH_3	H	CH_3	CH	OCH_3	OCH_3	155-156
10-d	CH_2SCH_3	H	CH_3	CH	OCH_3	OCH_3	115-120 (decomposed)

EXAMPLE e-1

Preparation of 1-(3,6-dihydro-3-n-propyl-2H-1,3-thiazine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea



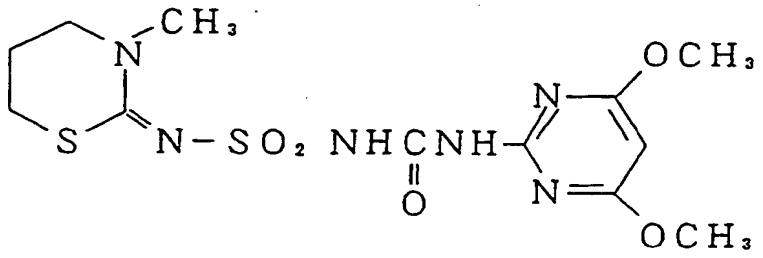
No. 1-e

0.32 g (2.06 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 40 ml of dry tetrahydrofuran, and the solution was cooled to -40 °C. At the same temperature, 0.29 g (2.05 mmol) of chlorosulfonyl isocyanate was dropwise added thereto. Then, the temperature was raised to 0 °C. The mixture was cooled again to -40 °C, and then a mixed solution comprising 0.4 g (2.56 mmol) of 3,6-dihydro-3-n-propyl-2H-1,3-thiazin-2-imine, 0.26 g (2.57 mmol) of triethylamine and 40 ml of dry tetrahydrofuran, was dropwise added

thereto. The mixture was gradually heat d to room temperature with stirring, and then the solvent was distilled off under reduced pr ssur . 100 ml of water was added to the residue, and then the mixture was extracted twice with 50 ml of chloroform. The chloroform layer was washed with water and then dried over anhydrous sodium sulfate. Then, chloroform was distilled off under reduced pressure. Obtained crystals were washed with acetonitrile and then with ethyl ether to obtain 0.3 g of desired 1-(3,6-dihydro-3-n-propyl-2H-1,3-thiazine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea.
Melting point: 161 - 163 °C

EXAMPLE e-2

Preparation of 1-(3,4,5,6-tetrahydro-3-methyl-2H-1,3-thiazine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea



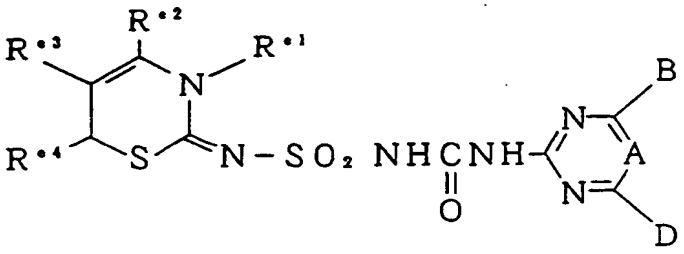
No. 2-e

1.55 g (10 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 30 ml of dry tetrahydrofuran, and 1.42 g (10 mmol) of chlorosulfonyl isocyanate was dropwise added thereto in a range of from -15 °C to -5 °C. The reaction solution was heated to 0 °C and then further stirred at the same temperature for 15 minutes. Then, the reaction solution was cooled again to -30 °C, and a mixed solution comprising 1.56 g (12 mmol) of 3,4,5,6-tetrahydro-3-methyl-2H-1,3-thiazin-2-imine, 1.21 g (12 mmol) of triethylamine and 10 ml of dry tetrahydrofuran, was dropwise added thereto. The reaction solution was gradually heated to room temperature with stirring, and then the solvent was distilled off under reduced pressure. 80 ml of water was added to the residue, and precipitated crystals were extracted three times with 60 ml of chloroform. The chloroform layer was washed with water and dried over anhydrous sodium sulfate. Then, chloroform was distilled off under reduced pressure.

Obtained crystals were washed with a solvent mixture of ethyl ether/acetonitrile to obtain 1.2 g of desired 1-(3,4,5,6-tetrahydro-3-methyl-2H-1,3-thiazine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea.
Melting point: 188 - 190 °C

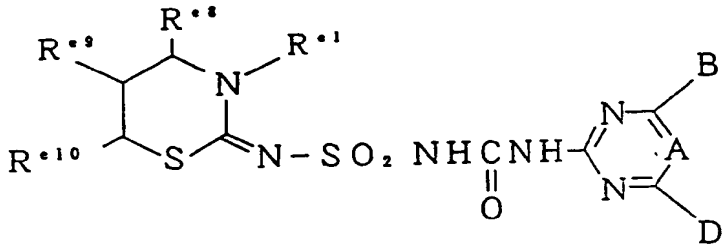
The structures and the physical property values of the compounds prepared by the same methods as in Examples e-1 and e-2 are presented in Tables 14e-1 and 14e-2.

Table 14e-1



Compound No.	R^{e1}	R^{e2}	R^{e3}	R^{e4}	A	B	D	m.p. (°C)
3-e	CH_3	H	H	H	CH	OCH_3	OCH_3	194-196
4-e	CH_2OCH_3	H	H	H	CH	OCH_3	OCH_3	158-160

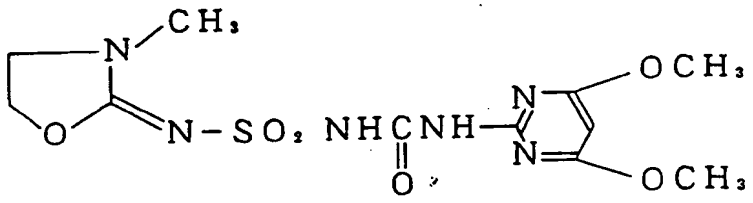
Table 14e-2



Compound No.	R^{e1}	R^{e8}	R^{e9}	R^{e10}	A	B	D	m.p. (°C)
5-e	C_3H_7-n	H	H	H	CH	OCH_3	OCH_3	166-167

EXAMPLE f-1

Preparation of 1-(3-methyloxazolidine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea



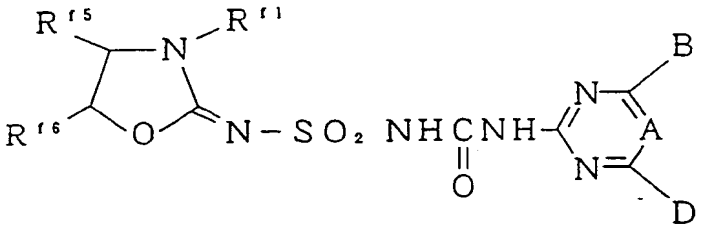
No. 1-f

1.55 g (10 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 30 ml of dry tetrahydrofuran, and 1.42 g (10 mmol) of chlorosulfonyl isocyanate was dropwise added thereto at -20 °C. The reaction temperature was raised to -5 °C, and the mixture was stirred at the same temperature for 5 minutes. The reaction mixture was cooled again to -20 °C, and a mixture comprising 2.28 g (10 mmol) of 2-imino-3-methyloxazolidinehydroiodide, 2.22 g (22 mmol) of triethylamine and 30 ml of dry tetrahydrofuran, was added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for one hour. Then, the solvent was distilled off under reduced pressure, and then 100 ml of water was added to the obtained residue. Precipitated crystals were collected by filtration, washed with water and then with a solvent mixture of ethyl ether/acetonitrile and dried to obtain 0.8 g of desired 1-(3-methyloxazolidine-2-sulfonylimino)-3-(4,6-dimethoxypyrimidin-2-yl)urea.

Melting point: 174 - 175 °C

The structures and the physical property values of the compounds prepared by the same method as in Example f-1 are presented in Tables 14f.

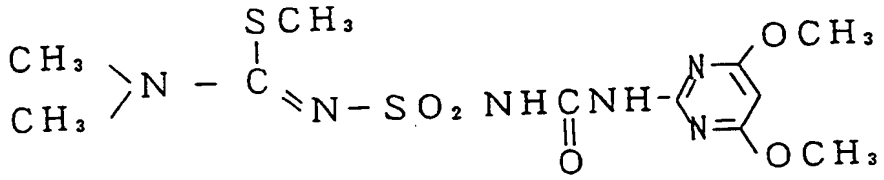
Table 14f



Compound No.	R^{f1}	R^{f5}	R^{f6}	A	B	D	m.p. (°C)
2-f	$CH_2CH=CH_2$	H	H	CH	OCH_3	OCH_3	157-159

EXAMPLE g-1

Preparation of 1-[N-((methylthio-N,N-dimethylamino)-methylene)aminosulfonyl]-3-(4,6-dimethoxypyrimidin-2-yl)urea



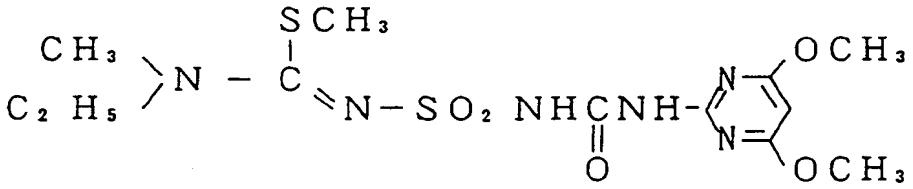
No. 1-g

1.55 g (10 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 40 ml of dry tetrahydrofuran, and 1.42 g (10 mmol) of chlorosulfonyl isocyanate was dropwise added thereto in a range of from -20 °C to -15 °C. The reaction temperature was raised to 0 °C, and the mixture was cooled again to -20 °C. Then, a mixture comprising 2.5 g (10.2 mmol) of N,N-dimethyl-S-methylisothiourea hydroiodide, 2.22 g (22 mmol) of triethylamine and 30 ml of dry tetrahydrofuran, was added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for 10 minutes. Then, the solvent was distilled off under reduced pressure, and then 80 ml of water was added to the obtained residue. The mixture was extracted three times with 30 ml of chloroform. The chloroform layer was washed with water and then dried over anhydrous sodium sulfate. Then, solvent was distilled off under reduced pressure. Obtained crystals were washed with a solvent mixture of ethyl ether/acetonitrile to obtain 2 g of desired 1-[N-((methylthio-N,N-dimethylamino)methylene)-aminosulfonyl]-3-(4,6-dimethoxypyrimidin-2-yl)-urea.

Melting point: 167 - 168 °C

EXAMPLE g-2

Preparation of 1-[N-((methylthio-N-ethyl-N-methylamino)-methylene)aminosulfonyl]-3-(4,6-dimethoxypyrimidin-2-yl)urea



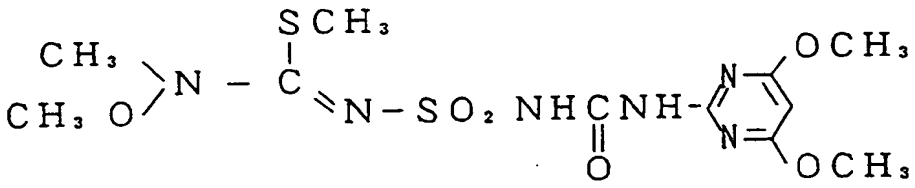
No. 7-g

1.55 g (10 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 40 ml of dry tetrahydrofuran, and 1.42 g (10 mmol) of chlorosulfonyl isocyanate was dropwise added thereto in a range of from -20 °C to -15 °C. The reaction temperature was raised to 0 °C, and the mixture was cooled again to -20 °C. Then, a mixture comprising 2.60 g (10 mmol) of N-ethyl-N-methyl-S-methylisothiourea hydroiodide, 2.22 g (22 mmol) of triethylamine and 30 ml of dry tetrahydrofuran, was added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for one hour. Then, the solvent was distilled off under reduced pressure, and 80 ml of water was added to the obtained residue. The mixture was extracted three times with 50 ml of chloroform. The chloroform layer was washed with water, and then dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. Obtained crystals were washed with acetonitrile to obtain 1.32 g of desired 1-[N-((methylthio-N-ethyl-N-methylamino)methylene)-aminosulfonyl]-3-(4,6-dimethoxypyrimidin-2-yl)urea.

Melting point: 143 - 144 °C

EXAMPLE g-3

Preparation of 1-[N-((methylthio-N-methoxy-N-methylamino)methylene)aminosulfonyl]-3-(4,6-dimethoxypyrimidin-2-yl)urea

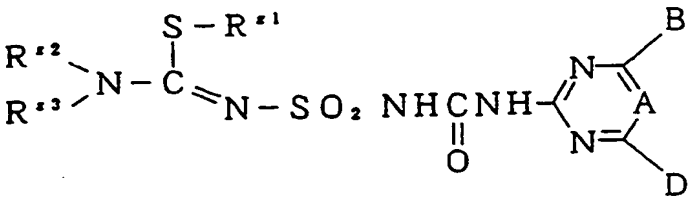


No. 12-g

0.78 g (5 mmol) of 2-amino-4,6-dimethoxypyrimidine was dissolved in 20 ml of dry tetrahydrofuran, and 0.71 g (5 mmol) of chlorosulfonyl isocyanate was dropwise added thereto in a range of from -20 °C to -15 °C. The reaction temperature was raised to 0 °C, and then the mixture was cooled again to -20 °C. Then, a mixture comprising 1.31 g (5 mmol) of N-methoxy-N-methyl-S-methylisothiourea hydroiodide, 1.11 g (11 mmol) of triethylamine and 15 ml of dry tetrahydrofuran, was added thereto. The reaction temperature was raised to room temperature, and the mixture was further stirred at the same temperature for one hour. Then, the solvent was distilled off under reduced pressure, and then 40 ml of water was added to the obtained residue. The mixture was extracted three times with 25 ml of chloroform. The chloroform layer was washed with water, and then dried over anhydrous sodium sulfate. Then, the solvent was distilled off under reduced pressure. Obtained crystals were washed with acetonitrile to obtain 1.51 g of desired 1-[N-((methylthio-N-methoxy-N-methylamino)methylene)-aminosulfonyl]-3-(4,6-dimethoxypyrimidin-2-yl)urea.
Melting point: 165 - 167 °C

The structures and the physical property values of the compounds prepared by the same methods as in Examples g-1 to g-3 are presented in Table 14g.

Table 14g



Compound No.	R^{z1}	R^{z2}	R^{z3}	A	B	D	m.p. (°C)
2-g	C_2H_5	CH_3	CH_3	CH	OCH_3	OCH_3	129-130
3-g	C_3H_7-n	CH_3	CH_3	CH	OCH_3	OCH_3	115-116
4-g	$CH_2CH=CH_2$	CH_3	CH_3	CH	OCH_3	OCH_3	131-132
5-g	$CH_2C\equiv CH$	CH_3	CH_3	CH	OCH_3	OCH_3	140-141
6-g	CH_3	CH_3	$COCH_3$	CH	OCH_3	OCH_3	162-164
8-g	CH_3	$-(CH_2)_4-$		CH	OCH_3	OCH_3	184-186
9-g	CH_3	CH_3	C_3H_7-n	CH	OCH_3	OCH_3	123-125
10-g	CH_3	CH_3	Ph	CH	OCH_3	OCH_3	163-164
11-g	CH_3	CH_3	CH_3	CH	OCH_3	CH_3	178-179
13-g	CH_3	CH_3	OC_2H_5	CH	OCH_3	OCH_3	142-143
14-g	CH_3	CH_3	OC_3H_7-n	CH	OCH_3	OCH_3	124-125
15-g	CH_3	CH_3	OC_3H_7-n	CH	OCH_3	CH_3	142-143
16-g	CH_3	CH_3	OC_2H_5	CH	OCH_3	CH_3	141-142
17-g	CH_3	CH_3	OC_2H_5	N	OCH_3	CH_3	148-149
18-g	CH_3	CH_3	OC_3H_7-n	N	OCH_3	CH_3	138-139
19-g	CH_3	CH_3	$CH_2CH=CH_2$	CH	OCH_3	OCH_3	138-141
20-g	CH_3	CH_3	CH_3	N	OCH_3	CH_3	166-167

Now, Formulation Examples of the herbicides containing the compounds of the present invention will be given specifically. However, it should be understood that the present invention is by no means restrict d to such specific Examples. In the following Formulation Examples, "parts" means "parts by weight".

Wettable powder	
Compound of the present invention	5-80 parts
Solid carrier	10-85 parts
Surfactant	1-10 parts
Other	1-5 parts

As other, a coagulation preventing agent may, for example, be mentioned.

Emulsifiable concentrate	
Compound of the present invention	1-30 parts
Liquid carrier	30-95 parts
Surfactant	5-15 parts

Flowable	
Compound of the present invention	5-70 parts
Liquid carrier	15-65 parts
Surfactant	5-12 parts
Other	5-30 parts

As other, an antifreezing agent and a thickener may, for example, be mentioned.

Granular wettable powder (dry flowable)	
Compound of the present invention	20-90 parts
Solid carrier	10-60 parts
Surfactant	1-20 parts

Granule	
Compound of the present invention	0.1-10 parts
Solid carrier	90-99.9 parts
Other	1-5 parts

FORMULATION EXAMPLE a-1: Wettable powder

Compound No. 1-a of the present invention	20 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	76 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	2 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE a-2: Wettable powder

Compound No. 2-a of the present invention	40 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	54 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	4 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE a-3: Emulsifiable concentrate

Compound No. 3-a of the present invention	5 parts
Xylene	75 parts
N,N-dimethylformamide	15 parts
Sorpol 2680 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	5 parts

The above ingredients are homogeneously mixed to form an emulsifiable concentrate.

FORMULATION EXAMPLE a-4: Flowable

Compound No. 7-a of the present invention	25 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	44.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE a-5: Flowable

Compound No. 8-a of the present invention	40 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	29.5 parts

The above ingredi nts were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE a-6: Granular wettable powder (dry flowable)

Compound No. 10-a of the present invention	75 parts
Isoban No. 1 (tradename for an anionic surfactant, manufactured by Kuraray Isoprene Chemical Co., Ltd.)	10 parts
Vanirex N (tradename for an anionic surfactant, manufactured by Sanyo Kokusaku Pulp Co., Ltd.)	5 parts
Carplex #80 (tradename for a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	10 parts

The above ingredients are uniformly mixed and pulverized to form a dry flowable.

FORMULATION EXAMPLE a-7: Granule

Compound No. 69-a of the present invention	1 part
Bentonite	55 parts
Talc	44 parts

The above ingredients were homogeneously mixed and pulverized, and after an addition of a small amount of water, the mixture was stirred, mixed and granulated by an extrusion-type granulating machine, followed by drying to obtain a granule.

FORMULATION EXAMPLE b-1: Wettable powder

Compound No. 1-b of the present invention	20 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	76 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	2 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE b-2: Wettable powder

Compound No. 2-b of the present invention	40 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	54 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	4 parts

The above ingredients are homog neously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE b-3: Emulsifiable concentrate

Compound No. 3-b of the present invention	5 parts
Xylene	75 parts
N,N-dimethylformamide	15 parts
Sorpol 2680 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	5 parts

The above ingredients are homogeneously mixed to form an emulsifiable concentrate.

FORMULATION EXAMPLE b-4: Flowable

Compound No. 1-b of the present invention	25 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	44.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE b-5: Flowable

Compound No. 4-b of the present invention	40 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	29.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE b-6: Granular wettable powder (dry flowable)

Compound No. 5-b of the present invention	75 parts
Isoban No. 1 (tradename for an anionic surfactant, manufactured by Kuraray Isoprene Chemical Co., Ltd.)	10 parts
Vanirex N (tradename for an anionic surfactant, manufactured by Sanyo Kokusaku Pulp Co., Ltd.)	5 parts
Carplex #80 (tradename for a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	10 parts

The above ingredients are uniformly mixed and pulverized to form a dry flowable.

FORMULATION EXAMPLE b-7: Granul

Compound No. 6-b of the present invention	1 part
Bentonite	55 parts
Talc	44 parts

The above ingredients were homogeneously mixed and pulverized, and after an addition of a small amount of water, the mixture was stirred, mixed and granulated by an extrusion-type granulating machine, followed by drying to obtain a granule.

FORMULATION EXAMPLE c-1: Wettable powder

Compound No. 1-c of the present invention	20 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	76 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	2 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE c-2: Wettable powder

Compound No. 2-c of the present invention	40 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	54 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	4 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE c-3: Emulsifiable concentrate

Compound No. 12-c of the present invention	5 parts
Xylene	75 parts
N,N-dimethylformamide	15 parts
Sorpol 2680 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	5 parts

The above ingredients are homogeneously mixed to form an emulsifiable concentrate.

FORMULATION EXAMPLE c-4: Flowable

Compound No. 24-c of the present invention	25 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	44.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE c-5: Flowable

Compound No. 37-c of the present invention	40 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	29.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE c-6: Granular wettable powder (dry flowable)

Compound No. 45-c of the present invention	75 parts
Isoban No. 1 (tradename for an anionic surfactant, manufactured by Kuraray Isoprene Chemical Co., Ltd.)	10 parts
Vanirex N (tradename for an anionic surfactant, manufactured by Sanyo Kokusaku Pulp Co., Ltd.)	5 parts
Carplex #80 (tradename for a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	10 parts

The above ingredients are uniformly mixed and pulverized to form a dry flowable.

FORMULATION EXAMPLE c-7: Granule

Compound No. 48-c of the present invention	1 part
Bentonite	55 parts
Talc	44 parts

The above ingredients were homogeneously mixed and pulverized, and after an addition of a small amount of water, the mixture was stirred, mixed and granulated by an extrusion-type granulating machine, followed by drying to obtain a granule.

FORMULATION EXAMPLE d-1: Wettable powder

Compound No. 1-d of the present invention	20 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	76 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon manufactured by Shionogi Pharmaceutical Co., Ltd.)	2 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE d-2: Wettable powder

Compound No. 2-d of the present invention	40 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	54 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	4 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE d-3: Emulsifiable concentrate

Compound No. 3-d of the present invention	5 parts
Xylene	75 parts
N,N-dimethylformamide	15 parts
Sorpol 2680 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	5 parts

The above ingredients are homogeneously mixed to form an emulsifiable concentrate.

FORMULATION EXAMPLE d-4: Flowable

Compound No. 4-d of the present invention	25 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	44.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE d-5: Flowable

Compound No. 5-d of the present invention	40 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	29.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE d-6: Granular wettable powder (dry flowable)

Compound No. 6-d of the present invention	75 parts
Isoban No. 1 (tradename for an anionic surfactant, manufactured by Kuraray Isoprene Chemical Co., Ltd.)	10 parts
Vanirex N (tradename for an anionic surfactant, manufactured by Sanyo Kokusaku Pulp Co., Ltd.)	5 parts
Carplex #80 (tradename for a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	10 parts

The above ingredients are uniformly mixed and pulverized to form a dry flowable.

FORMULATION EXAMPLE d-7: Granule

Compound No. 9-d of the present invention	1 part
Bentonite	55 parts
Talc	44 parts

The above ingredients were homogeneously mixed and pulverized, and after an addition of a small amount of water, the mixture was stirred, mixed and granulated by an extrusion-type granulating machine, followed by drying to obtain a granule.

FORMULATION EXAMPLE e-1: Wettable powder

Compound No. 1-e of the present invention	20 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	76 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	2 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE e-2: Wettable powder

Compound No. 2-e of the present invention	40 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	54 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	4 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE e-3: Emulsifiable concentrate

Compound No. 3-e of the present invention	5 parts
Xylene	75 parts
N,N-dimethylformamide	15 parts
Sorpol 2680 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	5 parts

The above ingredients are homogeneously mixed to form an emulsifiable concentrate.

FORMULATION EXAMPLE e-4: Flowable

Compound No. 4-e of the present invention	25 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	44.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE e-5: Flowable

Compound No. 5-e of the present invention	40 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	29.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE e-6: Granular wettable powder (dry flowable)

Compound No. 1-e of the present invention	75 parts
Isoban No. 1 (tradename for an anionic surfactant, manufactured by Kuraray Isoprene Chemical Co., Ltd.)	10 parts
Vanirex N (tradename for an anionic surfactant, manufactured by Sanyo Kokusaku Pulp Co., Ltd.)	5 parts
Carplex #80 (tradename for a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	10 parts

The above ingredients are uniformly mixed and pulverised to form a dry flowable.

FORMULATION EXAMPLE e-7: Granule

Compound No. 5-e of the present invention	1 part
Bentonite	55 parts
Talc	44 parts

The above ingredients were homogeneously mixed and pulverized, and after an addition of a small amount of water, the mixture was stirred, mixed and granulated by an extrusion-type granulating machine, followed by drying to obtain a granule.

FORMULATION EXAMPLE f-1: Wettable powder

Compound No. 1-f of the present invention	20 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	76 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	2 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE f-2: Wettable powder

Compound No. 2-f of the present invention	40 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	54 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	4 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE f-3: Emulsifiable concentrate

Compound No. 1-f of the present invention	5 parts
Xylene	75 parts
N,N-dimethylformamide	15 parts
Sorpol 2680 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	5 parts

The above ingredients are homogeneously mixed to form an emulsifiable concentrate.

FORMULATION EXAMPLE f-4: Flowable

Compound No. 2-f of the present invention	25 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	44.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE f-5: Flowable

Compound No. 2-f of the present invention	40 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	29.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE f-6: Granular wettable powder (dry flowable)

Compound No. 2-f of the present invention	75 parts
Isoban No. 1 (tradename for an anionic surfactant, manufactured by Kuraray Isoprene Chemical Co., Ltd.)	10 parts
Vanirex N (tradename for an anionic surfactant, manufactured by Sanyo Kokusaku Pulp Co., Ltd.)	5 parts
Carplex #80 (tradename for a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	10 parts

The above ingredients are uniformly mixed and pulverized to form a dry flowable.

FORMULATION EXAMPLE f-7: Granule

Compound No. 2-f of the present invention	1 part
Bentonite	55 parts
Talc	44 parts

The above ingredients were homogeneously mixed and pulverized, and after an addition of a small amount of water, the mixture was stirred, mixed and granulated by an extrusion-type granulating machine, followed by drying to obtain a granule.

FORMULATION EXAMPLE g-1: Wettable powder

Compound No. 1-g of the present invention	20 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	76 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	2 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE g-2: Wettable powder

Compound No. 2-g of the present invention	40 parts
Zeeklite A (tradename for a kaolin-type clay, manufactured by Zeeklite Industries, Co., Ltd.)	54 parts
Sorpol 5039 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	2 parts
Carplex (tradename for a coagulation-preventing agent composed of a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	4 parts

The above ingredients are homogeneously pulverized and mixed to form a wettable powder.

FORMULATION EXAMPLE g-3: Emulsifiable concentrate

Compound No. 3-g of the present invention	5 parts
Xylene	75 parts
N,N-dimethylformamide	15 parts
Sorpol 2680 (tradename for a mixture of a nonionic surfactant and an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	5 parts

The above ingredients are homogeneously mixed to form an emulsifiable concentrate.

FORMULATION EXAMPLE g-4: Flowable

Compound No. 4-g of the present invention	25 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufacture by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	44.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE g-5: Flowable

Compound No. 5-g of the present invention	40 parts
Agrizole S-710 (tradename for a nonionic surfactant, manufactured by Kao Corp.)	10 parts
Runox 1000C (tradename for an anionic surfactant, manufactured by Toho Chemical Industry Co., Ltd.)	0.5 part
1% Rodopol water (tradename for a thickener, manufactured by Rhone-Poulenc)	20 parts
Water	29.5 parts

The above ingredients were homogeneously mixed to obtain a flowable.

FORMULATION EXAMPLE g-6: Granular wettable powder (dry flowable)

Compound No. 12-g of the present invention	75 parts
Isoban No. 1 (tradename for an anionic surfactant, manufactured by Kuraray Isoprene Chemical Co., Ltd.)	10 parts
Vanirex N (tradename for an anionic surfactant, manufactured by Sanyo Kokusaku Pulp Co., Ltd.)	5 parts
Carplex #80 (tradename for a white carbon, manufactured by Shionogi Pharmaceutical Co., Ltd.)	10 parts

The above ingredients are uniformly mixed and pulverized to form a dry flowable.

FORMULATION EXAMPLE g-7: Granule

Compound No. 19-g of the present invention	1 part
Bentonite	55 parts
Talc	44 parts

The above ingredients were homogeneously mixed and pulverized, and after an addition of a small amount of water, the mixture was stirred, mixed and granulated by an extrusion-type granulating machine, followed by drying to obtain a granule.

In use, the above wettable powder, emulsifiable concentrate, flowable or granular wettable powder is diluted with water from 50 to 1,000 times and applied so that the active ingredient will be from 0.0001 to 10 kg per hectare (ha).

Now, the herbicidal activities of the compounds of the present invention will be described in detail with ref rence to the following Test Examples.

TEST EXAMPLE 1: Test-1 on the herbicidal effects in soil treatment

A plastic box having a length of 15 cm, a width of 22 cm and a depth of 6 cm was filled with a sterilized diluvial soil, and seeds of Echinochloa crus-galli, Digitaria adscendens, Cyperus microiria, Solanum nigrum, Galinsoga ciliata, Rorippa indica, Oryza sativa, Zea mays, Triticum aestivum, Glycine max and Gossypium spp. were sown, and the soil was covered thereon in a thickness of about 1.5 cm, and then a herbicide solution was applied onto the surface of the soil uniformly so that the active ingredient was distributed at a predetermined concentration. The herbicide solution was prepared by diluting a wettable powder prepared in accordance with the foregoing Formulation Examples with water and applied by a small spray onto the entire soil surface. Four weeks after the application of the herbicidal solution, the herbicidal effects against each weed and the phytotoxicities against each crop plant were determined on the basis of the following standard ratings. The results are shown in Table 15.

Standard ratings:

5: Growth control rate of more than 90% (almost completely withered)
4: Growth control rate of from 70 to 90%
3: Growth control rate of from 40 to 70%
2: Growth control rate of from 20 to 40%
1: Growth control rate of from 5 to 20%
0: Growth control rate of less than 5% (almost non-effective)

The above growth control rates were calculated by the following equation:

$$\text{Growth control rate (\%)} = (1 - \frac{T}{N}) \times 100$$

where
T: Weight of the weed grown above the soil surface of the treated area
N: Weight of the weed grown above the soil surface of the non-treated area

TEST EXAMPLE 2: Test-1 on the herbicidal effects in foliage treatment

A plastic box having a length of 15 cm, a width of 22 cm and a depth of 6 cm was filled with a sterilized diluvial soil, and seeds of Echinochloa crus-galli, Digitaria adscendens, Avena fatua, Cyperus microiria, Solanum nigrum, Galinsoga ciliata, Rorippa indica, Oryza sativa, Zea mays, Triticum aestivum, Glycine max, Gossypium spp. and Beta vulgaris were spot-wisely sown, and the soil was covered thereon in a thickness of about 1.5 cm. When the various weeds and crop plants grew to the 2 or 3 leaf stage, a herbicidal solution was uniformly sprayed on the foliages so that the active ingredient was applied in a predetermined concentration. The herbicidal solution was prepared by diluting a wettable powder prepared in accordance with the above Formulation Examples with water and applied onto the entire surface of the foliages of the weeds and the crop plants by a small spray. Four weeks after the application of the herbicide solution, the herbicidal effects against each weed and the phytotoxicities against each crop plant were determined on the basis of the standard ratings described in Test Example 1. The results are shown in Table 16.

TEST EXAMPLE 3: Test-1 on the herbicidal effects in irrigation treatment

Into a Wagner pot of 1/5000a, alluvial soil was put, and then water was introduced and mixed to form an irrigated state with a water depth of 4 cm. Seeds of Echinochloa crus-galli, Scirpus juncoides, Monochoria vaginalis and Rotala indica were sown in the above pot, aid tubers of Sagittaria pygmaea and Cyperus serotinus were embedded. Then, rice seedlings of 2.5 leaf stage were transplanted. The pot was placed in a greenhouse at a temperature of from 25 to 30 °C, and the plants were cultured. On the third day after the seeding and plantation, a diluted solution of the herbicide was dropwise applied to the water surface by a measuring pipette, so that the dose would be a predetermined level. Three weeks after the dropwise application of the herbicide, the herbicidal effects against various weeds and rice were determined on the basis of the standard ratings described in Test Example 1. The results are shown in Table 17.

In Tables 15, 16 and 17, Compound Nos. correspond to Compound Nos. in the Examples, and symbols have the following m anings.

A: Echinochloa crus-galli (barnyardgrass)
B: Digitaria adscendens (large crabgrass)
C: Avena fatua (wild oat)
D: Cyperus microiria (annual sedge)
E: Solanum nigrum (black nightshade)
F: Galinsoga ciliata (hairy galinsoga)
G: Rorippa indica (fieldcress)
H: Scirpus juncoides (bulrush)
I: Monochoria vaginalis (ducksalad)
J: Rotala indica (toothcup)
K: Sagittaria pygmaea (arrowhead)
L: Cyperus serctinus (flat sedge)
a: Oryza sativa (rice)
b: Zea mays (corn)
c: Triticum aestivum (wheat)
d: Glycine max (soybean)
e: Gossypium spp. (cotton)
f: Beta vulgaris (sugar beet)

Table 15

No.	Dose (kg/ha)	A	B	D	E	F	G	a	b	c	d	e
1-a	2.5	4	4	5	1	3	5	5	5	5	4	3
2-a	0.04	5	5	5	1	5	5	1	0	0	0	0
	0.08	5	5	5	1	5	5	2	1	1	0	0
	0.16	5	5	5	2	5	5	3	3	2	1	0
3-a	2.5	4	4	1	1	0	4	3	0	2	1	0
6-a	0.63	5	5	5	0	4	4	4	1	3	0	0
7-a	0.04	4	5	5	0	3	4	0	0	0	0	0
	0.08	5	5	5	0	4	5	0	0	0	0	0
	0.16	5	5	5	2	5	5	2	0	0	0	0
8-a	0.63	5	5	5	2	5	5	3	0	0	0	0
10-a	0.16	4	5	5	0	2	4	0	0	0	0	0
	0.32	5	5	5	0	4	5	1	0	0	0	0
	0.63	5	5	5	0	5	5	3	0	0	0	0
12-a	0.04	5	4	4	0	3	5	0	0	0	0	0
	0.08	5	5	5	0	4	5	1	0	0	0	0
	0.16	5	5	5	1	5	5	3	1	0	0	0
20-a	0.04	4	2	5	0	3	3	1	0	0	0	0
	0.08	5	3	5	0	4	4	2	0	0	0	0
	0.16	5	4	5	1	5	5	3	1	0	0	0
22-a	0.16	5	2	5	0	5	5	0	0	0	0	0
	0.32	5	3	5	1	5	5	0	0	0	0	0
	0.63	5	4	5	1	5	5	1	0	0	0	0

Table 15 continued

No.	Dose (kg/ha)	A	B	D	E	F	G	a	b	c	d	e
24-a	0.16	5	5	4	0	3	4	0	0	0	0	0
	0.32	5	5	5	0	4	5	2	0	0	0	0
	0.63	5	5	5	0	5	5	3	1	1	0	0
25-a	0.63	5	5	5	2	4	5	3	1	1	0	0
26-a	0.63	4	3	4	0	4	5	0	0	0	0	0
30-a	2.5	3	2	5	4	5	5	4	3	2	1	0
31-a	2.5	2	2	5	4	4	5	2	0	1	0	0
34-a	2.5	5	5	5	4	5	5	3	3	5	0	0
36-a	2.5	5	4	5	5	5	5	1	0	0	0	0
38-a	0.63	5	5	5	2	4	5	2	1	0	0	0
39-a	2.5	5	5	5	4	4	5	3	1	0	0	0
40-a	0.63	5	5	5	3	4	5	2	1	1	0	0
41-a	2.5	5	5	5	4	5	5	4	4	1	1	0
43-a	2.5	3	4	5	3	3	5	0	0	0	0	0
45-a	0.63	5	5	5	0	5	5	0	0	0	0	0
47-a	0.63	5	4	5	3	5	5	3	3	1	0	0
48-a	0.63	5	4	5	1	5	5	5	3	2	0	0
49-a	2.5	5	5	5	2	5	5	3	2	1	0	0
52-a	2.5	5	5	5	3	3	5	4	1	1	0	0
53-a	2.5	5	5	5	3	3	5	5	0	0	0	0
56-a	2.5	5	5	5	3	5	5	4	3	3	3	0
59-a	0.63	5	4	5	5	5	5	1	0	0	0	0
61-a	2.5	5	5	5	4	5	5	1	2	1	0	0

Table 15 continu d

No.	Dose (kg/ha)	A	B	D	E	F	G	a	b	c	d	e
63-a	0.63	5	5	5	3	5	5	3	1	2	0	0
64-a	2.5	5	5	5	2	5	5	3	2	3	1	0
73-a	0.63	5	5	5	3	5	5	5	5	5	3	0
74-a	0.63	5	5	5	2	5	5	3	2	2	0	0
1-b	5	—	—	4	—	3	5	—	0	0	0	—
3-b	5	—	—	3	—	2	4	—	0	0	0	—
1-c	0.16	5	5	5	2	4	5	5	4	1	4	0
2-c	0.16	5	5	5	4	5	5	5	4	2	0	0
3-c	0.16	5	5	5	2	5	5	5	3	3	1	0
4-c	0.63	4	5	5	2	4	5	1	1	0	0	0
5-c	0.63	5	5	5	1	5	5	1	1	0	0	0
6-c	0.63	2	3	5	0	4	5	1	0	0	0	0
7-c	0.63	5	5	5	2	5	5	4	1	0	1	0
8-c	10	2	4	5	5	4	5	1	0	0	0	0
11-c	0.63	5	5	5	2	5	5	3	2	1	0	0
12-c	0.16	5	5	5	2	5	5	4	3	0	0	0
13-c	0.16	5	5	5	4	5	5	4	3	3	0	0
14-c	0.63	5	5	5	0	5	5	2	0	0	0	0
15-c	0.63	5	5	5	3	5	5	2	1	0	0	0
16-c	0.63	5	5	5	4	5	5	4	4	3	0	0
17-c	0.63	5	5	5	3	5	5	2	0	0	0	0
18-c	0.16	5	5	5	3	5	5	5	2	0	0	0
20-c	0.63	0	0	3	3	5	5	0	0	0	0	0

Table 15 continued

No.	Dose (kg/ha)	A	B	D	E	F	G	a	b	c	d	e
23-c	0.63	4	3	5	5	5	5	5	1	2	0	0
24-c	0.16	5	5	1	2	5	5	4	1	1	0	0
26-c	0.63	5	5	4	2	5	5	5	3	5	3	0
35-c	0.16	5	5	5	3	5	5	5	5	4	0	0
36-c	0.16	5	5	5	3	5	5	5	4	4	0	0
37-c	0.16	5	5	5	4	5	5	5	5	5	4	1
38-c	0.63	5	5	5	3	5	5	5	5	2	0	1
39-c	0.63	5	5	5	3	5	5	4	4	3	1	0
40-c	0.16	5	5	5	4	5	5	5	5	5	2	2
2-d	2.5	4	5	5	5	4	5	0	0	0	0	0
3-d	2.5	5	5	5	5	0	5	0	0	0	0	0
5-d	2.5	3	5	5	5	3	5	3	0	0	0	0
6-d	10	2	4	5	5	5	5	1	0	0	0	1
9-d	10	3	5	5	5	5	5	3	1	1	0	0
1-e	5	5	5	5	2	2	5	5	1	0	0	0
2-e	2.5	3	3	2	1	0	2	0	0	0	0	0
4-e	5	3	5	5	3	3	5	1	0	0	0	0
2-f	10	4	5	5	5	5	5	4	0	1	0	0
1-g	0.63	5	5	5	5	5	5	3	0	1	1	0
7-g	2.5	5	5	5	3	5	5	3	1	4	2	1
9-g	2.5	5	5	5	5	5	5	0	0	0	0	0
11-g	0.63	5	5	5	3	5	5	3	2	1	1	0
12-g	2.5	5	4	5	3	5	5	1	1	1	0	0

Table 15 continu d

No.	Dose (kg/ha)	A	B	D	E	F	G	a	b	c	d	e
13-g	2.5	5	3	5	3	5	5	1	0	1	0	0
14-g	2.5	4	4	5	3	5	5	0	0	0	0	0
15-g	2.5	5	4	5	3	5	5	1	1	2	0	0
16-g	2.5	5	4	5	3	5	5	3	3	4	0	0
17-g	0.63	5	5	5	5	5	5	3	1	3	0	0
18-g	0.63	5	5	5	5	5	5	4	2	2	0	0
19-g	2.5	4	5	5	2	5	5	4	3	3	1	0

Table 16

No.	Dose (kg/ha)	A	B	C	D	E	F	G	a	b	c	d	e	f
1-a	2.5	4	2	4	2	5	4	4	3	3	3	3	1	3
2-a	0.16	5	2	4	3	4	4	4	2	3	2	3	0	1
	0.32	5	3	5	4	5	5	5	2	4	2	3	1	2
	0.63	5	3	5	4	5	5	5	3	4	3	4	2	2
3-a	2.5	4	3	4	2	3	1	2	1	1	2	3	1	1
6-a	2.5	5	3	5	2	3	3	4	4	4	3	3	1	0
7-a	0.16	5	1	3	2	3	2	3	1	3	0	0	1	0
	0.32	5	2	3	3	5	3	4	2	3	1	1	1	0
	0.63	5	3	4	3	5	4	5	3	4	3	3	2	2
8-a	2.5	5	1	5	2	5	4	4	3	4	2	4	2	2
10-a	2.5	5	2	5	3	5	3	4	3	3	3	4	1	3
12-a	0.16	4	3	4	3	2	4	4	1	3	0	0	0	0
	0.32	5	4	5	4	3	5	5	2	3	0	1	0	1
	0.63	5	5	5	5	4	5	5	3	4	1	2	1	1
20-a	0.16	5	0	2	4	4	4	4	2	4	0	0	0	1
	0.32	5	1	2	5	5	5	5	3	5	1	1	0	1
	0.63	5	2	3	5	5	5	5	4	5	2	2	1	1
22-a	0.63	5	1	2	5	5	5	5	2	4	1	2	0	3
24-a	0.63	5	2	4	4	4	5	5	3	4	1	1	0	1
30-a	2.5	3	0	5	4	4	2	5	3	5	1	3	1	3
31-a	2.5	2	0	5	3	4	5	5	3	4	1	1	0	1
34-a	2.5	5	5	3	5	5	4	4	2	5	1	1	0	3
36-a	2.5	4	0	2	4	4	4	3	0	3	0	0	0	0

Table 16 continued

No.	Dose (kg/ha)	A	B	C	D	E	F	G	a	b	c	d	e	f
38-a	2.5	5	3	4	5	5	3	4	4	5	2	3	0	1
40-a	2.5	5	3	5	5	4	3	4	4	5	3	3	1	0
47-a	2.5	5	2	5	5	3	5	5	4	5	1	3	1	3
48-a	2.5	5	2	5	5	5	5	5	5	5	3	4	1	5
56-a	2.5	5	3	5	3	5	3	5	3	4	1	3	0	3
59-a	2.5	5	2	5	5	5	5	5	4	5	2	3	1	5
63-a	2.5	5	3	3	5	5	5	5	3	5	1	4	1	4
64-a	2.5	5	3	5	5	5	5	5	4	5	3	3	0	4
73-a	0.16	5	5	5	4	2	5	5	4	5	4	4	1	0
74-a	0.63	5	5	3	4	5	5	5	1	5	2	1	1	4
1-c	0.16	5	5	5	5	5	5	5	4	5	1	4	1	5
2-c	0.16	5	5	5	5	4	5	5	4	4	2	3	1	4
3-c	0.16	5	5	5	5	5	5	5	5	4	2	4	1	5
4-c	0.63	5	2	1	3	3	5	5	3	4	0	0	0	4
5-c	0.63	5	5	5	5	5	5	5	3	5	3	3	3	5
6-c	0.63	5	5	4	5	5	5	5	2	5	2	4	2	5
7-c	0.63	5	5	4	5	5	5	5	3	4	1	3	3	4
8-c	10	2	2	2	3	5	5	5	0	3	0	0	2	4
11-c	0.63	5	5	5	5	5	5	5	3	4	3	3	4	5
12-c	0.16	5	5	4	5	5	5	5	2	5	1	4	3	5
13-c	0.16	5	5	5	5	5	5	5	3	5	3	5	4	5
14-c	0.63	5	5	5	5	2	5	5	2	4	0	0	3	5
15-c	0.63	5	5	4	5	5	5	5	3	5	0	0	2	5

Table 16 continued

No.	Dose (kg/ha)	A	B	C	D	E	F	G	a	b	c	d	e	f
16-c	0.63	5	5	4	5	5	5	5	3	5	3	4	4	5
17-c	0.63	5	4	3	5	5	5	5	1	5	1	3	3	4
18-c	0.16	5	5	4	5	5	5	5	2	5	3	4	4	5
20-c	0.63	1	1	0	0	5	5	5	0	0	0	0	1	2
21-c	0.63	3	5	2	3	5	5	5	1	3	0	0	1	2
23-c	0.63	5	4	3	5	5	5	5	1	1	0	0	1	1
24-c	0.16	5	5	5	2	3	5	5	4	5	1	5	3	3
26-c	0.63	5	5	5	3	4	5	5	5	5	5	5	0	3
35-c	0.16	5	5	5	5	5	5	5	4	5	4	3	3	5
36-c	0.16	5	5	5	5	5	5	5	4	5	4	4	3	5
37-c	0.16	5	5	5	5	5	5	5	5	5	5	5	3	5
38-c	0.63	5	5	3	5	5	5	5	3	5	2	4	2	5
39-c	0.63	5	5	5	5	4	5	5	4	5	2	5	4	4
40-c	0.16	5	5	5	5	5	5	5	5	5	5	5	4	5
5-d	10	4	5	2	5	5	5	5	2	4	1	3	3	5
6-d	10	3	4	4	5	5	5	5	2	3	1	2	3	5
9-d	10	2	4	4	4	5	5	5	0	4	0	3	2	3
1-e	5	4	2	2	3	5	5	5	3	5	1	2	0	3
2-e	2.5	3	0	0	1	3	0	1	1	0	0	0	0	1
4-e	5	2	0	4	4	5	5	3	2	3	0	0	0	4
2-f	10	3	0	2	2	5	5	4	1	3	0	2	0	3
1-g	2.5	5	4	—	5	5	5	5	4	4	2	4	1	4
7-g	2.5	2	3	5	5	5	5	5	1	5	2	4	3	5

Table 16 continu d

No.	Dose (kg/ha)	A	B	C	D	E	F	G	a	b	c	d	e	f
9-g	2.5	2	3	4	5	5	4	5	0	3	1	5	2	5
11-g	2.5	5	5	3	5	5	5	5	2	5	4	4	3	4
12-g	2.5	4	3	3	3	5	5	5	0	4	1	4	1	3
13-g	2.5	4	2	3	3	5	5	5	0	4	1	4	1	3
14-g	2.5	3	1	3	3	5	5	5	0	4	1	4	1	3
15-g	2.5	4	3	5	5	5	5	5	1	5	3	4	2	4
16-g	2.5	5	3	5	5	5	5	5	2	5	3	5	2	4
17-g	2.5	5	5	5	4	5	5	5	5	5	5	5	1	3
18-g	2.5	5	5	5	4	5	5	5	5	5	5	5	3	5
19-g	2.5	3	2	2	3	5	5	3	1	4	2	3	2	3

Table 17

No.	Dose (kg/ha)	A	H	I	J	K	L	a
74-a	2.5	5	4	4	4	5	4	0
1-b	4	4	—	5	5	5	—	0
1-c	0.64	5	5	4	5	5	5	0
7-c	2.5	5	0	4	4	4	4	0
13-c	0.64	5	4	5	4	5	5	0
50-c	0.64	5	4	5	5	5	4	0
53-c	0.16	5	0	4	5	4	2	0
54-c	0.16	5	2	4	4	5	5	0

TEST EXAMPLE 4: Test-2 on the herbicidal effects in soil treatment

A plastic box having a length of 21 cm, a width of 13 cm and a depth of 7 cm was filled with a sterilized diluvial soil, and seeds of Echinochloa crus-galli, Setaria viridis, Avena fatua, Alopecurus myosuroides, Abutilon theophrasti, Xanthium strumarium, Amaranthus viridis, Ipomoea spp., Veronica persica, Stellaria media, Zea mays, Oryza sativa, Oryza sativa, Glycine max, Gossypium spp., Triticum aestivum and Beta vulgaris were spot-wisely sown, and the soil was covered thereon in a thickness of about 1.5 cm, and then a herbicide solution was applied onto the surface of the soil uniformly so that the active ingredient was distributed at a predetermined concentration. The herbicide solution was prepared by diluting a wettable powder prepared in accordance with the foregoing Formulation Examples with water and applied onto the entire soil surface by a small spray. Three weeks after the application of the herbicidal solution, the herbicidal effects against each weed and the phytotoxicities against each crop plant were visually determined on the basis of the following standard ratings. The results are shown in Table 18.

Some of the compounds of the present invention show selectivity for certain crop plants.

Standard ratings:

5: Growth control rate of more than 90% (almost completely withered)
4: Growth control rate of from 70 to 90%
3: Growth control rate of from 40 to 70%
2: Growth control rate of from 20 to 40%
1: Growth control rate of from 5 to 20%
0: Growth control rate of less than 5% (almost non-effective)

TEST EXAMPLE 5: Test-2 on the herbicidal effects in foliage treatment

A plastic box having a length of 21 cm, a width of 13 cm and a depth of 7 cm was filled with a sterilized diluvial soil, and seeds of Echinochloa crus-galli, Setaria viridis, Avena fatua, Alopecurus myosuroides, Abutilon theophrasti, Xanthium strumarium, Amaranthus viridis, Ipomoea spp., Veronica persica, Stellaria media, Zea mays, Oryza sativa, Glycine max, Gossypium spp., Triticum aestivum and Beta vulgaris were spot-wisely sown and the soil was covered thereon in a thickness of about 1.5 cm. When the various weeds and crop plants grew to the 2 or 3 leaf stage, a herbicidal solution was uniformly sprayed on the foliages so that the active ingredient was applied in a predetermined concentration. The herbicidal solution was prepared by diluting a wettable powder prepared in accordance with the above Formulation Examples with water and applied onto the entire surface of the foliages of the weeds and the crop plants by a small spray. Three weeks after the application of the herbicide solution, the herbicidal effects against each weed and the phytotoxicities against each crop plant were visually determined on the basis of the standard ratings described in Test Example 4. The results are shown in Table 19.

TEST EXAMPLE 6: Test-2 on the herbicidal effects during the growing stage in irrigation treatment

Into a Wagner pot of 1/5000a, alluvial soil was put, and then water was introduced and mixed to form an irrigated state with a water depth of 4 cm. Seeds of Echinochloa crus-galli, Scirpus juncoides, Monochoria vaginalis and Rotala indica were sown in the above pot. The pot was placed in a greenhouse at a temperature of from 25 to 30 °C, and the plants were cultured. When Echinochloa crus-galli, Scirpus juncoides, Monochoria vaginalis and Rotala indica reached 1 to 2 leaf stage, a diluted solution of the herbicide was dropwise applied to the water surface by a measuring pipette, so that the dose would be a predetermined level. Three weeks after the dropwise application of the herbicide, the herbicidal effects to various weeds were visually determined on the basis of the standard ratings described in Test Example 4. The results are shown in Table 20.

In Tables 18, 19 and 20, Nos. correspond to Compound Nos. in the Examples, and symbols have the following meanings.

A: Echinochloa crus-galli (barnyardgrass)
B: Setaria viridis (green foxtail)
C: Avena fatua (wild oat)
D: Alopecurus myosuroides (black grass)
E: Abutilon theophrasti (velvetleaf)
F: Xanthium strumarium (common cocklebur)
G: Amaranthus viridis (slender amaranth)
H: Ipomoea spp. (morningglory)
I: Veronica persica (Persian speedwell)
J: Stellaria media (common chickweed)
a: Zea mays (corn)
b: Oryza sativa (rice)
c: Glycine max (soybean)
d: Gossypium spp. (cotton)
e: Triticum aestivum (wheat)
f: Beta vulgaris (sugar beet)

Table 18

No.	Dose (kg/ha)	A	B	C	D	E	F	G	H	I	J	a	b	c	d	e	f
41-c	0.16	5	5	3	5	5	5	5	4	2	5	4	5	3	0	3	4
43-c	0.63	5	5	3	5	3	1	5	3	5	5	5	5	1	0	4	4
44-c	0.63	5	5	5	5	5	3	5	5	5	5	5	5	4	0	4	4
49-c	0.63	5	5	2	5	5	2	5	5	5	5	5	5	0	2	2	5
50-c	0.63	5	5	4	5	5	2	5	5	5	5	5	5	1	1	3	5
51-c	0.16	5	5	4	5	5	5	5	5	5	5	5	5	3	1	2	4
52-c	0.63	5	5	5	5	2	5	5	5	5	5	5	5	3	3	4	4
53-c	0.16	5	5	5	5	2	5	5	5	5	5	4	5	0	0	4	5
54-c	0.63	5	5	5	5	5	5	5	5	5	5	5	5	3	1	4	5
55-c	0.63	5	5	5	5	4	5	5	5	5	5	5	5	1	0	5	5
56-c	0.63	5	5	5	5	5	5	5	5	5	5	5	5	3	1	5	5
20-g	0.63	5	5	3	5	5	2	5	3	5	5	4	4	2	0	2	5

Table 19

No.	Dose (kg/ha)	A	B	C	D	E	F	G	H	I	J	a	b	c	d	e	f
41-c	0.16	5	5	4	5	5	5	5	5	1	5	5	3	4	2	2	5
43-c	0.63	5	5	4	5	5	5	5	3	2	5	5	3	0	1	2	5
44-c	0.63	5	5	5	5	5	5	5	5	3	5	5	4	4	3	3	5
49-c	0.63	5	5	2	5	5	5	5	5	5	5	5	2	4	2	1	5
50-c	0.63	5	5	3	5	5	5	5	5	4	5	5	3	4	3	1	5
51-c	0.16	5	5	3	4	5	5	5	5	5	5	5	4	5	1	2	5
52-c	0.63	5	5	5	5	5	5	5	4	2	5	5	4	4	1	4	5
53-c	0.16	5	5	5	5	5	5	5	5	5	5	5	5	5	3	4	5
54-c	0.16	5	5	5	5	5	5	5	5	5	5	5	5	5	3	3	5
55-c	0.16	5	5	5	5	5	5	5	3	5	5	5	5	5	1	5	5
56-c	0.16	5	5	5	5	5	5	5	2	5	5	5	5	5	1	5	5
20-g	0.63	5	5	5	5	5	5	5	4	5	5	5	5	5	3	4	5

Table 20

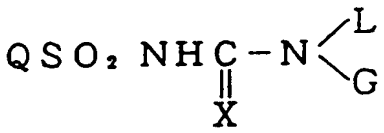
No.	Dose (kg/ha)	A	H	I	J
50-c	0.64	5	4	4	4
53-c	0.16	5	3	4	2
54-c	0.16	5	4	4	4

INDUSTRIAL APPLICABILITY

Iminosulfonylurea derivatives of the formula (1) of the present invention can be used safely to important crop plants, and they are compounds showing high herbicidal effects against many weeds and thus useful as active ingredients of herbicides.

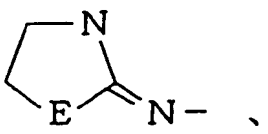
Claims

1. An iminosulfonylurea derivative of the formula (1) or its salt:

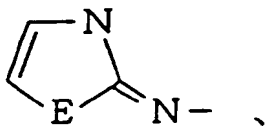


(1)

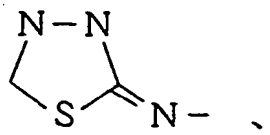
wherein Q is



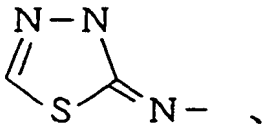
Q 1



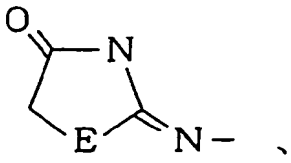
Q 2



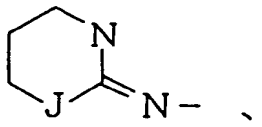
Q 3



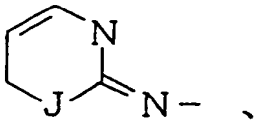
Q 4



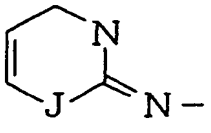
Q 5



Q 6

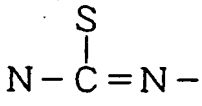


Q 7



Q 8

or



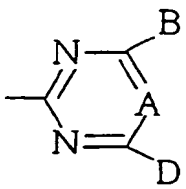
Q 9

wherein in Q1, Q2 and Q5, E is a sulfur atom, an oxygen atom or a nitrogen atom mono-substituted by an optional substituent other than a hydrogen atom; in Q6, Q7 and Q8, J is a sulfur atom or an oxygen atom; in Q1 to Q8, a nitrogen atom in the ring of Q is substituted by an optional substituent other than a hydrogen atom, and a carbon atom in the ring of Q may be substituted by an optional substituent; and in Q9, the sulfur atom and the nitrogen atom on the carbon atom to which the imino group of Q is bonded, are substituted by optional substituents other than hydrogen atoms,

X is an oxygen atom or a sulfur atom,

L is a hydrogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl group or a C_{2-6} alkynyl group,

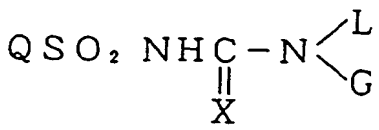
G is



A is a CH group or a nitrogen atom, and

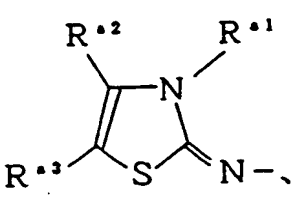
each of B and D which are independent of each other, is a C_{1-4} alkyl group, a C_{1-4} alkoxy group, a mono-, di-or poly-halogeno C_{1-4} alkyl group, a mono-, di- or poly-halogeno C_{1-4} alkoxy group, a halogen atom, a C_{1-4} alkylamino group or a di(C_{1-4} alkyl)amino group.

2. The iminosulfonylurea derivative of the formula (1) and its salt according to Claim 1:

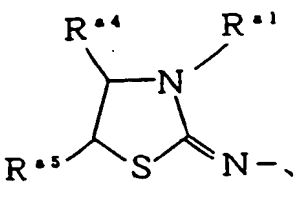


(1)

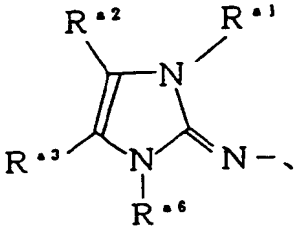
wherein Q is



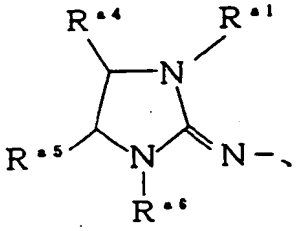
Qa1



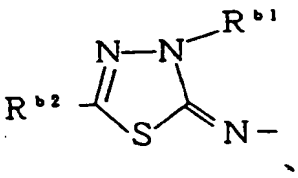
Qa2



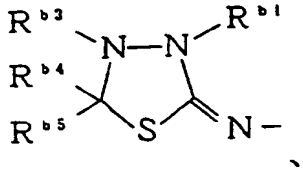
Qa3



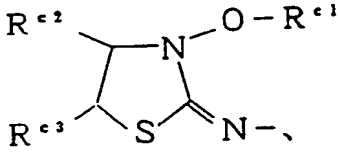
Qa4



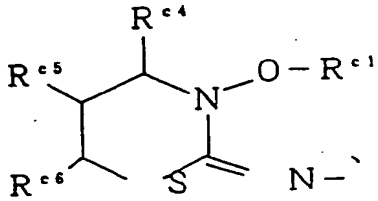
Qb1



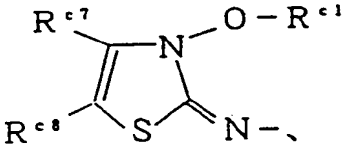
Qb2



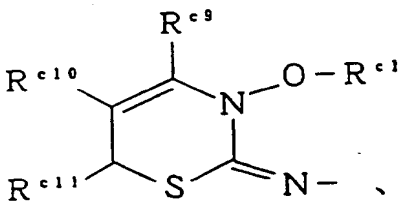
Qc1



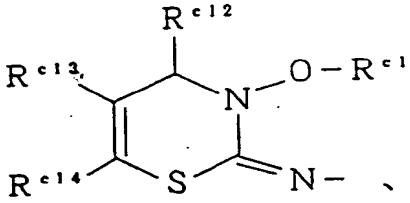
Qc2



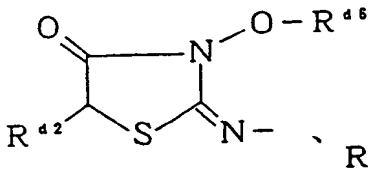
Qc3



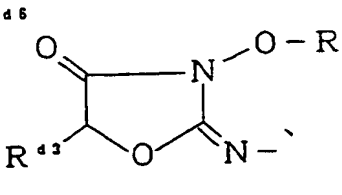
Qc4



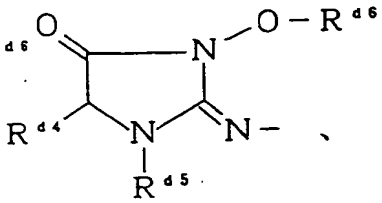
Qc5



Qd1



Qd2



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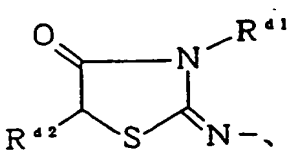

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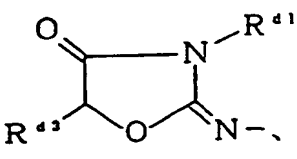
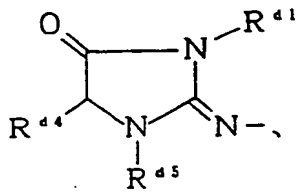
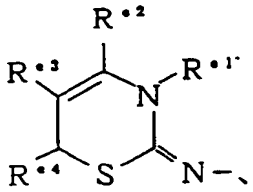


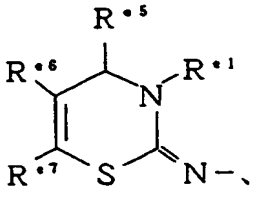
Qd5



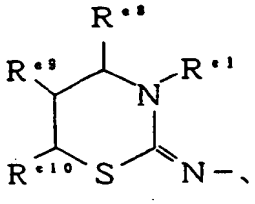
Qd6



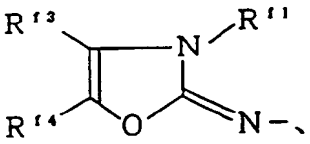
Qe1



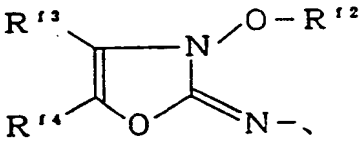
Qe2



Qe3



Qf1



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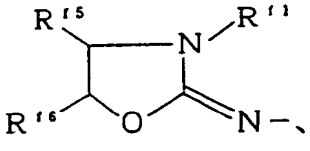

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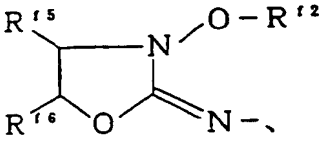

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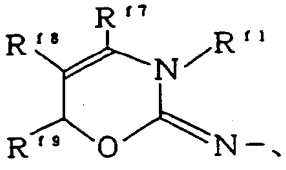

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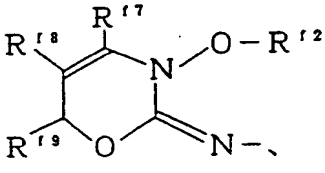

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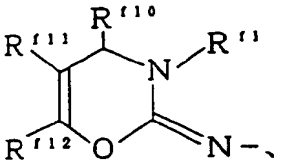

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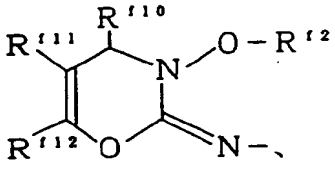

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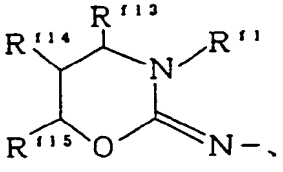

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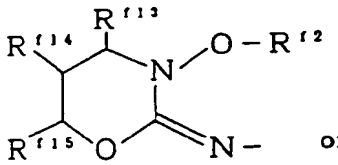
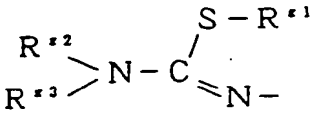


Qf10

or



Qg1

R^{a1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{1-6} alkoxy

group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or polyhalogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a, C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group

(provided that the phenyl group of such a benzylthio group may be substituted by one or more substitu nts selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

each of R^{a2} and R^{a3} which are independent of each other, is a hydrogen atom, a C_{1-6} alkyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a mono-, di or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a halogen atom, a nitro group, a cyano group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

each of R^{a4} and R^{a5} which are independent of each other, is a hydrogen atom, a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{a6} is a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{b1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl

group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7}alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4}

alkylcarbonyl group,

R^{b2} is a hydrogen atom, a C_{1-6} alkyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a halogen atom, a nitro group, a cyano group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{b3} is a C_{1-6} alkyl group, a C_{2-6} alkenyl group or a C_{2-6} alkynyl group,

R^{b4} is a hydrogen atom, or a C_{1-6} alkyl group,

R^{b5} is a hydrogen atom, or a C_{1-6} alkyl group,

R^{c1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or polyhalogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or polyhalogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6}alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halog n atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group

substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluorom thyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

each of R^{c2}, R^{c3}, R^{c4}, R^{c5}, R^{c6}, R^{c11} and R^{c12} which are independent of one another, is a hydrogen atom, a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

each of R^{c7}, R^{c8}, R^{c9}, R^{c10}, R^{c13} and R^{c14} which are independent of one another, is a hydrogen atom, a C_{1-6} alkyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a mono, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a halogen atom, a nitro group, a cyano group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group),

R^{d1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano

group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substitut d by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7}

alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halog n atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

each of R^{d2}, R^{d3} and R^{d4} which are independent of one another, is a hydrogen atom, a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{d5} is a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{d6} is a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a cyano group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), or a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

R^{e1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group

substituted by a di(C_{1-3} alkyl)sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

each of R^{e2}, R^{e3}, R^{e6} and R^{e7} which are independent of one another, is a hydrogen atom, a C_{1-6}

alkyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a halogen atom, a nitro group, a cyano group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

each of R^{e4}, R^{e5}, R^{e8}, R^{e9} and R^{e10} which are independent of one another, is a hydrogen atom, a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group),

R^{f1} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a, C_{2-7} alkylcarbonylgroup, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group

substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a
C_{1-6} alkyl group substituted by an amino group substituted by a C_{1-4} alkylsulfonyl group, or a C_{1-6} alkyl group substituted by an amino group substituted by a C_{2-4} alkylcarbonyl group,

R^{f2} is a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a cyano group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), or a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

each of R^{f3}, R^{f4}, R^{f7}, R^{f8}, R^{f11} and R^{f12} which are independent of one another, is a hydrogen atom, a C_{1-6} alkyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkylthio group, a C_{1-6} alkylsulfinyl group, a C_{1-6} alkylsulfonyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a halogen atom, a nitro group, a cyano group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group),

each of R^{f5}, R^{f6}, R^{f9}, R^{f10}, R^{f13}, R^{f14} and R^{f15} which are independent of one another, is a hydrogen atom, a C_{1-8} alkyl group, a C_{2-8} alkenyl group, a C_{2-8} alkynyl group, or a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group),

R^{91} is a C_{1-8} alkyl group, a C_{3-7} cycloalkyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkenyl group, a C_{1-6} alkyl group substituted by a C_{3-7} cycloalkenyl group, a C_{2-8} alk nyl group, a C_{2-8} alkynyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{1-6} alkoxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkenyloxy group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-6} alkynyloxy group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylthio group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylsulfonyl group, a mono-, di- or poly-halogeno C_{1-8} alkyl group, a mono-, di- or poly-halogeno C_{2-8} alkenyl group, a mono-, di- or poly-halogeno C_{2-8} alkynyl group, a C_{1-6} alkylgroup substituted by a cyano group, a C_{2-6} alkenyl group substituted by a cyano group, a C_{2-6} alkynyl group substituted by a cyano group, a C_{1-6} alkyl group substituted by a nitro group, a C_{2-6} alkenyl group substituted by a nitro group, a C_{2-6} alkynyl group substituted by a nitro group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkoxycarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a mono-, di- or poly-halogeno C_{2-7} alkylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkenylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{3-7} alkynylcarbonyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkoxy group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylthio group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfinyl group, a C_{1-6} alkyl group substituted by a C_{2-5} alkylcarbonyl group substituted by a C_{1-4} alkylsulfonyl group, a C_{2-6} alkenyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{2-6} alkynyl group substituted by a C_{2-7} alkylcarbonyl group, a C_{1-6} alkylgroup substituted by a C_{1-6} alkylsulfamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxysulfamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)sulfamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)sulfamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkylcarbamoyl group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{2-7} alkoxycarbamoyl group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)carbamoyl group, a C_{1-6} alkyl group substituted by a C_{1-6} alkylamino group, a C_{1-6} alkyl group substituted by a C_{1-6} alkoxyamino group, a C_{1-6} alkyl group substituted by a di(C_{1-3} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-3} alkyl)-N-(C_{1-3} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{2-7} alkylcarbonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkyl)amino group, a C_{1-6} alkyl group substituted by an N-(C_{1-6} alkylsulfonyl)-N-(C_{1-6} alkoxy)amino group, a C_{1-6} alkyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{2-7} alkenyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{2-6} alkynyl group substituted by a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenoxy group (provided that such a phenoxy group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylthio group (provided that such a phenylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfinyl group (provided that such a phenylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylsulfonyl group (provided that such a phenylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzyloxy group (provided that the phenyl group of such a benzyloxy group may be substituted by

one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylthio group (provided that the phenyl group of such a benzylthio group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfinyl group (provided that the phenyl group of such a benzylsulfinyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a benzylsulfonyl group (provided that the phenyl group of such a benzylsulfonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), a C_{1-6} alkyl group substituted by a phenylcarbonyl group (provided that such a phenylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), or a C_{1-6} alkyl group substituted by a benzylcarbonyl group (provided that the phenyl group of such a benzylcarbonyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group),

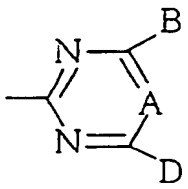
each of R^{g2} and R^{g3} which are independent of each other, is a C_{1-6} alkyl group, a C_{2-6} alkenyl group, a C_{2-6} alkynyl group, a mono-, di- or poly-halogeno C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{1-6} alkylsulfonyl group, a C_{1-6} alkylsulfamoyl group, a di(C_{1-3} alkyl)sulfamoyl group, a C_{2-7} alkoxycarbonyl group, a C_{2-7} alkylcarbonyl group, a C_{2-7} alkylcarbamoyl group, a di(C_{1-3} alkyl)-carbamoyl group, a phenyl group (provided that such a phenyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group and a C_{2-7} alkoxycarbonyl group), or a benzyl group (provided that the phenyl group of such a benzyl group may be substituted by one or more substituents selected from the group consisting of a halogen atom, a trifluoromethyl group, a nitro group, a C_{1-6} alkyl group, a C_{1-6} alkoxygroup and a C_{2-7} alkoxycarbonyl group),

or R^{g2} and R^{g3} form a saturated 3- to 7-membered heterocyclic ring together with the nitrogen atom to which they are bonded,

X is an oxygen atom or a sulfur atom,

L is a hydrogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl group or a C_{2-6} alkynyl group,

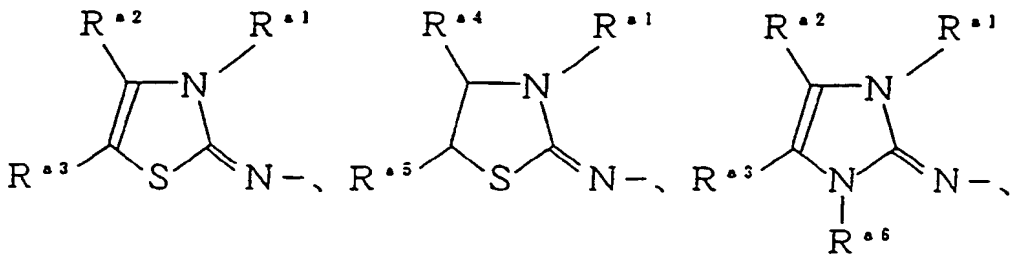
G is



A is a CH group, or a nitrogen atom, and

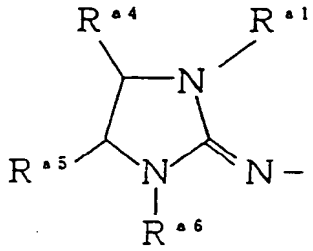
each of B and D which are independent of each other, is a C_{1-4} alkyl group, a C_{1-4} alkoxy group, a mono-, di-or poly-halogeno C_{1-4} alkyl group, a mono-, di- or poly-halogeno C_{1-4} alkoxy group, a halogen atom, a C_{1-4} alkylamino group, or a di(C_{1-4} alkyl)amino group.

3. The iminosulfonylurea derivative or its salt according to Claim 2, wherein Q is



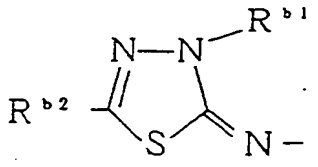
Qa1 Qa2 Qa3

or



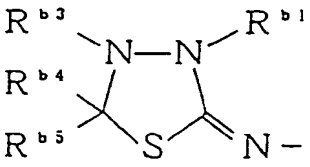
Qa4

4. The iminosulfonylurea derivative or its salt according to Claim 2, wherein Q is



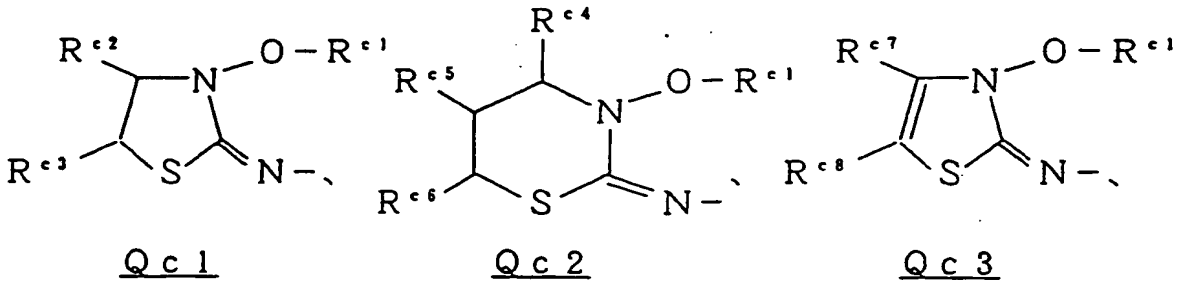
Qb1

or

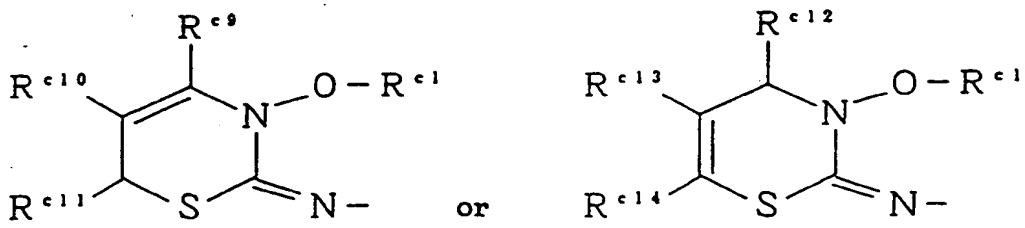


Qb2

5. The iminosulfonylurea derivative or its salt according to Claim 2, wherein Q is

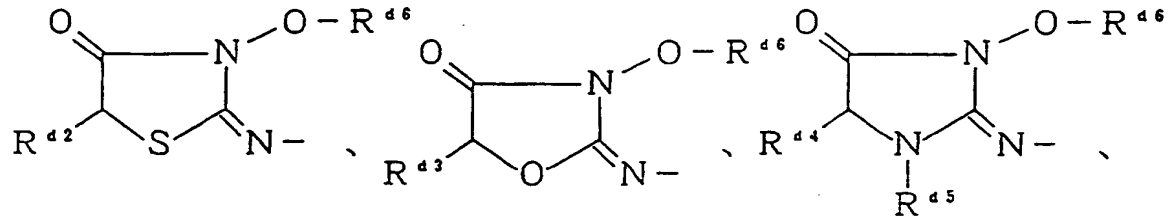


Qc1 Qc2 Qc3

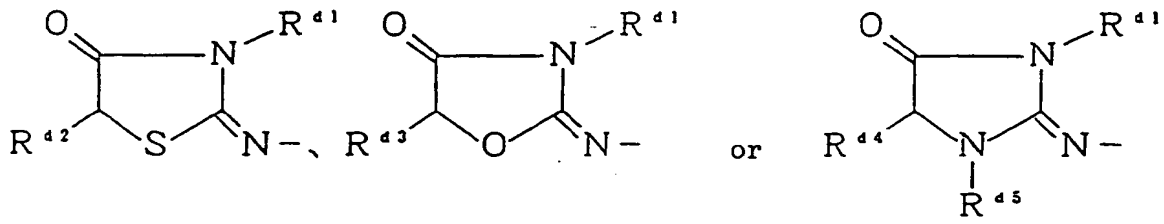


Qc4 or Qc5

6. The iminosulfonylurea derivative or its salt according to Claim 2, wherein Q is

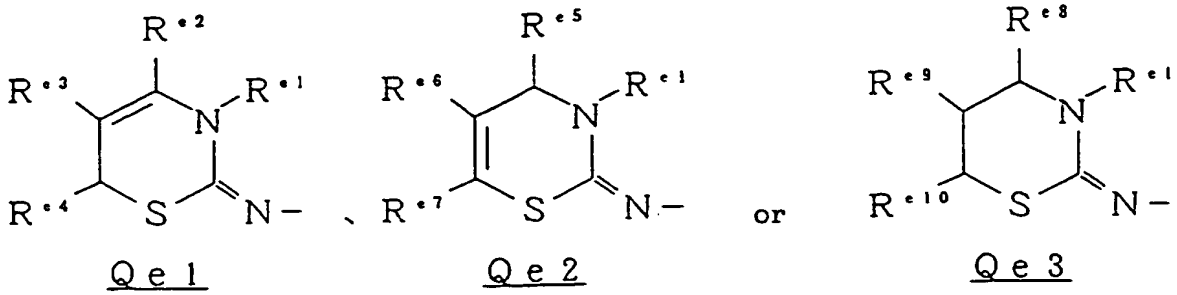


Qd1 Qd2 Qd3



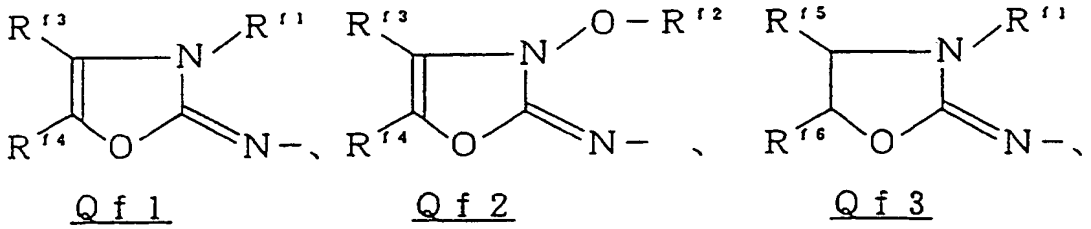
Qd4 Qd5 or Qd6

7. The iminosulfonylurea derivative or its salt according to Claim 2, wherein Q is

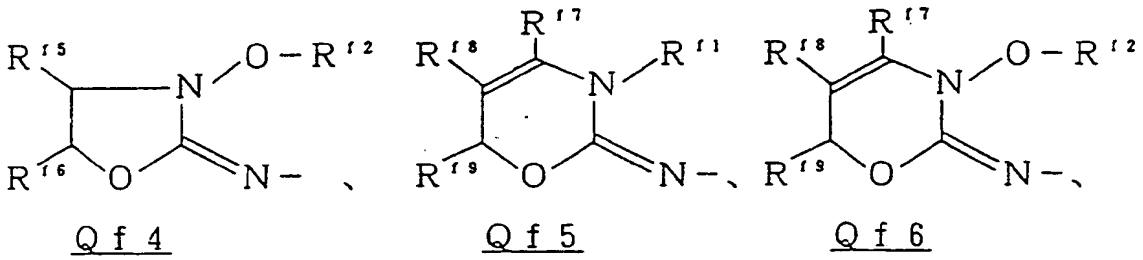


Qe1 Qe2 or Qe3

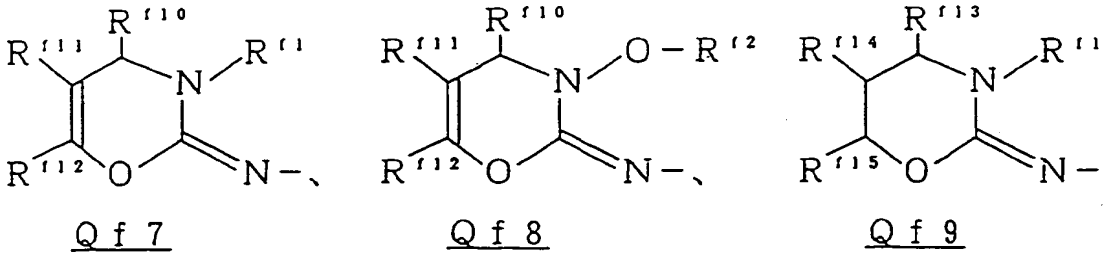
8. The iminosulfonylurea derivative or its salt according to Claim 2, wherein Q is



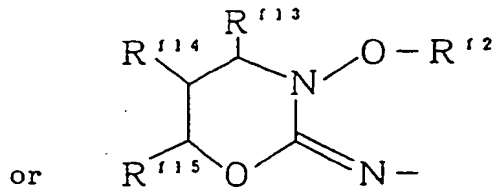
Qf1 Qf2 Qf3



Qf4 Qf5 Qf6



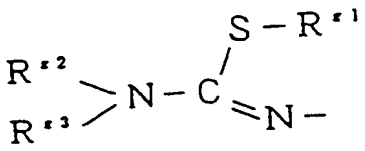
Qf7 Qf8 Qf9



or

Qf10

9. The iminosulfonylurea derivative or its salt according to Claim 2, wherein Q is



Qg1

10. A herbicide which contains an iminosulfonylurea derivative defined in Claim 1, as an active ingredient.

11. A herbicide which contains an iminosulfonylurea derivative defined in Claim 2, as an active ingredient.

12. A herbicide which contains an iminosulfonylurea derivative defined in Claim 3, as an active ingredient.

13. A herbicide which contains an iminosulfonylurea derivative defined in Claim 4, as an active ingredient.

14. A herbicide which contains an iminosulfonylurea derivative defined in Claim 5, as an active ingredient.

15. A herbicide which contains an iminosulfonylurea derivative defined in Claim 6, as an active ingredient.

16. A herbicide which contains an iminosulfonylurea derivative defined in Claim 7, as an active ingredient.

17. A herbicide which contains an iminosulfonylurea derivative defined in Claim 8, as an active ingredient.

18. A herbicide which contains an iminosulfonylurea derivative defined in Claim 9, as an active ingredient.

19. A herbicidal and growth control method against weeds, which comprises applying a herbicidally effective amount of an iminosulfonylurea derivative defined in Claim 1.

20. A herbicidal and growth control method against weeds, which comprises applying a herbicidally effective amount of an iminosulfonylurea derivative defined in Claim 2.

21. A herbicidal and growth control method against weeds, which comprises applying a herbicidally effective amount of an iminosulfonylurea derivative defined in Claim 3.

22. A herbicidal and growth control method against weeds, which comprises applying a herbicidally effective amount of an iminosulfonylurea derivative defined in Claim 4.

23. A herbicidal and growth control method against weeds, which comprises applying a herbicidally effective amount of an iminosulfonylurea derivative defined in Claim 5.

24. A herbicidal and growth control method against weeds, which comprises applying a herbicidally effective amount of an iminosulfonylurea derivative defined in Claim 6.

25. A herbicidal and growth control method against weeds, which comprises applying a herbicidally effective amount of an iminosulfonylurea derivative defined in Claim 7.

26. A herbicidal and growth control method against weeds, which comprises applying a herbicidally effective amount of an iminosulfonylurea derivative defined in Claim 8.

27. A herbicidal and growth control method against weeds, which comprises applying a herbicidally effective amount of an iminosulfonylurea derivative defined in Claim 9.

INTERNATIONAL SEARCH REPORT

International Application No PCT/JP92/00808

I. CLASSIFICATION OF SUBJECT MATTER (if several classification symbols apply, indicate all) [6]

According to International Patent Classification (IPC) or to both National Classification and IPC Int. Cl[5]

C07D239/26, C07D239/28, C07D251/14, C07D251/26, C07D403/12, C07D413/12, C07D417/12

II. FIELDS SEARCHED

Minimum Documentation Searched [7]

Classification System	Classification Symbols
IPC	C07D239/26, C07D239/28, C07D251/14, C07D251/26, C07D403/12, C07D413/12, C07D417/12

Documentation Searched other than Minimum Documentation to the Extent that such Documents are Included in the Fields Searched [8]

Jitsuyo Shinan Koho	1926 - 1992
Kokai Jitsuyo Shinan Koho	1971 - 1992

III. DOCUMENTS CONSIDERED TO BE RELEVANT [9]

Category *	Citation of Document, [11] with indication, where appropriate, of the relevant passages [12]	Relevant to Claim No. [13]
A	JP, A, 58-15962 (Mitsui Toatsu Chemicals, Inc.), January 29, 1983 (29. 01. 83), (Family: none)	1-27
A	JP, A, 58-103371 (Sand AG.), June 20, 1983 (20. 06. 83), (Family: none)	1-27

* Special categories of cited documents: [10]

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

IV. CERTIFICATION

Date of the Actual Completion of the International Search	Date of Mailing of this International Search Report
September 28, 1992 (28. 09. 92)	October 20, 1992 (20. 10. 92)
International Searching Authority	**Signature of Authorized Officer**
Japanese Patent Office	

Form PCT/ISA/210 (second sheet) (January 1985)